KH

Day : Wednesday
Date: 10/18/2006
Time: 16:38:56

PALM INTRANET

Inventor Information for 10/523412

Inventor Name	City	State/Country
~~STERK, JAN GEERT~~	~~UTRECHT~~	~~NETHERLANDS~~
STERK, JAN GEERT	JJ UTRECHT	NETHERLANDS

Appln Info | Contents | Petition Info | Atty/Agent Info | Continuity/Reexam | Foreign D

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PCT / [ ] / [ ] Search **or PG PUBS #** [ ] Search

Attorney Docket # [ ] Search

Bar Code # [ ] Search

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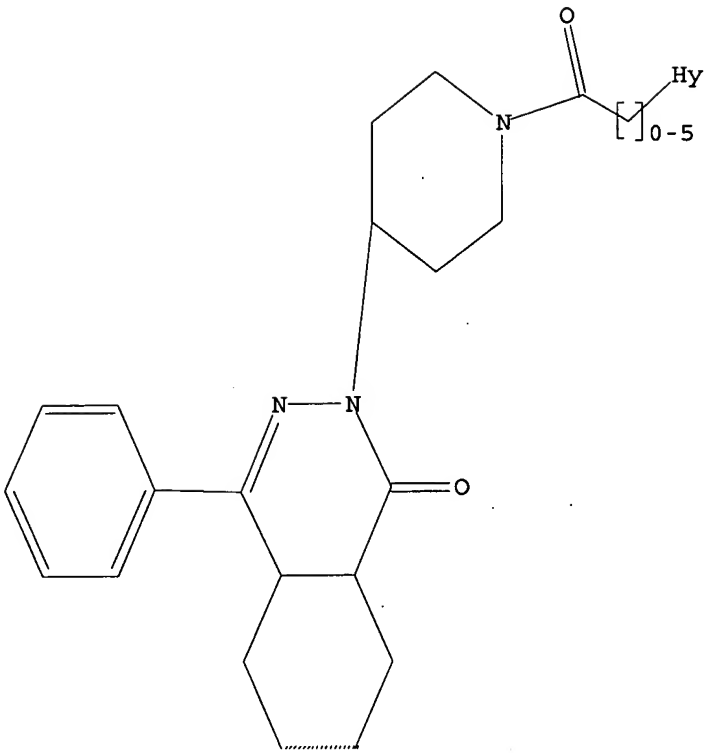
EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	1845	514/248, 544/237	US-PGPUB; USPAT	OR	OFF	2006/10/18 16:33
L2	572	514/248, 544/237	US-PGPUB	OR	OFF	2006/10/18 16:33
L3	1273	514/248, 544/237	USPAT	OR	OFF	2006/10/18 16:33

(2)



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 12:46:25 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       78 TO ITERATE

100.0% PROCESSED       78 ITERATIONS                          1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          1031 TO     2089
PROJECTED ANSWERS:                1 TO       80

L2             1 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 12:46:31 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     1746 TO ITERATE

100.0% PROCESSED     1746 ITERATIONS                         20 ANSWERS
SEARCH TIME: 00.00.01

L3            20 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
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FULL ESTIMATED COST 166.94 167.15

FILE 'CAPLUS' ENTERED AT 12:46:36 ON 18 OCT 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 18 Oct 2006 VOL 145 ISS 17
FILE LAST UPDATED: 16 Oct 2006 (20061016/ED)

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L4 12 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:823689 CAPLUS
DOCUMENT NUMBER: 143:229869
TITLE: Preparation of phthalazinone derivatives as PDE4 inhibitors
INVENTOR(S): Hatzelmann, Armin; Barsig, Johannes; Marx, Degenhard; Kley, Hans-Peter; Christiaans, Johannes A. M.; Menge, Wiro M. P. B.; Sterk, Geert Jan
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005075457	A1	20050818	WO 2005-EP50417	20050201
WO 2005075457	C1	20060302		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2004-2423 A 20040204

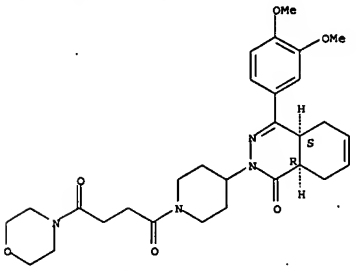
OTHER SOURCE(S): MARPAT 143:229869
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 and R2 are both H or together from an addnl. bond; R3 = (un)substituted phenyl; R4 = OH, alkoxy, NHR5, etc.; R5 = OH, alkoxy or alkoxyalkyl; n = 0, 2, 3, or 4] and their pharmaceutically acceptable salts, are prepared and disclosed as PDE4 inhibitors. Thus, e.g., II was prepared by coupling of (4aS,8aR)-4-(3,4-dimethoxy-phenyl)-2-piperidin-4-yl-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride (preparation given) with succinic anhydride. The inhibitory activity of I was evaluated using two different methods utilizing cAMP and it was revealed that compds. of the invention displayed -logIC50 values in the range of 8.4 up to 10.4 mol/L. I as inhibitor of PDE4 should prove useful in the treatment of airway disorders. Pharmaceutical compns. comprising I are disclosed.
IT 862462-48-4P 862462-50-8P 862462-53-1P

L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
862462-55-3P 862462-56-4P 862462-60-0P
862462-61-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phthalazinone derivs. as PDE4 inhibitors)
RN 862462-48-4 CAPLUS
CN Morpholine, 4-[4-[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]-1,4-dioxobutyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



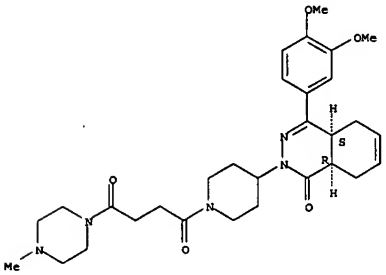
RN 862462-50-8 CAPLUS
CN Piperazine, 1-[4-[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]-1,4-dioxobutyl]-4-methyl-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 862462-49-5
CMF C30 H41 N5 O5

Absolute stereochemistry.

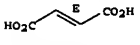
L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



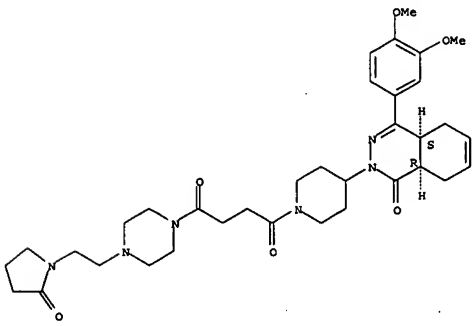
RN 862462-53-1 CAPLUS
CN Piperazine, 1-[4-[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]-1,4-dioxobutyl]-4-[2-(2-oxo-1-pyrrolidinyl)ethyl]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 862462-52-0
CMF C35 H48 N6 O6

Absolute stereochemistry.

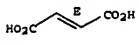
L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



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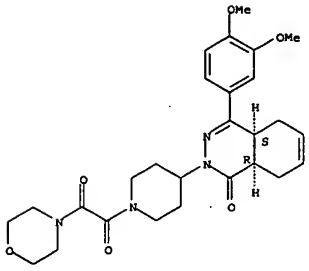
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]oxoacetyl]- (9CI) (CA INDEX NAME)

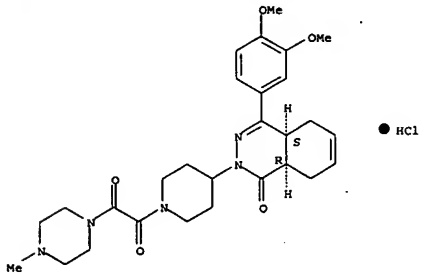
Absolute stereochemistry.

L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 862462-56-4 CAPLUS
CN Piperazine,
1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]oxoacetyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

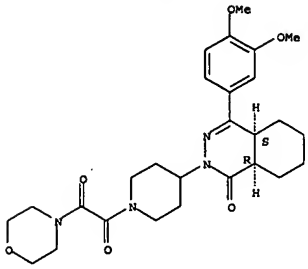
Absolute stereochemistry.



RN 862462-60-0 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]oxoacetyl]- (9CI) (CA INDEX NAME)

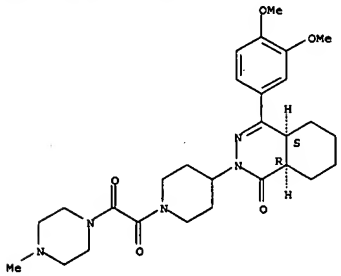
L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 862462-61-1 CAPLUS
CN Piperazine, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]oxoacetyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

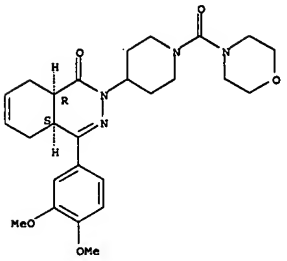
ACCESSION NUMBER: 2005:232615 CAPLUS
DOCUMENT NUMBER: 142:291403
TITLE: Use of phosphodiesterase 4 (PDE4) inhibitors for the treatment of diabetes mellitus
INVENTOR(S): Hauser, Daniela; Hanauer, Guido; Grundler, Gerhard; Schmidt, Beate; Kemkowski, Joerg; Kley, Hans-Peter
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005023253	A1	20050317	WO 2004-EP52005	20040902
WO 2005023253	C1	20060302		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004269923	A2	20050317	AU 2004-269923	20040902
AU 2004269923	A1	20050317		
CA 2537230	AA	20050317	CA 2004-2537230	20040902
PRIORITY APPLN. INFO.:			EP 2003-20126	A 20030905
			WO 2004-EP52005	W 20040902

AB The invention discloses the use of certain known PDE4 inhibitors for the treatment of diabetes mellitus and accompanying disorders thereof.
IT 449760-26-3 449760-35-4 449760-42-3
449760-47-8 596102-07-7 596102-09-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phosphodiesterase 4 inhibitors for treatment of diabetes mellitus)
RN 449760-26-3 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

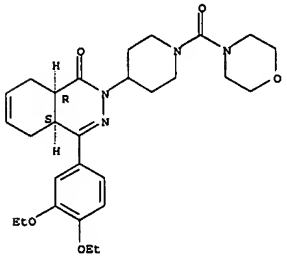
Absolute stereochemistry.

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-35-4 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

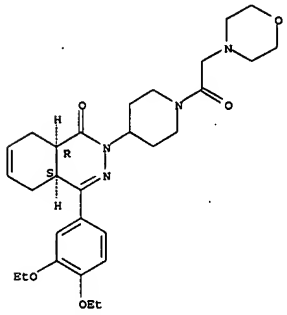
Absolute stereochemistry.



RN 449760-42-3 CAPLUS
CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

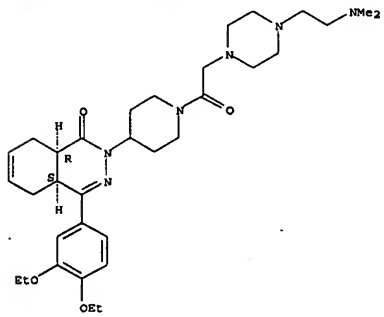
Absolute stereochemistry.

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



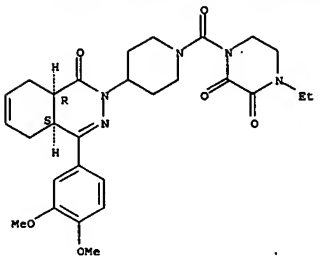
RN 596102-09-9 CAPLUS
CN Piperidine,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[4-[2-(dimethylamino)ethyl]-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



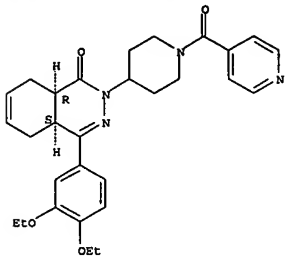
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-47-8 CAPLUS
CN Piperidine,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 596102-07-7 CAPLUS
CN Piperidine,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-morpholinylacetyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:1036929 CAPLUS
DOCUMENT NUMBER: 142:16825
TITLE: Composition comprising a PDE4 inhibitor and a PDE5 inhibitor
INVENTOR(S): Dunkern, Thorsten; Hatzelmann, Armin; Schudt, Christian; Grimminger, Friedrich; Ghofrani, Hossein Ardeschir
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004103407	A2	20041202	WO 2004-EP50869	20040519
WO 2004103407	A3	20050217		
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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CA 2525946	AA	20041202	CA 2004-2525946	20040519
EP 1628682	A2	20060301	EP 2004-766017	20040519
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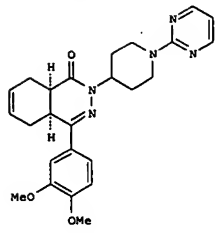
BR 2004010326	A	20060523	BR 2004-10326	20040519
CN 1791429	A	20060621	CN 2004-80013349	20040519
US 2006094723	A1	20060504	US 2005-556888	20051115
NO 2005005941	A	20051214	NO 2005-5941	20051214

PRIORITY APPLN. INFO.: EP 2003-11609 A 20030522
WO 2004-EP50869 W 20040519

GI



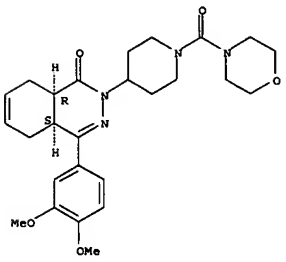
I

AB The invention relates to the combined administration of a PDE4 inhibitor and a PDE5 inhibitor for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or phosphodiesterase 5 (PDE5) activity is detrimental. Patients were administered orally one tablet of Roflumilase and once daily a tablet of Viagra. An example of another selected PDE4 inhibitor is I.

IT 449760-26-3 449760-35-4 449760-42-3
449760-47-8
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(composition comprising a PDE4 inhibitor and a PDE5 inhibitor)

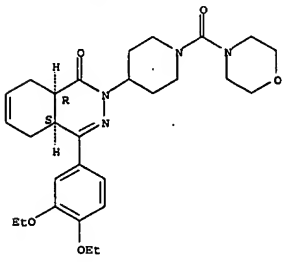
RN 449760-26-3 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



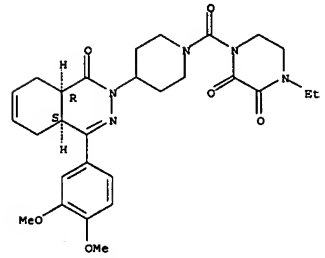
RN 449760-35-4 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



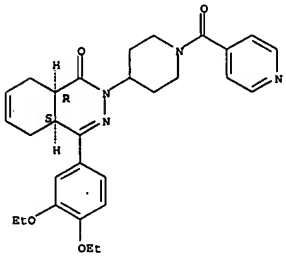
RN 449760-42-3 CAPLUS
CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-47-8 CAPLUS
CN Piperidine,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:996001 CAPLUS
DOCUMENT NUMBER: 141:406065
TITLE: Composition comprising a PDE-4 inhibitor and a TNF-alpha antagonist
INVENTOR(S): Barsig, Johannes; Weimar, Christian
PATENT ASSIGNEE(S): Altana Pharma AG, Germany
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098633	A1	20041118	WO 2004-EP50748	20040510
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: EP 2003-10581 A 20030512

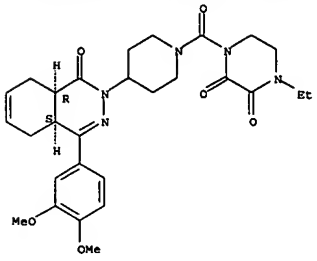
AB The invention relates to the combined administration of a PDE4 inhibitor and a TNFα antagonist selected from the group consisting of etanercept, onercept and pegsunercept for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or tumor necrosis factor alpha (TNFα) activity is detrimental.

IT 449760-26-3 449760-35-4 449760-42-3
449760-47-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic activity of phosphodiesterase 4 inhibitors and TNFα antagonists)

RN 449760-26-3 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

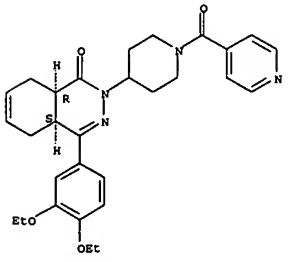
Absolute stereochemistry.

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



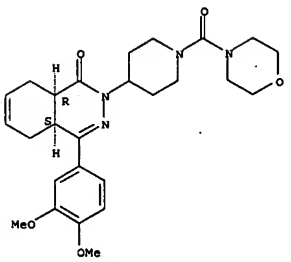
RN 449760-47-8 CAPLUS
CN Piperidine,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



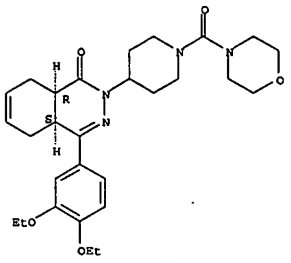
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-35-4 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-42-3 CAPLUS
CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:995979 CAPLUS
DOCUMENT NUMBER: 141:406064
TITLE: Composition comprising a PDE4 inhibitor and soluble human Type II interleukin-1 receptor (shuIL-1RII) for disease therapy
INVENTOR(S): Barsig, Johannes
PATENT ASSIGNEE(S): Altana Pharma AG, Germany
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098606	A1	20041118	WO 2004-EP50749	20040510
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: EP 2003-10596 A 20030512

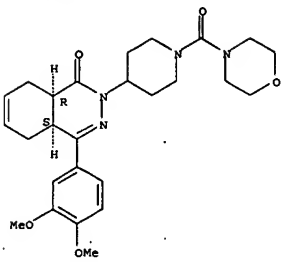
AB The invention relates to the combined administration of a PDE4 inhibitor and shuIL-1R II for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or interleukin-1 (IL-1) activity is detrimental.

IT 449760-26-3 449760-35-4 449760-42-3
449760-47-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(composition comprising a PDE4 inhibitor and soluble human Type II interleukin-1 receptor (shuIL-1RII) for disease therapy)

RN 449760-26-3 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

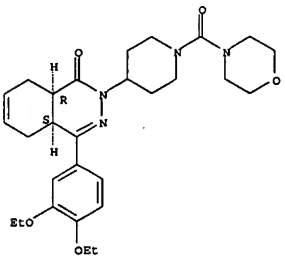
Absolute stereochemistry.

L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-35-4 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

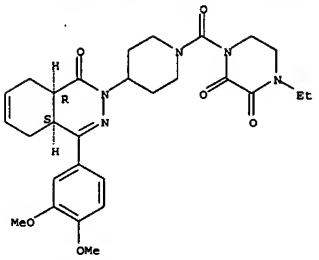
Absolute stereochemistry.



RN 449760-42-3 CAPLUS
CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

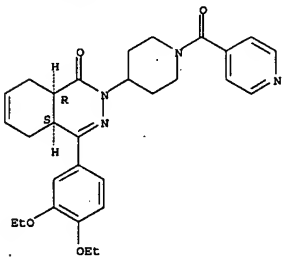
Absolute stereochemistry.

L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-47-8 CAPLUS
CN Piperidine,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:995978 CAPLUS
DOCUMENT NUMBER: 141:406063
TITLE: Pharmaceutical composition comprising a PDE4 inhibitor and IL-1 trap for treatment of disease
INVENTOR(S): Barsig, Johannes
PATENT ASSIGNEE(S): Altana Pharma AG, Germany
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098605	A1	20041118	WO 2004-EP50747	20040510

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2003-10631 A 20030512

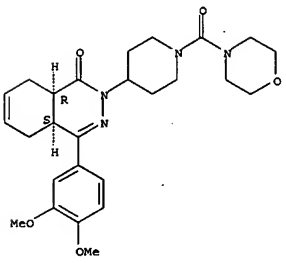
AB The invention relates to the combined administration of a PDE4 inhibitor and IL-1 Trap for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or interleukin-1 (IL-1) activity is detrimental.

IT 449760-26-3 449760-35-4 449760-42-3
449760-47-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical composition comprising a PDE4 inhibitor and IL-1 trap for treatment of disease)

RN 449760-26-3 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

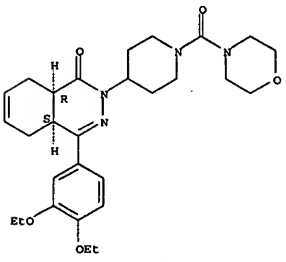
Absolute stereochemistry.

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-35-4 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

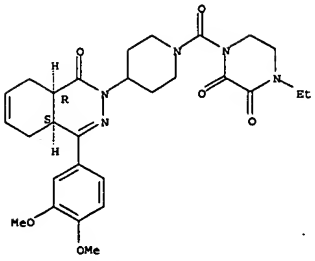
Absolute stereochemistry.



RN 449760-42-3 CAPLUS
CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

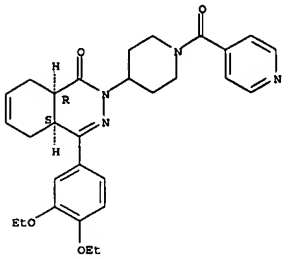
Absolute stereochemistry.

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-47-8 CAPLUS
CN Piperidine,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:995956 CAPLUS
DOCUMENT NUMBER: 141:416024
TITLE: Composition comprising a PDE4 inhibitor and a TNFα antagonist
INVENTOR(S): Barsig, Johannes; Weimar, Christian
PATENT ASSIGNEE(S): Altana Pharma AG, Germany
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098578	A2	20041118	WO 2004-EP50750	20040510
WO 2004098578	A3	20041229		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2003-10593 A 20030512

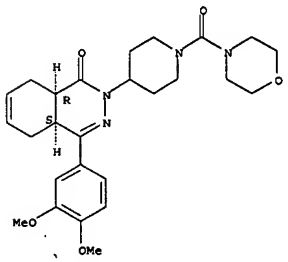
AB The invention relates to the combined administration of a PDE4 inhibitor and a TNFα antagonist selected from the group consisting of infliximab, adalimumab, cdp870 and cdp571 for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or tumor necrosis factor alpha (TNFα) activity is detrimental.

IT 449760-26-3 449760-35-4 449760-42-3
449760-47-8
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical injections containing phosphodiesterase 4 inhibitors in
combination with TNFα antagonists for treatment of arthritis and other diseases)

RN 449760-26-3 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

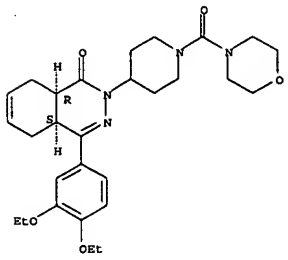
Absolute stereochemistry.

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-35-4 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

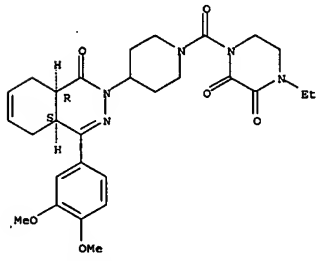
Absolute stereochemistry.



RN 449760-42-3 CAPLUS
CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

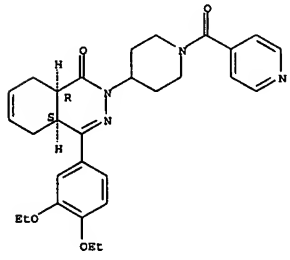
Absolute stereochemistry.

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-47-8 CAPLUS
CN Piperidine,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



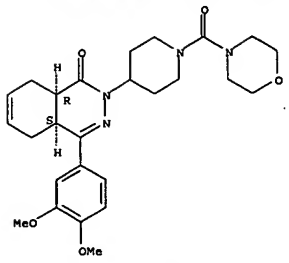
L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:610086 CAPLUS
DOCUMENT NUMBER: 141:134069
TITLE: PDE4 inhibitors for the treatment of neoplasms of lymphoid cells
INVENTOR(S): Hatzelmann, Armin; Tenor, Hermann; Gekeler, Volker; Sanders, Karl; Garattini, Enrico; Braunger, Juergen; Schudt, Christian
PATENT ASSIGNEE(S): Altana Pharma Ag, Germany
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004062671	A2	20040729	WO 2004-EP196	20040114
WO 2004062671	A3	20050127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ				
AU 2004204355	A1	20040729	AU 2004-204355	20040114
CA 2512819	AA	20040729	CA 2004-2512819	20040114
EP 1587512	A2	20051026	EP 2004-701902	20040114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006515367	T2	20060525	JP 2006-500561	20040114
US 2006148804	A1	20060706	US 2005-542088	20050713
PRIORITY APPLN. INFO.:			EP 2003-787 A	20030114
			WO 2004-EP196 W	20040114

OTHER SOURCE(S): MARPAT 141:134069
AB The invention relates to the use of certain PDE4 inhibitors alone or in combination with one or more differentiation inducing agents and/or an agent effective in raising intracellular concns. of cAMP or a stable analog of cAMP in the preparation of pharmaceutical compns. for the treatment of neoplasms of lymphoid cells.
IT 449760-26-3 449760-35-4 449760-42-3 449760-47-8 596102-07-7 596102-09-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phosphodiesterase 4 (PDE4) inhibitors for treatment of neoplasms of lymphoid cells in combination with differentiation inducers and agents that increase cAMP levels or cAMP analogs)
RN 449760-26-3 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

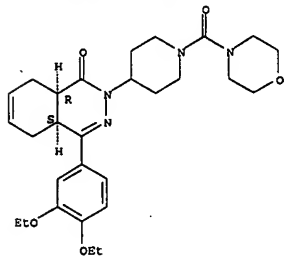
Absolute stereochemistry.

L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-35-4 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

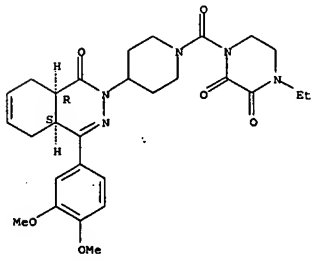
Absolute stereochemistry.



RN 449760-42-3 CAPLUS
CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

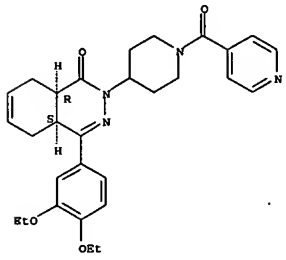
Absolute stereochemistry.

L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-47-8 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

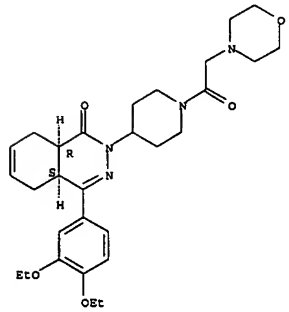
Absolute stereochemistry.



RN 596102-07-7 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-morpholinylacetyl)- (9CI) (CA INDEX NAME)

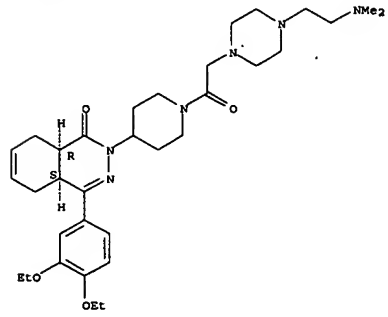
Absolute stereochemistry.

L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 596102-09-9 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[4-[2-(dimethylamino)ethyl]-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



own work

L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:182870 CAPLUS
DOCUMENT NUMBER: 140:217652
TITLE: Preparation of pyrrolidinedione substituted piperidine-phthalazones as cyclic nucleotide phosphodiesterase-4 (PDE4) inhibitors
INVENTOR(S): Hatzelmann, Armin; Barsig, Johannes; Marx, Degenhard; Kley, Hans-Peter; Christiaans, Johannes A. M.; Menge, Wiro M. P. B.; Sterk, Geert Jan
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

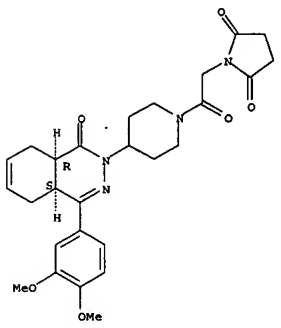
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018457	A1	20040304	WO 2003-EP8675	20030806
WO 2004018457	C1	20040506		
W: AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2494613	AA	20040304	CA 2003-2494613	20030806
AU 2003258576	A1	20040311	AU 2003-258576	20030806
EP 1537100	A1	20050608	EP 2003-792257	20030806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013330	A	20050614	BR 2003-13330	20030806
CN 1671695	A	20050921	CN 2003-818520	20030806
JP 2006500370	T2	20060105	JP 2004-530086	20030806
US 2006160813	A1	20060720	US 2005-523412	20051107
PRIORITY APPLN. INFO.:			EP 2002-4987 A	20020810
			WO 2003-EP8675 W	20030806

OTHER SOURCE(S): MARPAT 140:217652
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB 1-(4-Piperidinyl)-4a,5,8,8a-tetrahydro-1H-phthalazin-1-one compds. of formula (I) [R1 and R2 are both H or together form an addnl. bond; R3 = a Ph derivative of formulas Q or Q1; R4 = C1-4 alkoxy or C1-4 alkoxy which is completely or predominantly substituted by fluorine; R5 = C1-4 alkoxy, C3-7 cycloalkoxy, C3-7 cycloalkylmethoxy, C1-4 alkoxy which is completely or predominantly substituted by fluorine; R6 = C1-4 alkoxy or C1-4 alkoxy which is completely or predominantly substituted by fluorine; wherein R7 =

L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
C1-4 alkyl; R8 = H, C1-4 alkyl; or R7 and R8 together and with inclusion of the two carbon atoms, to which they are bonded, form a spiro-linked 5-, 6- or 7-membered hydrocarbon ring, optionally interrupted by an oxygen or sulfur atom; R9 = CO(CH2)n-R10; wherein R10 = 2,5-dioxopyrrolidin-1-yl; n = an integer of 1-4] and the salts of these compds. These compds. are useful in the prepn. of pharmaceutical compns. for the treatment of an illness treatable by the administration of a PDE4 inhibitor, in particular airway disorders. Thus, 1-[2-[4-[(4aS,8aR)-4-(3,4-Dimethoxyphenyl)-1-oxo-4a,5,8,8a-tetrahydro-1H-phthalazin-2-yl]piperidin-1-yl]-2-oxoethyl]pyrrolidine-2,5-dione >. Thus, a mixt. of 1 g (4aS,8aR)-2-[1-(2-Chloroethanoyl)piperidin-4-yl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one, 0.4 g succinimide, 1 g potassium carbonate in 20 mL DMF was stirred for 18 h at room temp. to give, after workup and silica gel chromatog. and crystn. from EtOAc, 1-[2-[4-[(4aS,8aR)-4-(3,4-Dimethoxyphenyl)-1-oxo-4a,5,8,8a-tetrahydro-1H-phthalazin-2-yl]piperidin-1-yl]-2-oxoethyl]pyrrolidine-2,5-dione (II).
II showed -logIC50(mol/L) of 10.66 against PDE4.
IT 666735-56-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidinedione substituted piperidine-phthalazones as cyclic nucleotide phosphodiesterase-4 (PDE4) inhibitors for treating airway diseases)
RN 666735-56-4 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(2,5-dioxo-1-pyrrolidinyl)acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

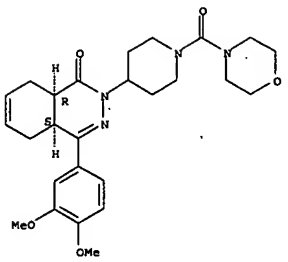
L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:719308 CAPLUS
DOCUMENT NUMBER: 139:240373
TITLE: Pharmaceutical composition of a phosphodiesterase 4 (PDE4) inhibitor or a PDE3/4 inhibitor and a histamine receptor antagonist for the treatment of respiratory diseases
INVENTOR(S): Beume, Rolf; Bundschuh, Daniela; Weimar, Christian; Wollin, Stefan-lutz
PATENT ASSIGNEE(S): Altana Pharma Ag, Germany
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074055	A1	20030912	WO 2003-EP1876	20030225
W: AE, AL, AU, BA, BR, CA, CN, CO, CU, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR				
CA 2478612	AA	20030912	CA 2003-2478612	20030225
AU 2003212268	A1	20030916	AU 2003-212268	20030225
EP 1482938	A1	20041208	EP 2003-708130	20030225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008220	A	20050104	BR 2003-8220	20030225
US 2005112069	A1	20050526	US 2003-506875	20030225
JP 2005524666	T2	20050818	JP 2003-572572	20030225
NZ 535611	A	20060331	NZ 2003-535611	20030225
NO 2004004230	A	20041206	NO 2004-4230	20041006
PRIORITY APPLN. INFO.:			EP 2002-4987 A	20020306
			WO 2003-EP1876 W	20030225

AB The invention discloses the combined administration of PDE4 or PDE3/4 inhibitors and histamine receptor antagonists for the treatment of respiratory diseases.
IT 449760-26-3 449760-35-4 449760-42-3
449760-47-8 596102-07-7 596102-09-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phosphodiesterase 4 (PDE4) inhibitor or PDE3/4 inhibitor combination with histamine receptor antagonist for treatment of respiratory disease)
RN 449760-26-3 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

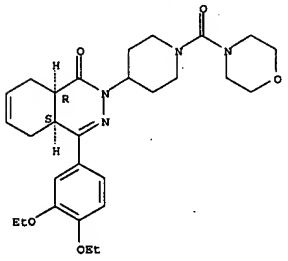
Absolute stereochemistry.

L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-35-4 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

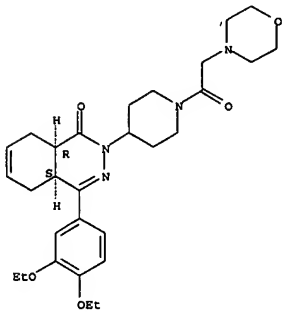
Absolute stereochemistry.



RN 449760-42-3 CAPLUS
CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

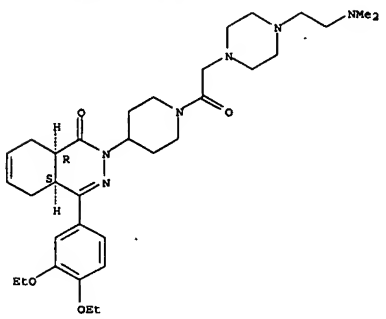
Absolute stereochemistry.

L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



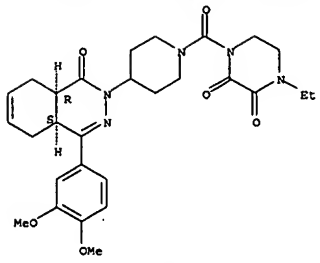
RN 596102-09-9 CAPLUS
CN Piperidine,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[4-[2-(dimethylamino)ethyl]-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



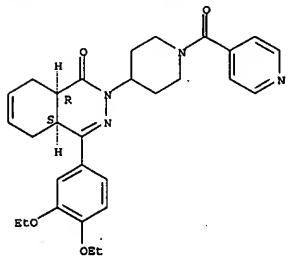
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-47-8 CAPLUS
CN Piperidine,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 596102-07-7 CAPLUS
CN Piperidine,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-morpholinylacetyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

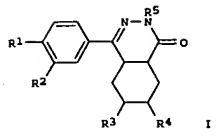
L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:832801 CAPLUS
DOCUMENT NUMBER: 137:337906
TITLE: Preparation of phthalazinones as phosphodiesterase 4/7 inhibitors.
INVENTOR(S): Hatzelmann, Armin; Marx, Degenhard; Steinhilber, Wolfram; Sterk, Geert Jan
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085906	A2	20021031	WO 2002-EP4438	20020423
WO 2002085906	A3	20021219		
W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CU, CZ, DZ, EC, EE, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, RO, SG, SI, SK, TN, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2445233	AA	20021031	CA 2002-2445233	20020423
EP 1385848	A2	20040204	EP 2002-747291	20020423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300514	A	20040216	EE 2003-514	20020423
CN 1503792	A	20040609	CN 2002-808742	20020423
BR 2002009149	A	20040713	BR 2002-9149	20020423
JP 2004526789	T2	20040902	JP 2002-583433	20020423
NZ 529221	A	20050429	NZ 2002-529221	20020423
US 2004127707	A1	20040701	US 2003-475657	20031023
NO 2003004773	A	20031210	NO 2003-4773	20031024
BG 108294	A	20040930	BG 2003-108294	20031027
ZA 2003008930	A	20040609	ZA 2003-8930	20031117
PRIORITY APPLN. INFO.:			EP 2001-110228 A	20010425
			WO 2002-EP4438 W	20020423

OTHER SOURCE(S): MARPAT 137:337906
GI

L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

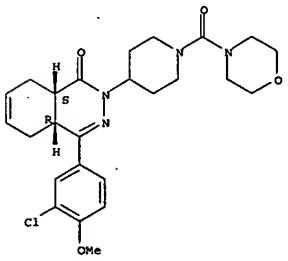


I

AB Title compds. (I; R1 = alkoxy, fluoroalkoxy; R2 = F, Br, Cl; R3, R4 = H; R3R4 = bond; R5 = alkyl, cycloalkyl, cycloalkylmethyl, alkenyl, alkynyl, phenylalkenyl, polycycloalkyl, naphthyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, etc.), were prepared Thus, cis-4-(3-chloro-4-methoxyphenyl)-2-piperidin-4-yl-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one (preparation given) was stirred 16 h with morpholine-4-carbonyl chloride in pyridine to give cis-4-(3-chloro-4-methoxyphenyl)-2-[1-(1-morpholin-4-ylmethanoyl)piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one. The latter inhibited PDE4 and PDE7 with -log IC50 = 8.64 and 7.64, resp.
IT 474122-96-8P 474123-17-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phthalazinones as phosphodiesterase 4/7 inhibitors)
RN 474122-96-8 CAPLUS
CN Morpholine, 4-[[4-[(4aR,8aS)-4-(3-chloro-4-methoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-, rel- (9CI) (CA INDEX NAME)

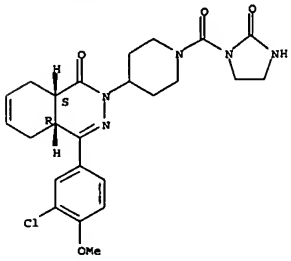
Relative stereochemistry.

L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 474123-17-6 CAPLUS
CN Piperidine, 4-[(4aR,8aS)-4-(3-chloro-4-methoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(2-oxo-1-imidazolidinyl)carbonyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:637671 CAPLUS
DOCUMENT NUMBER: 137:185496
TITLE: Preparation of piperidinyl benzopyridazine derivatives as PDE4 inhibitors for treatment of airway disorders
INVENTOR(S): Hatzelmann, Armin; Bundschuh, Daniela; Kley, Hans-peter; Timmerman, Hendrik; Christiaans, Johannes A. M.; Grundler, Gerhard; Gutterer, Beate; Sterk, Geert Jan
PATENT ASSIGNEE(S): Byk Gulden Lomberg Chemische Fabrik Gmbh, Germany
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064584	A1	20020822	WO 2002-EP1547	20020214
W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CU, CZ, DZ, EC, EE, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, RO, SG, SI, SK, TN, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2438520	AA	20020822	CA 2002-2438520	20020214
EE 200300311	A	20031015	EE 2003-311	20020214
EP 1362044	A1	20031119	EP 2002-701277	20020214
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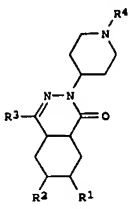
BR 2002007278	A	20040210	BR 2002-7278	20020214
JP 2004518727	T2	20040624	JP 2002-564515	20020214
CN 1524080	A	20040825	CN 2002-805038	20020214
NZ 527424	A	20050225	NZ 2002-527424	20020214
US 2004067946	A1	20040408	US 2003-467832	20030813
US 6953853	B2	20051011		
NO 2003003618	A	20031015	NO 2003-3618	20030814
BG 108124	A	20040831	BG 2003-108124	20030821
ZA 2003006815	A	20040617	ZA 2003-6815	20030901
US 2005234062	A1	20051020	US 2005-143721	20050603
PRIORITY APPLN. INFO.:			EP 2001-103496 A	20010215
			WO 2002-EP1547 W	20020214
			US 2003-467832 A1	20030813

OTHER SOURCE(S): MARPAT 137:185496
GI

L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

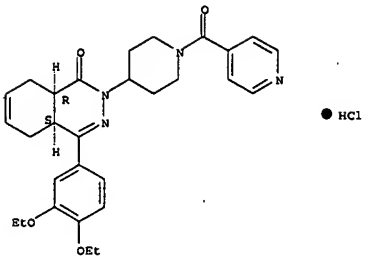


I

AB Piperidinyl benzopyridazine derivs. [I; wherein R1 and R2 = H, or together
form an addnl. bond; R3 = substituted benzene, benzopyran derivative; R4 =
(C1-C4)alkoxy, optionally substituted with fluorine] were prepared Thus, to
a solution of
(4aS,8aR)-4-(3,4-diethoxyphenyl)-2-piperidin-4-yl-4a,5,8,8a-
tetrahydro-2H-phthalazin-1-one hydrochloride (synthetic preparation given) and
p-TsCl in pyridine is stirred to give (4aS,8aR)-4-(3,4-diethoxyphenyl)-2-
[1-(toluene-4-sulfonyl)-piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-
1-one. The prepared compds. are effective PDE4 inhibitors useful in the
treatment of airway disorders.
IT 449760-18-3P 449760-26-3P 449760-35-4P
449760-42-3P 449760-47-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of piperidinyl benzopyridazine derivs. as PDE4 inhibitors for
treatment of airway disorders)
RN 449760-18-3 CAPLUS
CN Piperidine,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-
2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)-, monohydrochloride (9CI) (CA
INDEX NAME)

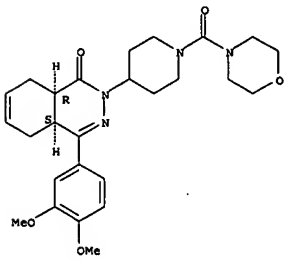
Absolute stereochemistry.

L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-26-3 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-
1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

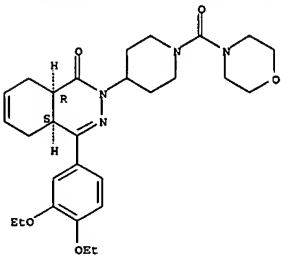
Absolute stereochemistry.



RN 449760-35-4 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-
oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

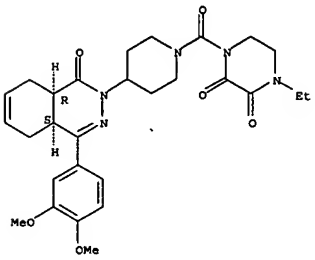
Absolute stereochemistry.

L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-42-3 CAPLUS
CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

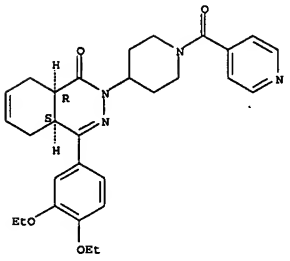
Absolute stereochemistry.



RN 449760-47-8 CAPLUS
CN Piperidine,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-
2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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7
ring nodes :
1 2 3 4 5 6 8 9 10 11 13 14 15 16 17 18 19 20 21 22 23 24
chain bonds :
1-13 4-7
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-8 6-11 8-9 9-10 10-11 13-14 13-18 14-15
15-16 16-17 17-18 19-20 19-24 20-21 21-22 22-23 23-24
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-8 6-11 8-9 9-10 10-11 19-20 19-24 20-21
21-22 22-23 23-24
exact bonds :
1-13
normalized bonds :
13-14 13-18 14-15 15-16 16-17 17-18
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom
11:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom
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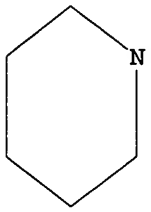
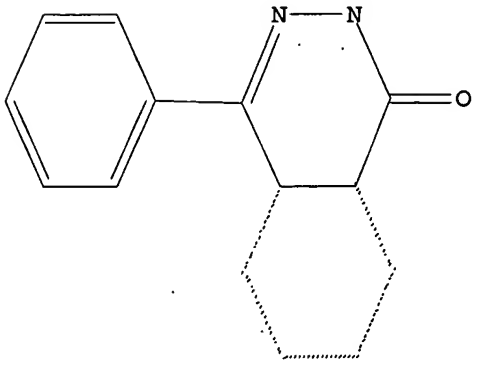
L1 HAS NO ANSWERS
L1 STR





Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -     1738 TO ITERATE

100.0% PROCESSED     1738 ITERATIONS                                 22 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        32260 TO    37260
PROJECTED ANSWERS:             159 TO      721

L2            22 SEA SSS SAM L1

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FULL SEARCH INITIATED 09:06:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    36109 TO ITERATE

100.0% PROCESSED    36109 ITERATIONS                                425 ANSWERS
SEARCH TIME: 00.00.01

L3           425 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   166.94     167.15

FILE 'CAPLUS' ENTERED AT 09:06:14 ON 18 OCT 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 18 Oct 2006  VOL 145 ISS 17
FILE LAST UPDATED: 16 Oct 2006  (20061016/ED)

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L4            47 L3

=> d ibib abs hitstr tot
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L4 ANSWER 1 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:411819 CAPLUS
DOCUMENT NUMBER: 144:425730
TITLE: Use of a pyridine compound for the preparation of a medicament for the treatment of skin lesions
INVENTOR(S): Takagi, Tamotsu; Naotsuka, Atsuko
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006046774	A1	20060504	WO 2005-JP20241	20051028
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
JP 2006151964	A2	20060615	JP 2005-313669	20051028
PRIORITY APPLN. INFO.:			JP 2004-315553 A	20041029

OTHER SOURCE(S): MARPAT 144:425730
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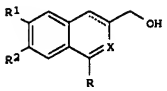
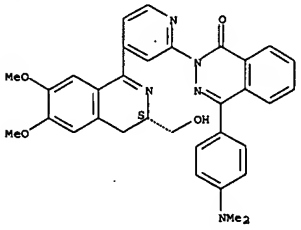


I

AB The invention discloses the use of a pyridine compound I [R = substituted pyridyl group; R0 = C1-6 alkoxy-C1-6 alkyl; R1, R2 = C1-6 alkoxy; X = =N-, =C(CH2OH)-; Ring A = (un)substituted (un)saturated 10-membered N-containing heterobicyclic group; dotted line = presence or absence of double bond], or a pharmaceutically acceptable salt thereof, for the preparation of a medicament for treatment of skin lesion.
IT 209261-70-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyridine derivs. for prepn. of medicaments for treatment of skin lesions)
RN 209261-70-1 CAPLUS
CN 1(2H)-Phthalazinone, 2-[4-[(3S)-3,4-dihydro-3-(hydroxymethyl)-6,7-dimethoxy-1-isoquinolinyl]-2-pyridinyl]-4-[4-(dimethylamino)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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REFERENCE COUNT: 69 THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:317255 CAPLUS
DOCUMENT NUMBER: 144:370107
TITLE: Preparation of phthalazinone derivatives as cytokine modulators
INVENTOR(S): Zembower, David E.; Singh, Jasbir; Mishra, Rama K.
PATENT ASSIGNEE(S): Angion Biomedica Corp., USA
SOURCE: PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006036981	A2	20060406	WO 2005-US34669	20050928
WO 2006036981	A3	20060727		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006116365	A1	20060601	US 2005-238285	20050928
PRIORITY APPLN. INFO.:			US 2004-613740P P	20040928
			US 2005-675241P P	20050427

OTHER SOURCE(S): MARPAT 144:370107
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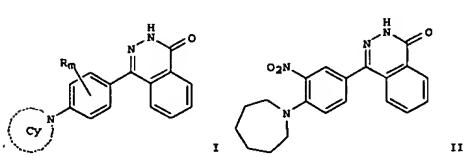
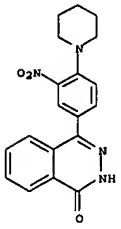


I II

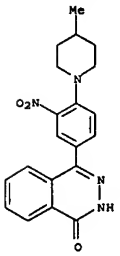
AB Title compds. represented by the formula I [wherein m = 1-4; R = independently H, halo, OH, (un)substituted (hetero)cyclyl, etc.; Cy = (un)substituted homopiperidinyl, piperidinyl, pyrrolidinyl, etc.; and pharmaceutically acceptable derivs. thereof] were prepared as cytokine modulators. For example, II was provided in a multi-step synthesis starting from 2-(4'-chloro-3'-nitrobenzoyl)benzoic acid. I showed activity for inducing proliferation of HUVEC (endothelial cells) and oligodendrocyte with the EC50 value of 2.5 μM and 0.2 μM, resp.

L4 ANSWER 2 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Thus, I and their pharmaceutical compns. are useful for the treatment of HGF/SF or other cytokine activity assocd. diseases, disorders or conditions.
IT 218144-45-7P 575460-40-1P 673444-00-3P
882000-11-5P 882000-12-6P 882000-13-7P
882000-14-8P 882000-36-4P 882000-37-5P
882000-38-6P 882000-41-1P 882000-42-2P
882000-45-5P 882000-46-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phthalazinone derivs. as cytokine modulators)
RN 218144-45-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[3-nitro-4-(1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)

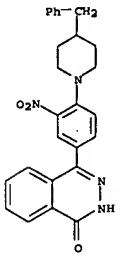


RN 575460-40-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-[4-(4-methyl-1-piperidinyl)-3-nitrophenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

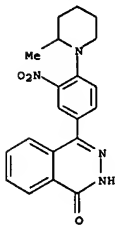


RN 673444-00-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-[3-nitro-4-[4-(phenylmethyl)-1-piperidinyl]phenyl]- (9CI) (CA INDEX NAME)

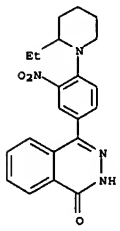


RN 882000-11-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-[4-(2-methyl-1-piperidinyl)-3-nitrophenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

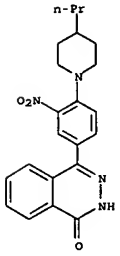


RN 882000-12-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-[4-(2-ethyl-1-piperidinyl)-3-nitrophenyl]- (9CI) (CA INDEX NAME)

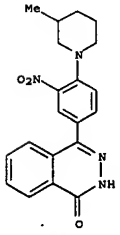


RN 882000-13-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[3-nitro-4-(4-propyl-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

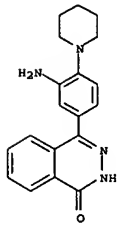


RN 882000-14-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-[4-(3-methyl-1-piperidinyl)-3-nitrophenyl]- (9CI) (CA INDEX NAME)

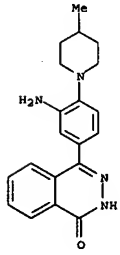


RN 882000-36-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-[3-amino-4-(1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

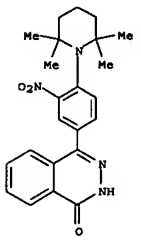


RN 882000-37-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-[3-amino-4-(4-methyl-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)

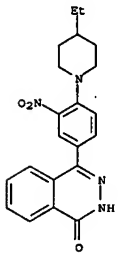


RN 882000-38-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-[3-nitro-4-(2,2,6,6-tetramethyl-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

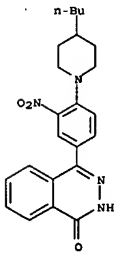


RN 882000-41-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-[4-(4-ethyl-1-piperidinyl)-3-nitrophenyl]- (9CI) (CA INDEX NAME)

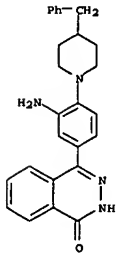


RN 882000-42-2 CAPLUS
CN 1(2H)-Phthalazinone, 4-[3-amino-4-[4-(phenylmethyl)-1-piperidinyl]phenyl]- (9CI) (CA INDEX NAME)

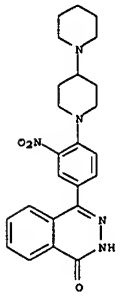
L4 ANSWER 2 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 2 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 882000-45-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-(4-[1,4'-bipiperidin]-1'-yl-3-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 882000-46-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-[4-(4-butyl-1-piperidinyl)-3-nitrophenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

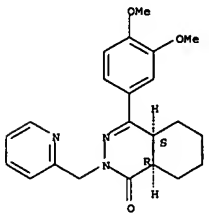
ACCESSION NUMBER: 2006:98192 CAPLUS
DOCUMENT NUMBER: 145:116682
TITLE: QSAR studies on cis-hexa and tetra-hydrophthalazinones: a new class of selective PDE-4 inhibitors
AUTHOR(S): Lakshmi, M.; Dixit, Anshuman; Saxena, Anil K.
CORPORATE SOURCE: Medicinal and Process Chemistry Division, Central Drug Research Institute, Lucknow, 226 001, India
SOURCE: Indian Journal of Chemistry, Section A: Inorganic, Bio-inorganic, Physical, Theoretical & Analytical Chemistry (2006), 45A(1), 34-44
CODEN: ICACEC; ISSN: 0376-4710
PUBLISHER: National Institute of Science Communication and Information Resources
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Quant. structure activity relation studies have been carried out on cis-hexa and tetra-hydrophthalazinones, a new class of selective PDE-4 inhibitors. The 78 compds. analyzed were divided into a training set of 62 and test set of 15 mols. (excluding one compound) each describing a similar range of biol. activity. Among several 2D and 3D parameters, the molar refractivity, dielec. energy and structural parameters as indicator variables (I1, I2, I3) best describe the variation in PDE-4 inhibitory activity in the training set with high correlation(r=>0.9) of >99% significance. These equations also show a good test set prediction (r>0.8) and thus may be useful in designing new potential PDE4 inhibitors.

IT 210467-38-2 210467-43-9 439660-83-0
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(QSAR studies on hydrophthalazinones as PDE-4 inhibitors)

RN 210467-38-2 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-2-(2-pyridinylmethyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

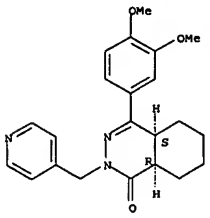
Relative stereochemistry.



RN 210467-43-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-2-(4-

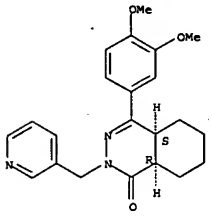
L4 ANSWER 3 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
pyridinylmethyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 439660-83-0 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-2-(3-pyridinylmethyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:823689 CAPLUS
DOCUMENT NUMBER: 143:229869
TITLE: Preparation of phthalazinone derivatives as PDE4 inhibitors
INVENTOR(S): Hatzelmann, Armin; Barsig, Johannes; Marx, Degenhard; Kley, Hans-Peter; Christiaans, Johannes A. M.; Menge, Wiro M. P. B.; Sterk, Geert Jan
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005075457	A1	20050818	WO 2005-EP50417	20050201
WO 2005075457	C1	20060302		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
SM
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2004-2423 A 20040204

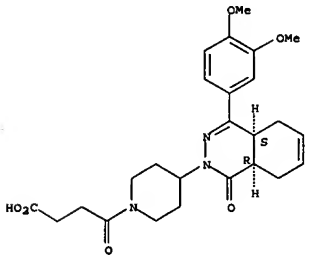
OTHER SOURCE(S): MARPAT 143:229869
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 and R2 are both H or together from an addnl. bond; R3 = (un)substituted phenyl; R4 = OH, alkoxy, NHR5, etc.; R5 = OH, alkoxy or alkoxyalkyl; n = 0, 2, 3, or 4] and their pharmaceutically acceptable salts, are prepared and disclosed as PDE4 inhibitors. Thus, e.g., II was prepared by coupling of (4aS,8aR)-4-(3,4-dimethoxy-phenyl)-2-piperidin-4-yl-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride (preparation given) with succinic anhydride. The inhibitory activity of I was evaluated using two different methods utilizing cAMP and it was revealed that compds. of the invention displayed -logIC50 values in the range of 8.4 up to 10.4 mol/L. I as inhibitor of PDE4 should prove useful in the treatment of airway disorders. Pharmaceutical compns. comprising I are disclosed.
IT 862462-47-3P

L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of phthalazinone derivs. as PDE4 inhibitors)
RN 862462-47-3 CAPLUS
CN 1-Piperidinebutanoic acid,
4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-γ-oxo- (9CI) (CA INDEX NAME)

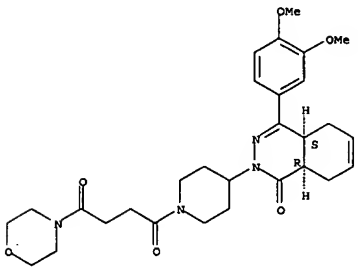
Absolute stereochemistry.



IT 862462-48-4P 862462-50-8P 862462-51-9P
862462-53-1P 862462-54-2P 862462-55-3P
862462-56-4P 862462-57-5P 862462-58-6P
862462-59-7P 862462-60-0P 862462-61-1P
862462-62-2P 862462-63-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phthalazinone derivs. as PDE4 inhibitors)
RN 862462-48-4 CAPLUS
CN Morpholine, 4-[4-[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]-1,4-dioxobutyl]-(9CI)
(CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

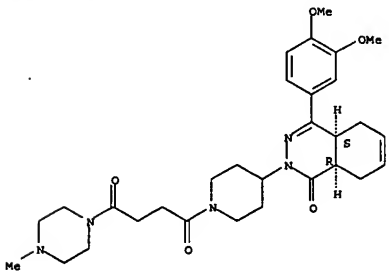


RN 862462-50-8 CAPLUS
CN Piperazine, 1-[4-[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]-1,4-dioxobutyl]-4-methyl-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 862462-49-5
CMF C30 H41 N5 O5

Absolute stereochemistry.

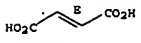


CM 2

CRN 110-17-8

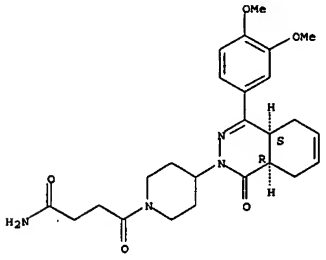
L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CMF C4 H4 O4

Double bond geometry as shown.



RN 862462-51-9 CAPLUS
CN 1-Piperidinebutanamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-γ-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



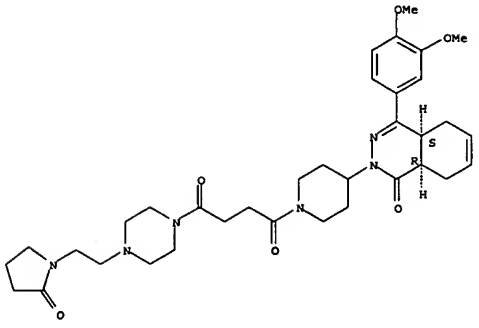
RN 862462-53-1 CAPLUS
CN Piperazine, 1-[4-[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]-1,4-dioxobutyl]-4-[2-(2-oxo-1-pyrrolidinyl)ethyl]-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 862462-52-0
CMF C35 H48 N6 O6

Absolute stereochemistry.

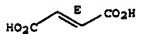
L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

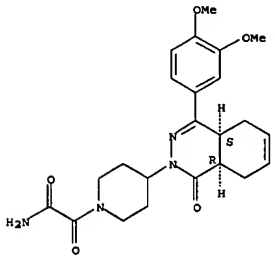
Double bond geometry as shown.



RN 862462-54-2 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-α-oxo- (9CI) (CA INDEX NAME)

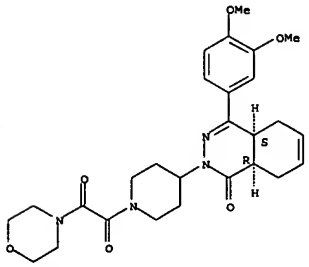
Absolute stereochemistry.

L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 862462-55-3 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]oxoacetyl]- (9CI) (CA INDEX NAME)

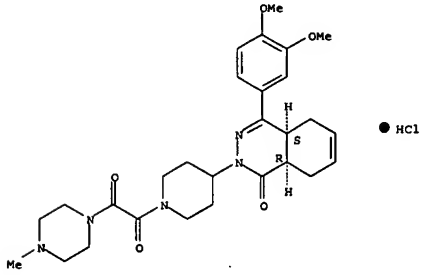
Absolute stereochemistry.



RN 862462-56-4 CAPLUS
CN Piperazine, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]oxoacetyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

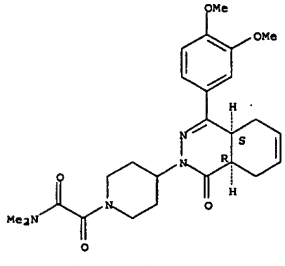
Absolute stereochemistry.

L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 862462-57-5 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N,N-dimethyl-α-oxo- (9CI) (CA INDEX NAME)

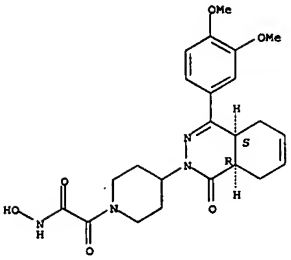
Absolute stereochemistry.



RN 862462-58-6 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-hydroxy-α-oxo- (9CI) (CA INDEX NAME)

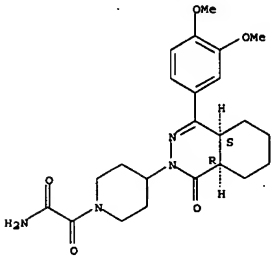
Absolute stereochemistry.

L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 862462-59-7 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-1-oxo-2(1H)-phthalazinyl]-α-oxo- (9CI) (CA INDEX NAME)

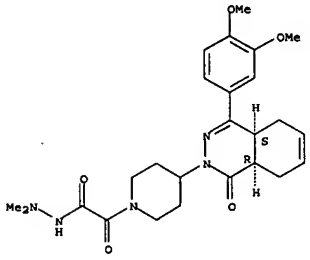
Absolute stereochemistry.



RN 862462-60-0 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]oxoacetyl]- (9CI) (CA INDEX NAME)

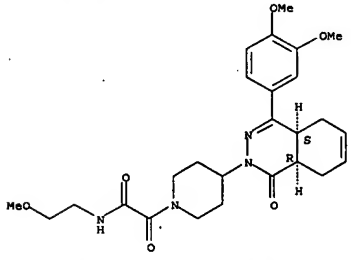
Absolute stereochemistry.

L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 862462-63-3 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(2-methoxyethyl)-α-oxo- (9CI) (CA INDEX NAME)

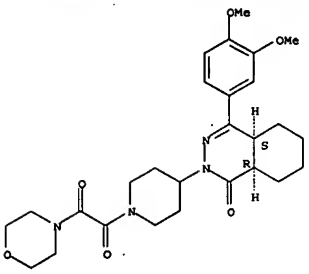
Absolute stereochemistry.



IT 380226-97-1P 785047-47-4P 862462-64-4P
862462-65-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phthalazinone derivs. as PDE4 inhibitors)
RN 380226-97-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

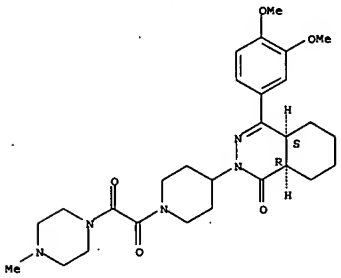
Absolute stereochemistry.

L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 862462-61-1 CAPLUS
CN Piperazine, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]oxoacetyl]-4-methyl- (9CI) (CA INDEX NAME)

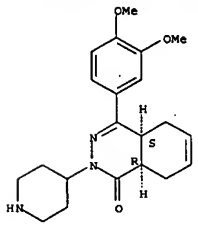
Absolute stereochemistry.



RN 862462-62-2 CAPLUS
CN 1-Piperidineacetic acid, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-α-oxo-, 2,2-dimethylhydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

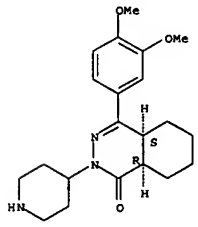
L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 785047-47-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-2-(4-piperidinyl)-, (4aS,8aR)- (9CI) (CA INDEX NAME)

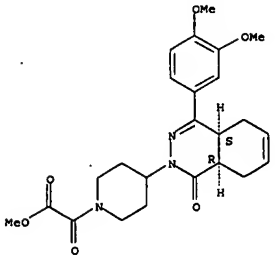
Absolute stereochemistry.



RN 862462-64-4 CAPLUS
CN 1-Piperidineacetic acid, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-α-oxo-, methyl ester (9CI) (CA INDEX NAME)

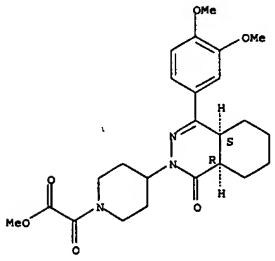
Absolute stereochemistry.

L4 ANSWER 4 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 862462-65-5 CAPLUS
CN 1-Piperidineacetic acid, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-1-oxo-2(1H)-phthalazinyl]-α-oxo-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 . THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

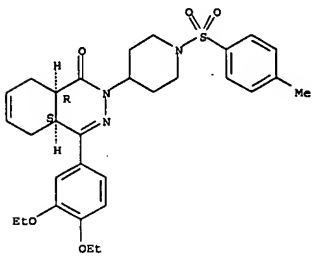
L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:232615 CAPLUS
DOCUMENT NUMBER: 142:291403
TITLE: Use of phosphodiesterase 4 (PDE4) inhibitors for the treatment of diabetes mellitus
INVENTOR(S): Hauser, Daniela; Hanauer, Guido; Grundler, Gerhard; Schmidt, Beate; Kemkowski, Joerg; Kley, Hans-Peter
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005023253	A1	20050317	WO 2004-EP52005	20040902
WO 2005023253	C1	20060302		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004269923	A2	20050317	AU 2004-269923	20040902
AU 2004269923	A1	20050317		
CA 2537230	AA	20050317	CA 2004-2537230	20040902
PRIORITY APPLN. INFO.:			EP 2003-20126 A	20030905
			WO 2004-EP52005 W	20040902

AB The invention discloses the use of certain known PDE4 inhibitors for the treatment of diabetes mellitus and accompanying disorders thereof.
IT 449760-14-9 449760-15-0 449760-16-1
449760-17-2 449760-19-4 449760-20-7
449760-21-8 449760-22-9 449760-23-0
449760-24-1 449760-25-2 449760-26-3
449760-28-5 449760-29-6 449760-30-9
449760-35-4 449760-40-1 449760-42-3
449760-47-8 449760-48-9 449760-49-0
449760-50-3 449760-51-4 449760-52-5
449760-53-6 449760-56-9 449760-57-0
449760-58-1 596102-01-1 596102-07-7
596102-09-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phosphodiesterase 4 inhibitors for treatment of diabetes mellitus)
RN 449760-14-9 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-

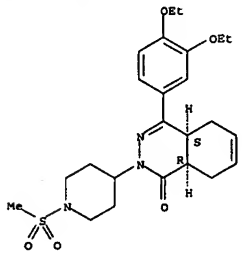
L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
2(1H)-phthalazinyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-15-0 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

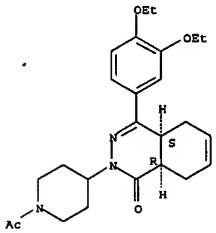
Absolute stereochemistry.



RN 449760-16-1 CAPLUS
CN Piperidine, 1-acetyl-4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

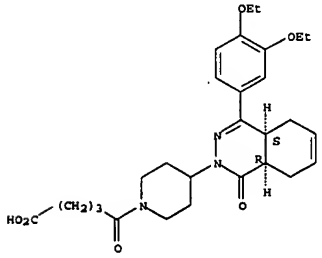
Absolute stereochemistry.

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-17-2 CAPLUS
CN 1-Piperidinepentanoic acid, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-δ-oxo- (9CI) (CA INDEX NAME)

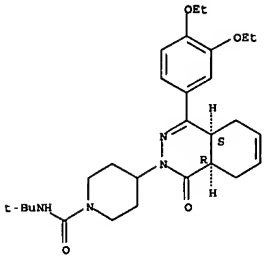
Absolute stereochemistry.



RN 449760-19-4 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

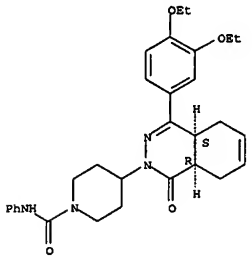
Absolute stereochemistry.

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-20-7 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-21-8 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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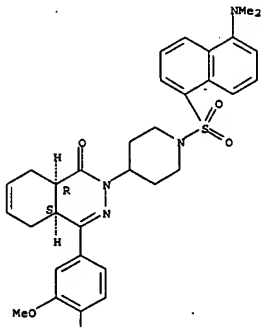

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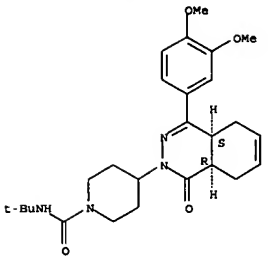
RN 449760-24-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-nitrophenyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

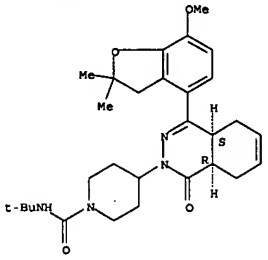
Absolute stereochemistry.

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-22-9 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aR,8aS)-4-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)-, rel- (9CI) (CA INDEX NAME)

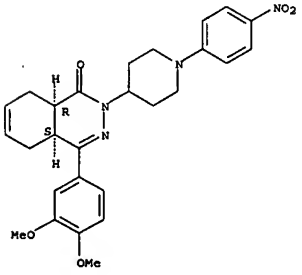
Relative stereochemistry.



RN 449760-23-0 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]- (9CI) (CA INDEX NAME)

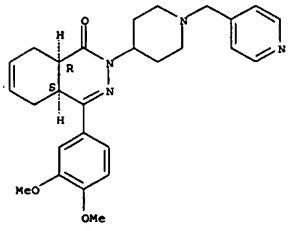
Absolute stereochemistry.

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-25-2 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

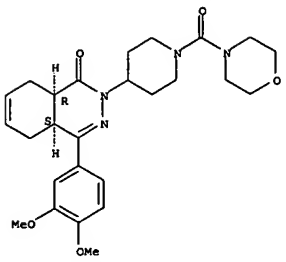
Absolute stereochemistry.



RN 449760-26-3 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-28-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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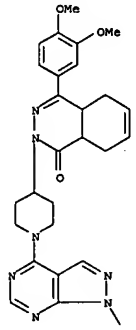
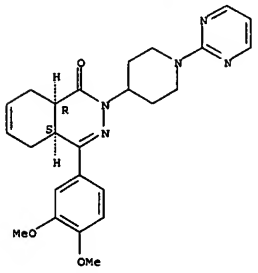


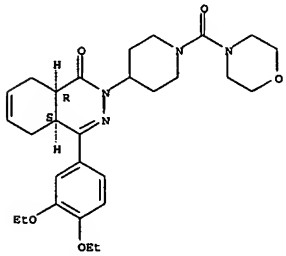
L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyrimidinyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-35-4 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-40-1 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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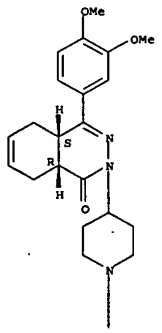
RN 449760-29-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(1-thieno[2,3-d]pyrimidin-4-yl-4-piperidinyl)-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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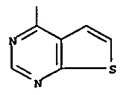
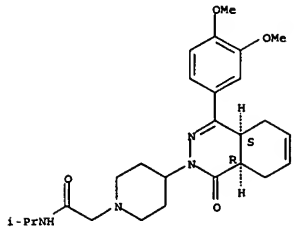


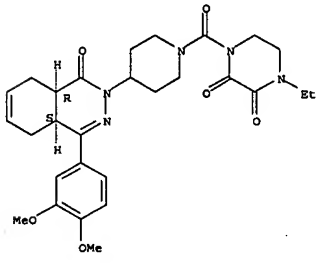
RN 449760-30-9 CAPLUS

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.



RN 449760-42-3 CAPLUS
CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

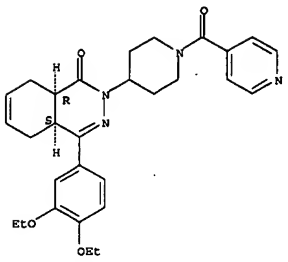
Absolute stereochemistry.



RN 449760-47-8 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

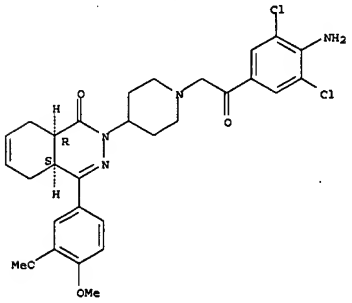
Absolute stereochemistry.

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



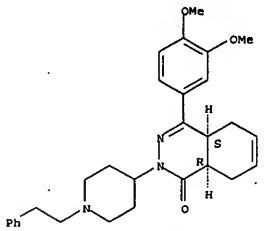
RN 449760-48-9 CAPLUS
CN 1(2H)-Phthalazinone, 2-[1-[2-(4-amino-3,5-dichlorophenyl)-2-oxoethyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, (4aS,8aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



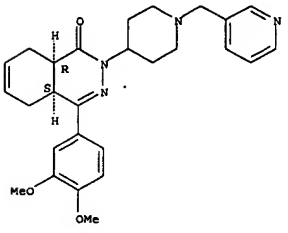
RN 449760-49-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[(2-oxo-2H-1-benzopyran-7-yl)methyl]-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.



RN 449760-52-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(3-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

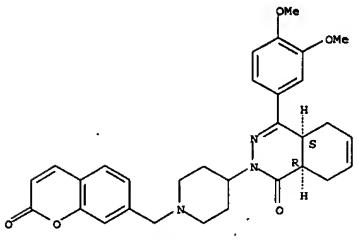


RN 449760-53-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

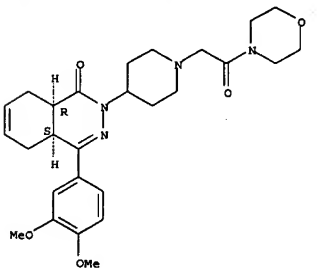
L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
INDEX NAME)

Absolute stereochemistry.



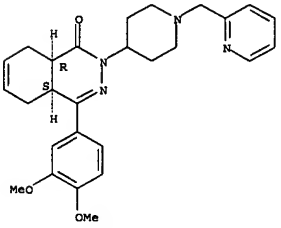
RN 449760-50-3 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



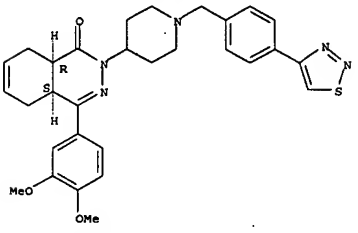
RN 449760-51-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-phenylethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-56-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methyl]-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

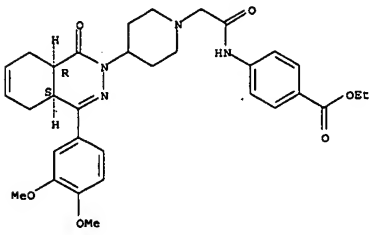
Absolute stereochemistry.



RN 449760-57-0 CAPLUS
CN Benzoic acid, 4-[[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

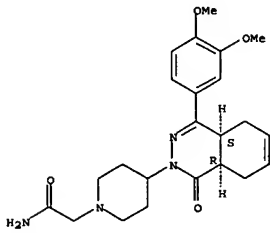
Absolute stereochemistry.

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



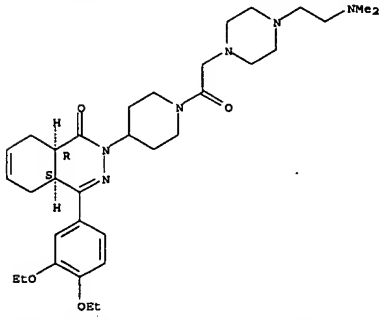
RN 449760-58-1 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 596102-01-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

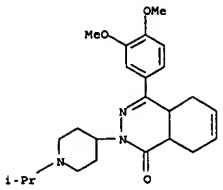
L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

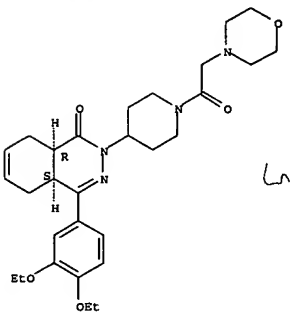
FORMAT

L4 ANSWER 5 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 596102-07-7 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-morpholinylacetyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 596102-09-9 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[4-[2-(dimethylamino)ethyl]-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:1036929 CAPLUS
DOCUMENT NUMBER: 142:16825
TITLE: Composition comprising a PDE4 inhibitor and a PDE5 inhibitor
INVENTOR(S): Dunkern, Thorsten; Hatzelmann, Armin; Schudt, Christian; Grimminger, Friedrich; Ghofrani, Hossein Ardeschir
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004103407	A2	20041202	WO 2004-EP50869	20040519
WO 2004103407	A3	20050217		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004241749	A1	20041202	AU 2004-241749	20040519
CA 2525946	AA	20041202	CA 2004-2525946	20040519
EP 1628682	A2	20060301	EP 2004-766017	20040519
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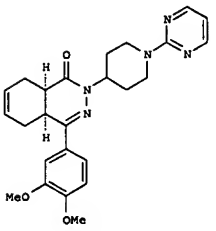
BR 2004010326	A	20060523	BR 2004-10326	20040519
CN 1791429	A	20060621	CN 2004-80013349	20040519
US 2006094723	A1	20060504	US 2005-556888	20051115
NO 2005005941	A	20051214	NO 2005-5941	20051214
PRIORITY APPLN. INFO.:			EP 2003-11609	A 20030522
			WO 2004-EP50869	W 20040519

GI

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

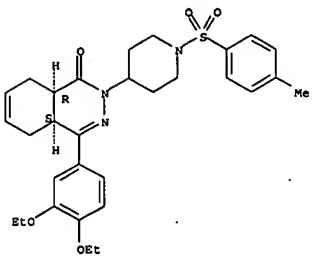
AB The invention relates to the combined administration of a PDE4 inhibitor and a PDE5 inhibitor for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or phosphodiesterase 5 (PDE5) activity is detrimental. Patients were administered orally one tablet of Roflumilase and once daily a tablet of Viagra. An example of another selected PDE4 inhibitor is I.

IT 449760-14-9 449760-15-0 449760-16-1
449760-17-2 449760-19-4 449760-20-7
449760-21-8 449760-22-9 449760-23-0
449760-24-1 449760-25-2 449760-26-3
449760-27-4 449760-28-5 449760-29-6
449760-30-9 449760-31-0 449760-35-4
449760-40-1 449760-42-3 449760-47-8
449760-50-3 449760-51-4 449760-52-5
449760-53-6 449760-54-7 449760-55-8
449760-56-9 449760-57-0 449760-58-1
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(composition comprising a PDE4 inhibitor and a PDE5 inhibitor)

RN 449760-14-9 CAPLUS
CN Piperidine,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

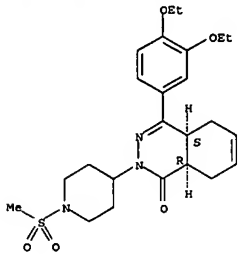
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-15-0 CAPLUS
CN Piperidine,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

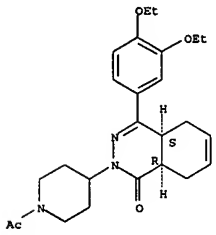
Absolute stereochemistry.



RN 449760-16-1 CAPLUS
CN Piperidine, 1-acetyl-4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

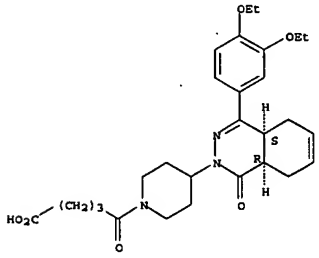
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-17-2 CAPLUS
CN 1-Piperidinepentanoic acid,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-δ-oxo- (9CI) (CA INDEX NAME)

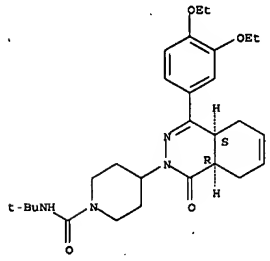
Absolute stereochemistry.



RN 449760-19-4 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

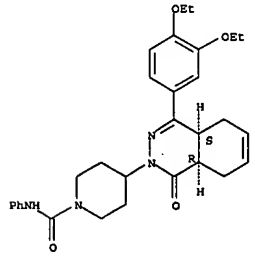
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-20-7 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-phenyl- (9CI) (CA INDEX NAME)

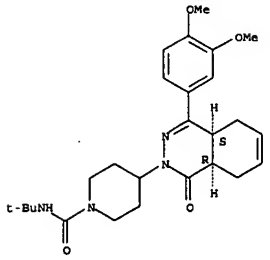
Absolute stereochemistry.



RN 449760-21-8 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

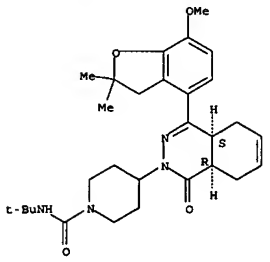
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-22-9 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aR,8aS)-4-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

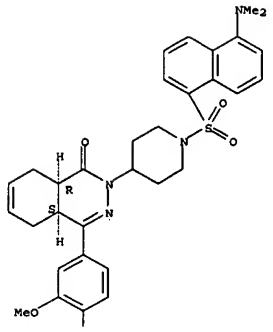


RN 449760-23-0 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A

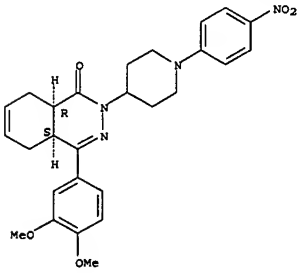


PAGE 2-A

RN 449760-24-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-nitrophenyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

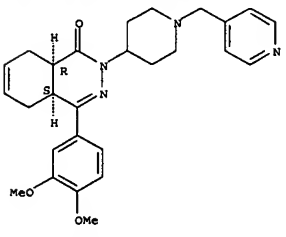
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-25-2 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

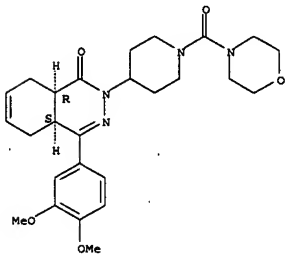
Absolute stereochemistry.



RN 449760-26-3 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

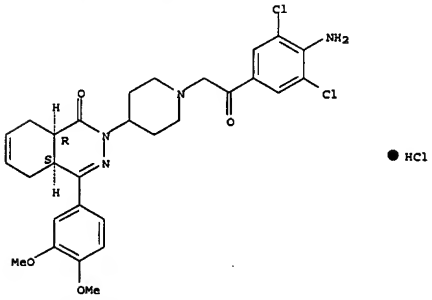
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-27-4 CAPLUS
CN 1(2H)-Phthalazinone, 2-[1-[2-(4-amino-3,5-dichlorophenyl)-2-oxoethyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-28-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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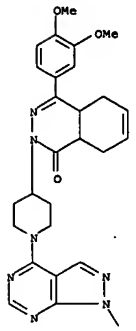

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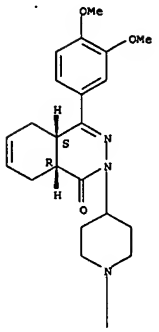
RN 449760-29-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(1-thieno[2,3-d]pyrimidin-4-yl-4-piperidinyl)-, (4aS,8aR)- (9CI) (CA INDEX NAME)

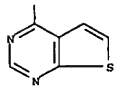
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



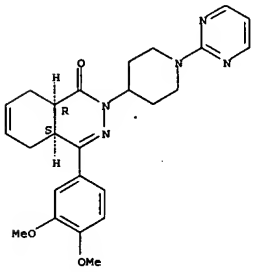
PAGE 2-A



RN 449760-30-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyrimidinyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

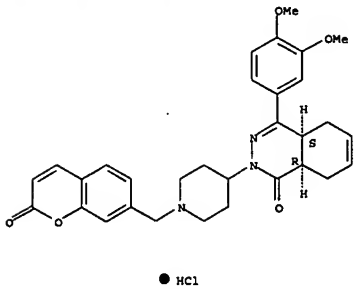
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-31-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[(2-oxo-2H-1-benzopyran-7-yl)methyl]-4-piperidinyl]-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

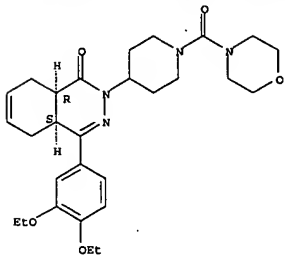


● HCl

RN 449760-35-4 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

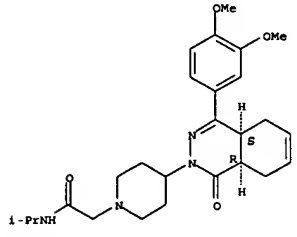
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-40-1 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

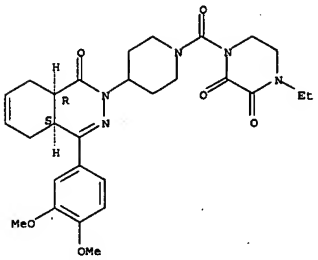
Absolute stereochemistry.



RN 449760-42-3 CAPLUS
CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

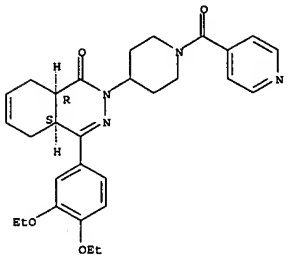
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-47-8 CAPLUS
CN Piperidine,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

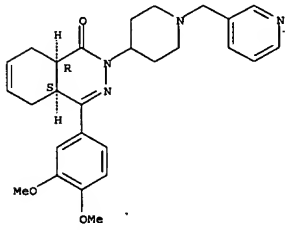
Absolute stereochemistry.



RN 449760-50-3 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

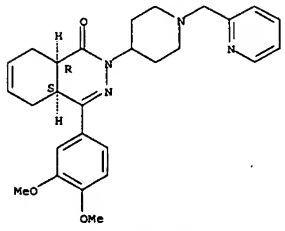
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-53-6 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

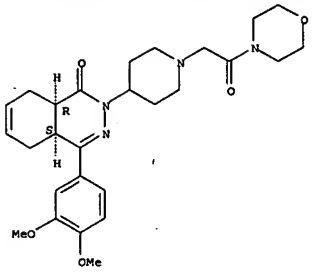
Absolute stereochemistry.



RN 449760-54-7 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

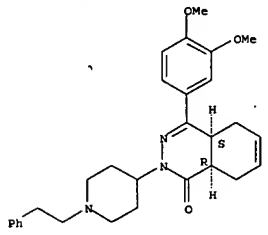
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-51-4 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-phenylethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

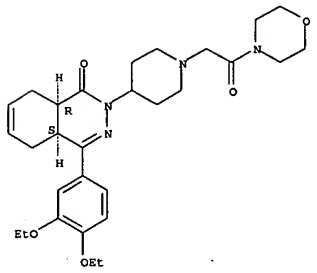
Absolute stereochemistry.



RN 449760-52-5 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(3-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

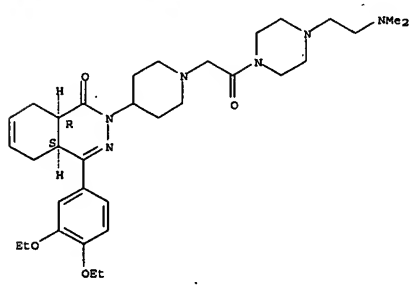
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-55-8 CAPLUS
CN 1-Piperazineethanamine,
4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

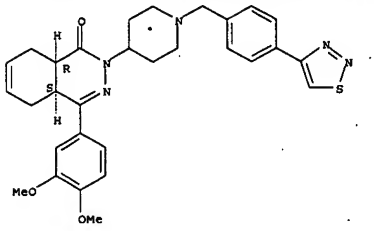
Absolute stereochemistry.



RN 449760-56-9 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methyl]-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

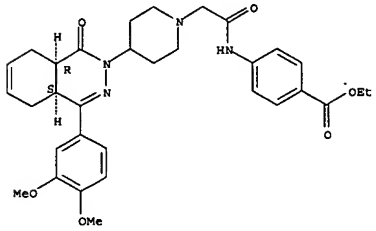
Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-57-0 CAPLUS
CN Benzoic acid, 4-[[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

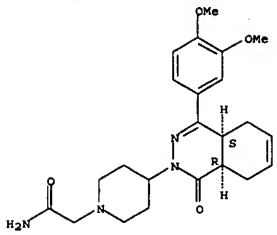
Absolute stereochemistry.



RN 449760-58-1 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 6 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:996001 CAPLUS
DOCUMENT NUMBER: 141:406065
TITLE: Composition comprising a PDE-4 inhibitor and a TNF-alpha antagonist
INVENTOR(S): Barsig, Johannes; Weimar, Christian
PATENT ASSIGNEE(S): Altana Pharma AG, Germany
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098633	A1	20041118	WO 2004-EP50748	20040510

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2003-10581 A 20030512

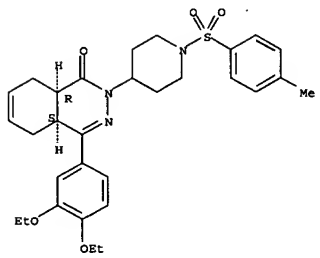
AB The invention relates to the combined administration of a PDE4 inhibitor and a TNFα antagonist selected from the group consisting of etanercept, onercept and pegsunercept for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or tumor necrosis factor alpha (TNFα) activity is detrimental.

IT 449760-14-9 449760-15-0 449760-16-1
449760-17-2 449760-19-4 449760-20-7
449760-21-8 449760-22-9 449760-23-0
449760-24-1 449760-25-2 449760-26-3
449760-28-5 449760-29-6 449760-30-9
449760-35-4 449760-40-1 449760-42-3
449760-47-8 449760-48-9 449760-49-0
449760-50-3 449760-51-4 449760-52-5
449760-53-6 449760-54-7 449760-55-8
449760-56-9 449760-57-0 449760-58-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic activity of phosphodiesterase 4 inhibitors and TNFα antagonists)

RN 449760-14-9 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

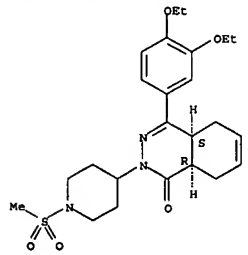
Absolute stereochemistry.

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-15-0 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

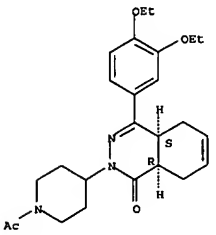
Absolute stereochemistry.



RN 449760-16-1 CAPLUS
CN Piperidine, 1-acetyl-4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

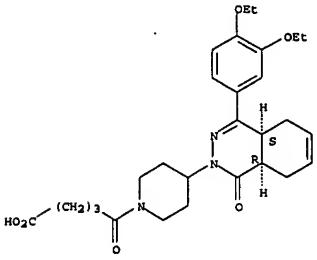
Absolute stereochemistry.

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-17-2 CAPLUS
CN 1-Piperidinepentanoic acid, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-δ-oxo- (9CI) (CA INDEX NAME)

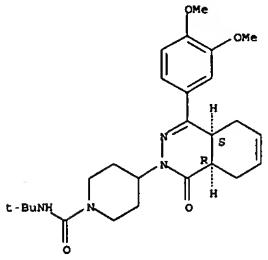
Absolute stereochemistry.



RN 449760-19-4 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

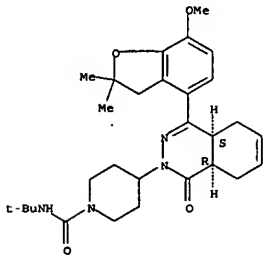
Absolute stereochemistry.

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-22-9 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aR,8aS)-4-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)-, rel- (9CI) (CA INDEX NAME)

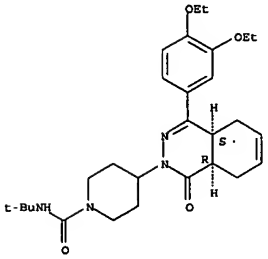
Relative stereochemistry.



RN 449760-23-0 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]- (9CI) (CA INDEX NAME)

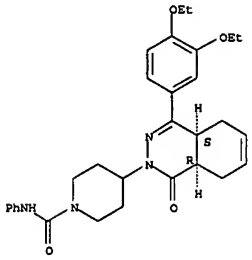
Absolute stereochemistry.

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-20-7 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

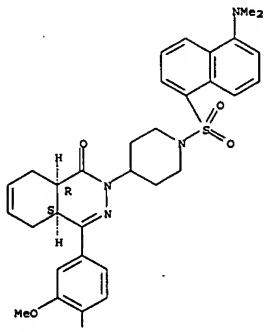


RN 449760-21-8 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



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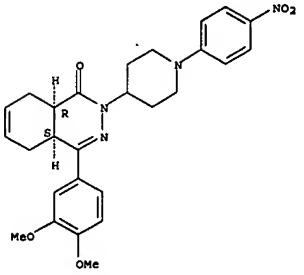
RN 449760-24-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-nitrophenyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

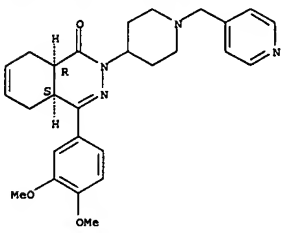
Absolute stereochemistry.

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-25-2 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

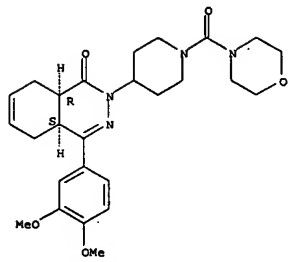
Absolute stereochemistry.



RN 449760-26-3 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-28-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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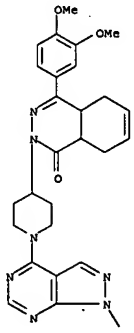

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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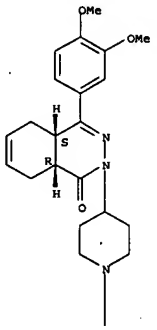
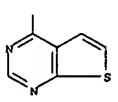
RN 449760-29-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(1-thieno[2,3-d]pyrimidin-4-yl-4-piperidinyl)-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



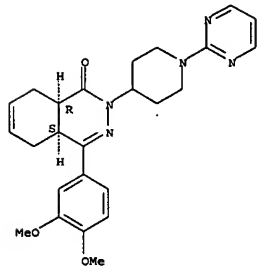
PAGE 2-A



RN 449760-30-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyrimidinyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

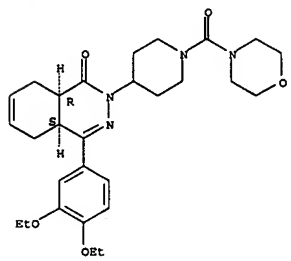
L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 449760-35-4 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

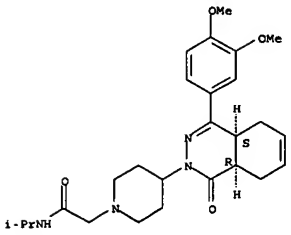
Absolute stereochemistry.



RN 449760-40-1 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

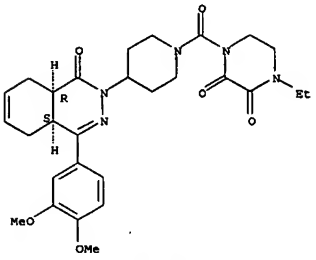
Absolute stereochemistry.

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-42-3 CAPLUS
CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

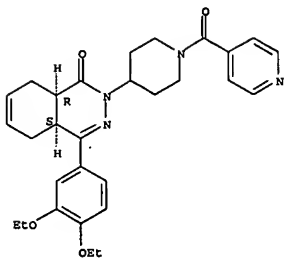
Absolute stereochemistry.



RN 449760-47-8 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

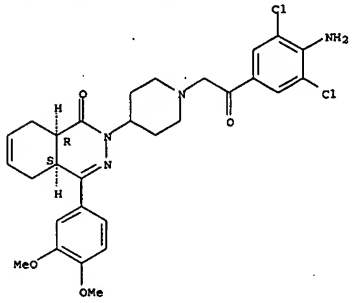
Absolute stereochemistry.

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-48-9 CAPLUS
CN 1(2H)-Phthalazinone, 2-[1-[2-(4-amino-3,5-dichlorophenyl)-2-oxoethyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, (4aS,8aR)- (9CI) (CA INDEX NAME)

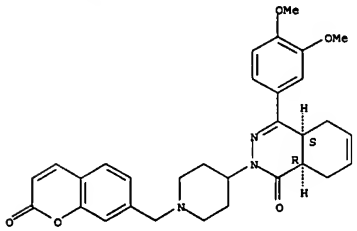
Absolute stereochemistry.



RN 449760-49-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[(2-oxo-2H-1-benzopyran-7-yl)methyl]-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA

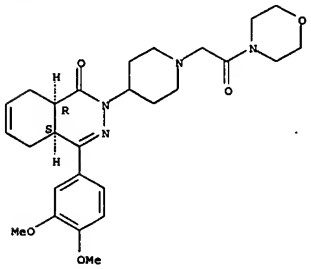
L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
INDEX NAME)

Absolute stereochemistry.



RN 449760-50-3 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

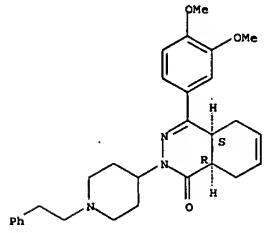
Absolute stereochemistry.



RN 449760-51-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-phenylethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

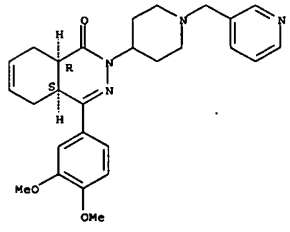
Absolute stereochemistry.

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-52-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(3-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

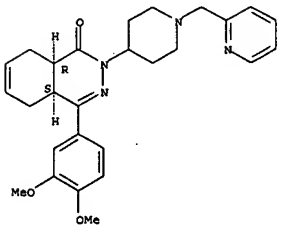
Absolute stereochemistry.



RN 449760-53-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

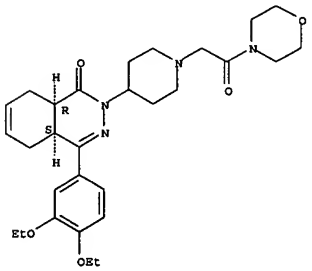
Absolute stereochemistry.

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-54-7 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

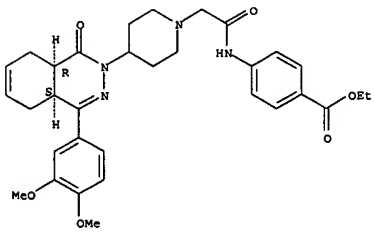
Absolute stereochemistry.



RN 449760-55-8 CAPLUS
CN 1-Piperazineethanamine,
4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

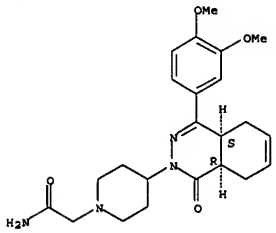
Absolute stereochemistry.

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-58-1 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

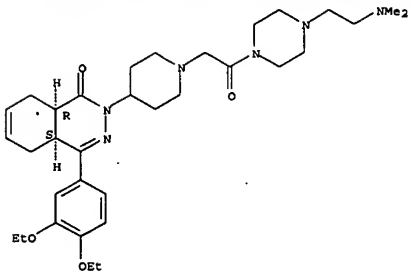
Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

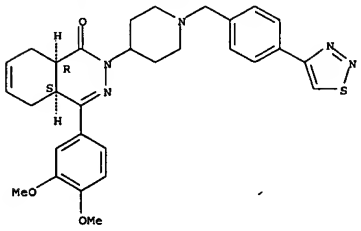
FORMAT

L4 ANSWER 7 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-56-9 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methyl]-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-57-0 CAPLUS
CN Benzoic acid, 4-[[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:995979 CAPLUS
DOCUMENT NUMBER: 141:406064
TITLE: Composition comprising a PDE4 inhibitor and soluble human Type II interleukin-1 receptor (shuIL-1RII) for disease therapy
INVENTOR(S): Barsig, Johannes
PATENT ASSIGNEE(S): Altana Pharma AG, Germany
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098606	A1	20041118	WO 2004-EP50749	20040510
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: EP 2003-10596 A 20030512

AB The invention relates to the combined administration of a PDE4 inhibitor and shuIL-1R II for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or interleukin-1 (IL-1) activity is detrimental.

IT 449760-14-9 449760-15-0 449760-16-1
449760-17-2 449760-19-4 449760-20-7
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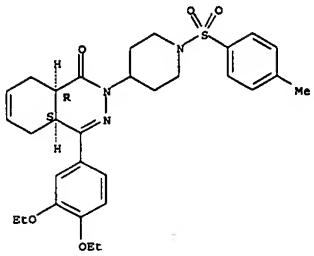
449760-24-1 449760-25-2 449760-26-3
449760-28-5 449760-29-6 449760-30-9
449760-35-4 449760-40-1 449760-42-3
449760-47-8 449760-48-9 449760-49-0
449760-50-3 449760-51-4 449760-52-5
449760-53-6 449760-54-7 449760-55-8
449760-56-9 449760-57-0 449760-58-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(composition comprising a PDE4 inhibitor and soluble human Type II interleukin-1 receptor (shuIL-1RII) for disease therapy)

RN 449760-14-9 CAPLUS
CN Piperidine,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

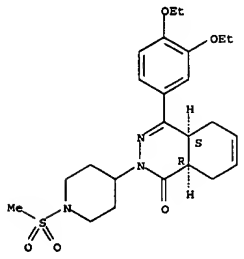
Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-15-0 CAPLUS
CN Piperidine,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

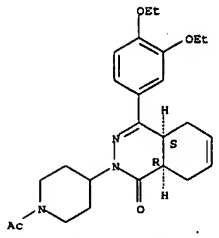
Absolute stereochemistry.



RN 449760-16-1 CAPLUS
CN Piperidine, 1-acetyl-4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

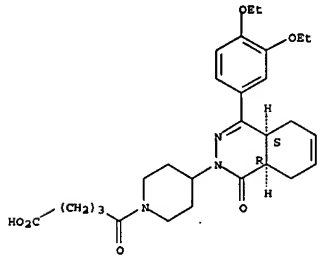
Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-17-2 CAPLUS
CN 1-Piperidinepentanoic acid,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-5-oxo- (9CI) (CA INDEX NAME)

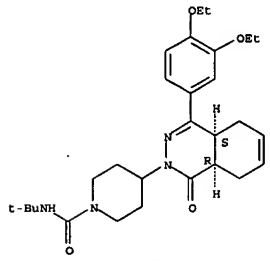
Absolute stereochemistry.



RN 449760-19-4 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

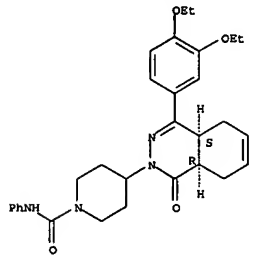
Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-20-7 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-phenyl- (9CI) (CA INDEX NAME)

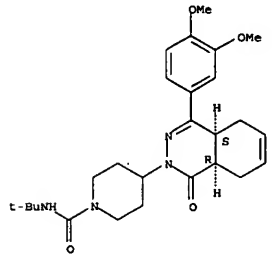
Absolute stereochemistry.



RN 449760-21-8 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

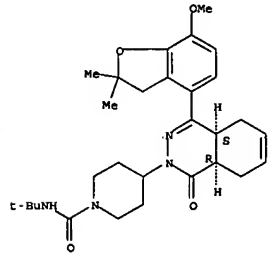
Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-22-9 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aR,8aS)-4-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 449760-23-0 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(5-(dimethylamino)-1-naphthalenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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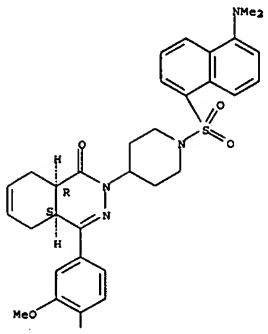

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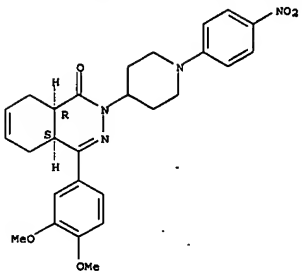
RN 449760-24-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-nitrophenyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

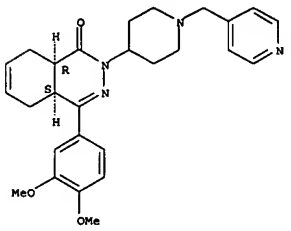
Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-25-2 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

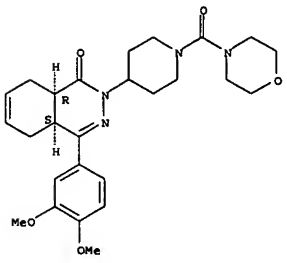
Absolute stereochemistry.



RN 449760-26-3 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-28-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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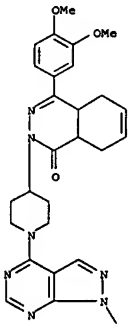

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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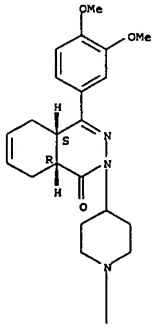
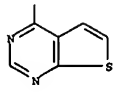
RN 449760-29-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(1-thieno[2,3-d]pyrimidin-4-yl-4-piperidinyl)-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



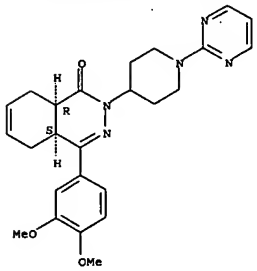
PAGE 2-A



RN 449760-30-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyrimidinyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

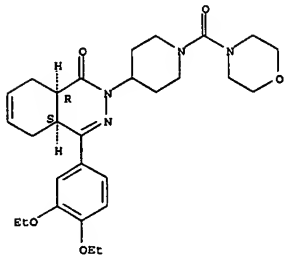
Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-35-4 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

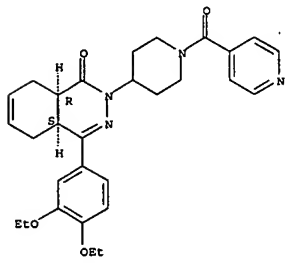
Absolute stereochemistry.



RN 449760-40-1 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

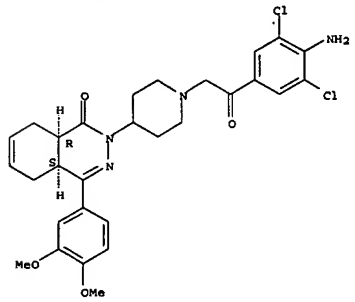
Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-48-9 CAPLUS
CN 1(2H)-Phthalazinone, 2-[1-[2-(4-amino-3,5-dichlorophenyl)-2-oxoethyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, (4aS,8aR)- (9CI) (CA INDEX NAME)

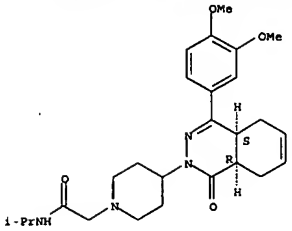
Absolute stereochemistry.



RN 449760-49-0 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[(2-oxo-2H-1-benzopyran-7-yl)methyl]-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

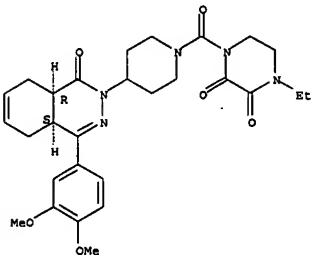
Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-42-3 CAPLUS
CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

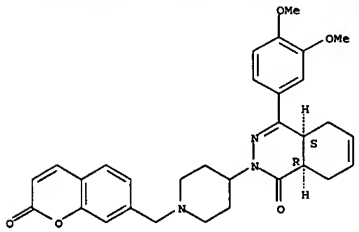
Absolute stereochemistry.



RN 449760-47-8 CAPLUS
CN Piperidine,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

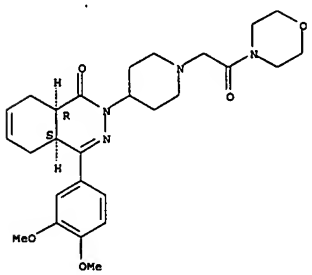
Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-50-3 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

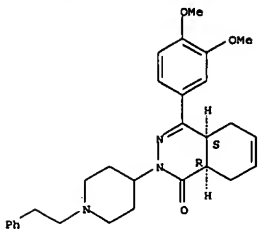
Absolute stereochemistry.



RN 449760-51-4 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-phenylethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

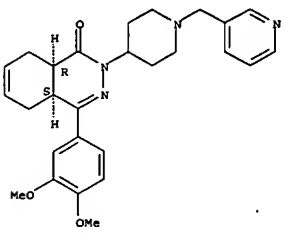
Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-52-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(3-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

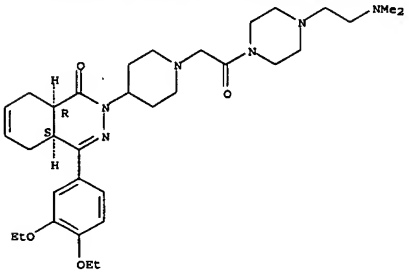
Absolute stereochemistry.



RN 449760-53-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

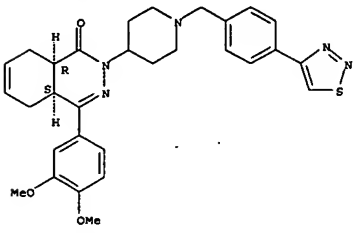
Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-56-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methyl]-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

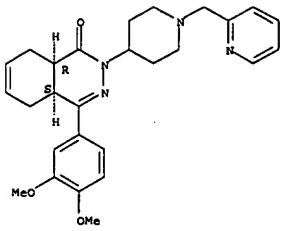
Absolute stereochemistry.



RN 449760-57-0 CAPLUS
CN Benzoic acid, 4-[[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

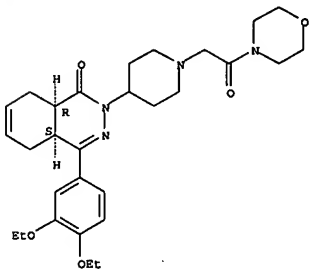
Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-54-7 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

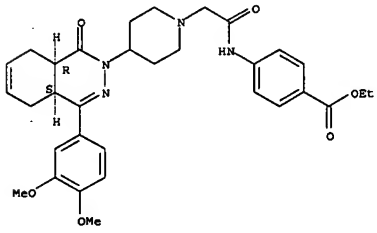
Absolute stereochemistry.



RN 449760-55-8 CAPLUS
CN 1-Piperazineethanamine, 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

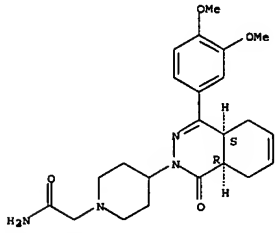
Absolute stereochemistry.

L4 ANSWER 8 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-58-1 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:995978 CAPLUS
DOCUMENT NUMBER: 141:406063
TITLE: Pharmaceutical composition comprising a PDE4 inhibitor and IL-1 trap for treatment of disease
INVENTOR(S): Barsig, Johannes
PATENT ASSIGNEE(S): Altana Pharma AG, Germany
SOURCE: PCT Int. Appl., 24 pp:
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098605	A1	20041118	WO 2004-EP50747	20040510

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2003-10631 A 20030512

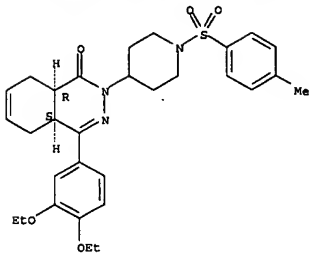
AB The invention relates to the combined administration of a PDE4 inhibitor and IL-1 Trap for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or interleukin-1 (IL-1) activity is detrimental.

IT 449760-14-9 449760-15-0 449760-16-1
449760-17-2 449760-19-4 449760-20-7
449760-21-8 449760-22-9 449760-23-0
449760-24-1 449760-25-2 449760-26-3
449760-28-5 449760-29-6 449760-30-9
449760-35-4 449760-40-1 449760-42-3
449760-47-8 449760-48-9 449760-49-0
449760-50-3 449760-51-4 449760-52-5
449760-53-6 449760-54-7 449760-55-8
449760-56-9 449760-57-0 449760-58-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical composition comprising a PDE4 inhibitor and IL-1 trap for
treatment of disease)

RN 449760-14-9 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

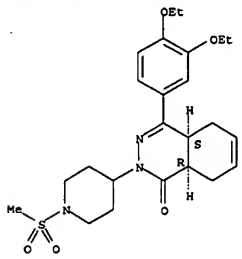
Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-15-0 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

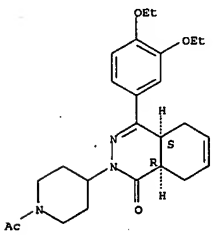
Absolute stereochemistry.



RN 449760-16-1 CAPLUS
CN Piperidine, 1-acetyl-4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

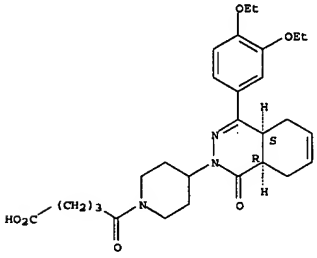
Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-17-2 CAPLUS
CN 1-Piperidinepentanoic acid, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-5-oxo- (9CI) (CA INDEX NAME)

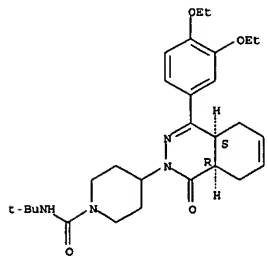
Absolute stereochemistry.



RN 449760-19-4 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

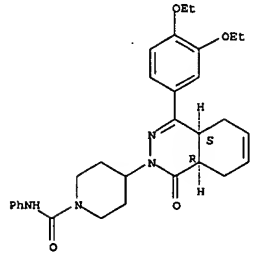
Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-20-7 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-phenyl- (9CI) (CA INDEX NAME)

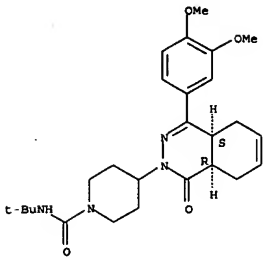
Absolute stereochemistry.



RN 449760-21-8 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

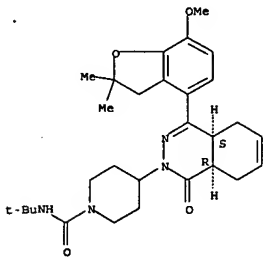
Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-22-9 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aR,8aS)-4-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 449760-23-0 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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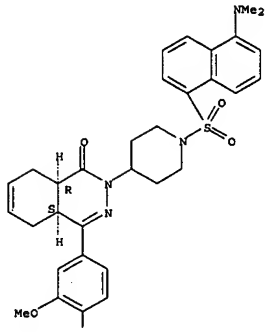

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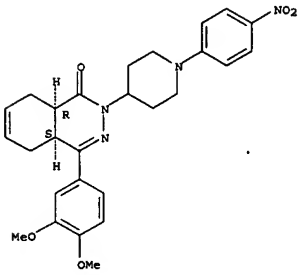
RN 449760-24-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-nitrophenyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

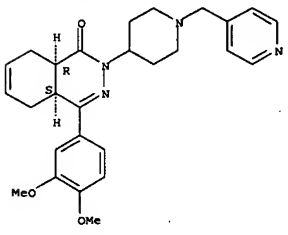
Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-25-2 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

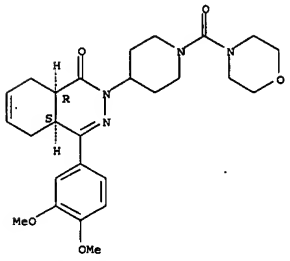
Absolute stereochemistry.



RN 449760-26-3 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-28-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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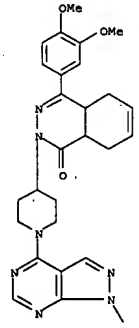

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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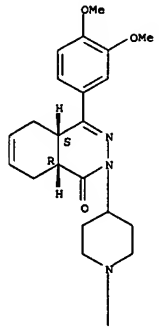
RN 449760-29-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(1-thieno[2,3-d]pyrimidin-4-yl-4-piperidinyl)-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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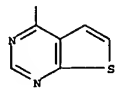
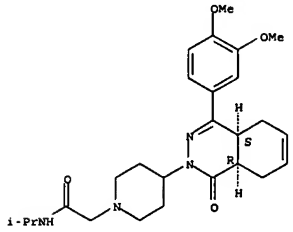


RN 449760-30-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-

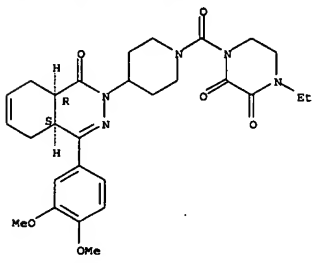
L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
NAME)

Absolute stereochemistry.



RN 449760-42-3 CAPLUS
CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

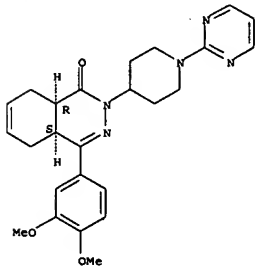


RN 449760-47-8 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

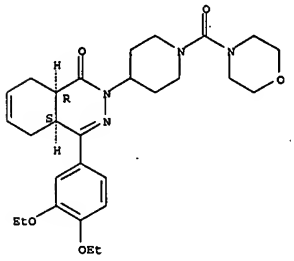
L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
pyrimidinyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



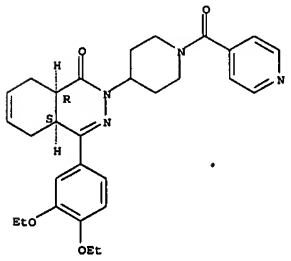
RN 449760-35-4 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



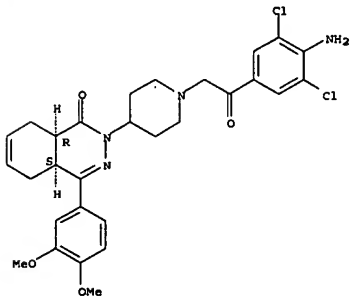
RN 449760-40-1 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1-methylethyl)- (9CI) (CA INDEX

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-48-9 CAPLUS
CN 1(2H)-Phthalazinone, 2-[1-[2-(4-amino-3,5-dichlorophenyl)-2-oxoethyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, (4aS,8aR)- (9CI) (CA INDEX NAME)

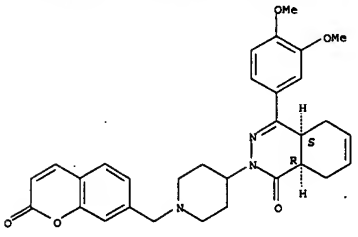
Absolute stereochemistry.



RN 449760-49-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[(2-oxo-2H-1-benzopyran-7-yl)methyl]-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

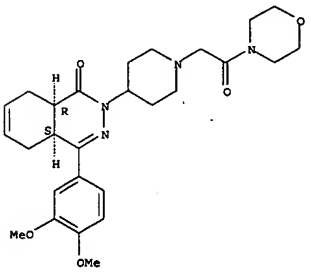
Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-50-3 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

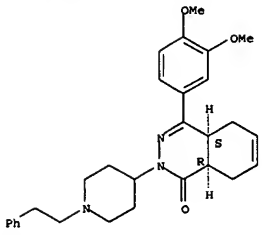
Absolute stereochemistry.



RN 449760-51-4 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-phenylethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

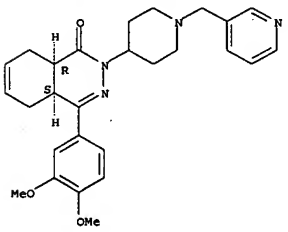
Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-52-5 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(3-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

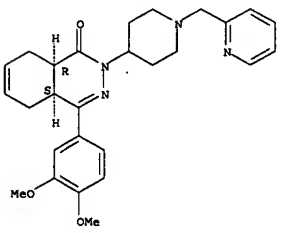
Absolute stereochemistry.



RN 449760-53-6 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

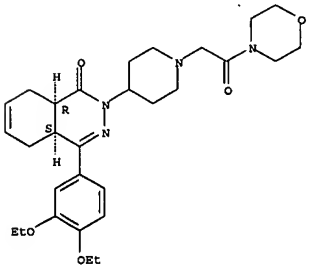
Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-54-7 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

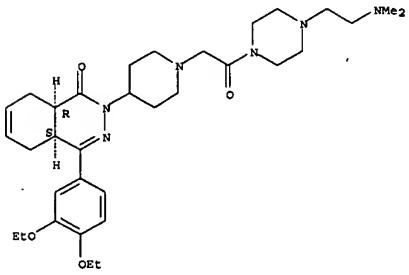
Absolute stereochemistry.



RN 449760-55-8 CAPLUS
CN 1-Piperazineethanamine,
4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

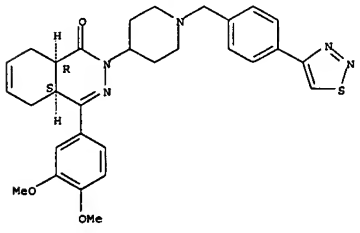
Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-56-9 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methyl]-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

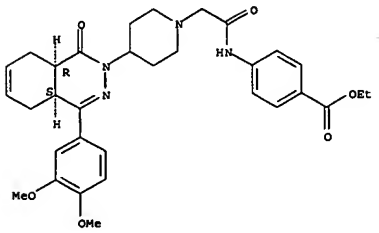
Absolute stereochemistry.



RN 449760-57-0 CAPLUS
CN Benzoic acid, 4-[[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

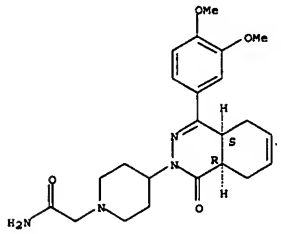
Absolute stereochemistry.

L4 ANSWER 9 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-58-1 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:995956 CAPLUS
DOCUMENT NUMBER: 141:416024
TITLE: Composition comprising a PDE4 inhibitor and a TNFα antagonist
INVENTOR(S): Barsig, Johannes; Weimar, Christian
PATENT ASSIGNEE(S): Altana Pharma AG, Germany
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004098578	A2	20041118	WO 2004-EP50750	20040510
WO 2004098578	A3	20041229		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2003-10593 A 20030512

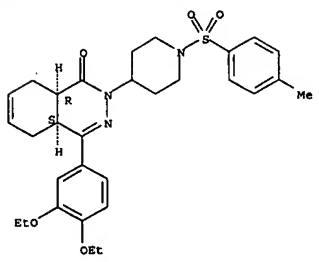
AB The invention relates to the combined administration of a PDE4 inhibitor and a TNFα antagonist selected from the group consisting of infliximab, adalimumab, cdp870 and cdp571 for the treatment of a disease in which phosphodiesterase 4 (PDE4) and/or tumor necrosis factor alpha (TNFα) activity is detrimental.

IT 449760-14-9 449760-15-0 449760-16-1
449760-17-2 449760-19-4 449760-20-7
449760-21-8 449760-22-9 449760-23-0
449760-24-1 449760-25-2 449760-26-3
449760-28-5 449760-29-6 449760-30-9
449760-35-4 449760-40-1 449760-42-3
449760-47-8 449760-48-9 449760-49-0
449760-50-3 449760-51-4 449760-52-5
449760-53-6 449760-54-7 449760-55-8
449760-56-9 449760-57-0 449760-58-1
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical injections containing phosphodiesterase 4 inhibitors in combination with TNFα antagonists for treatment of arthritis and other diseases)

RN 449760-14-9 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

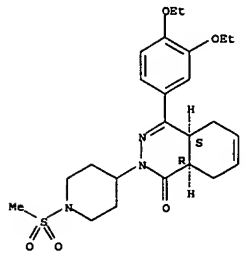
L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 449760-15-0 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

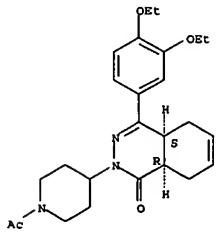
Absolute stereochemistry.



RN 449760-16-1 CAPLUS
CN Piperidine, 1-acetyl-4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

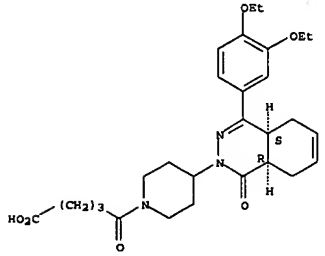
Absolute stereochemistry.

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-17-2 CAPLUS
CN 1-Piperidinepentanoic acid, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-δ-oxo- (9CI) (CA INDEX NAME)

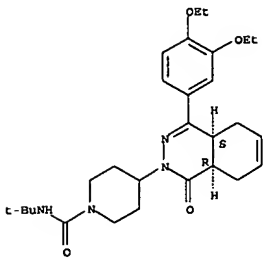
Absolute stereochemistry.



RN 449760-19-4 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

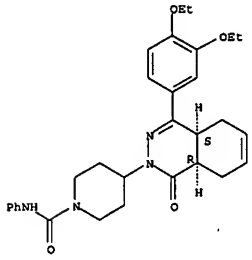
Absolute stereochemistry.

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-20-7 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-21-8 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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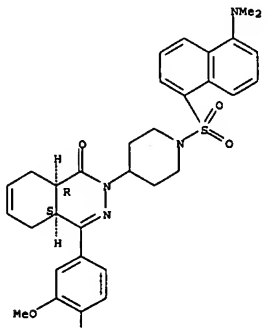

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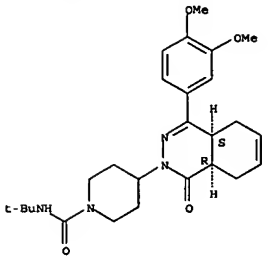
RN 449760-24-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-nitrophenyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

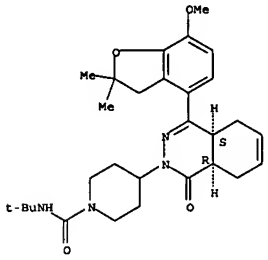
Absolute stereochemistry.

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-22-9 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aR,8aS)-4-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)-, rel- (9CI) (CA INDEX NAME)

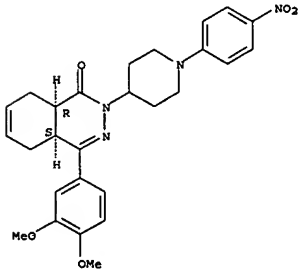
Relative stereochemistry.



RN 449760-23-0 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]- (9CI) (CA INDEX NAME)

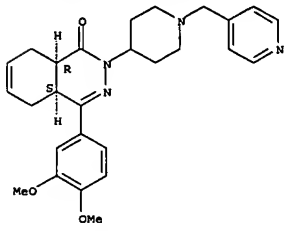
Absolute stereochemistry.

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-25-2 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

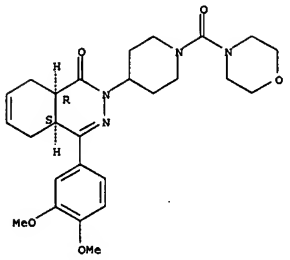
Absolute stereochemistry.



RN 449760-26-3 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-28-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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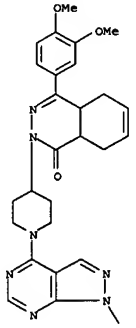

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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RN 449760-29-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(1-thieno[2,3-d]pyrimidin-4-yl-4-piperidinyl)-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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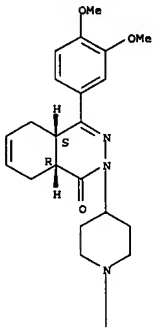

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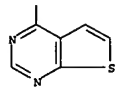
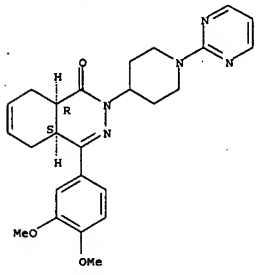


RN 449760-30-9 CAPLUS

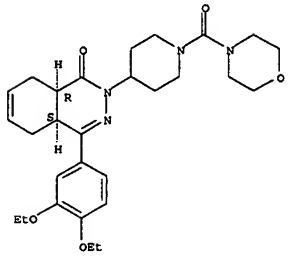
L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyrimidinyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



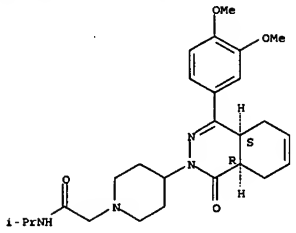
RN 449760-35-4 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



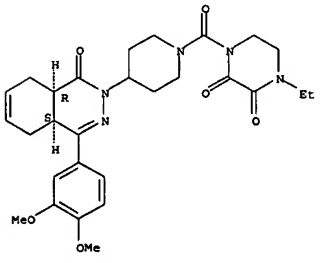
RN 449760-40-1 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.



RN 449760-42-3 CAPLUS
CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

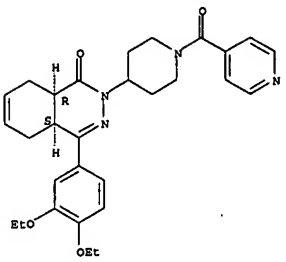
Absolute stereochemistry.



RN 449760-47-8 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

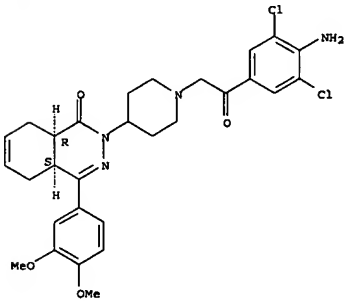
Absolute atereochemistry.

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



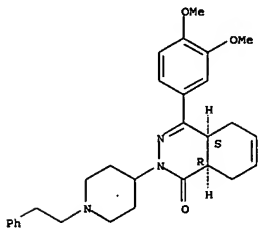
RN 449760-48-9 CAPLUS
CN 1(2H)-Phthalazinone, 2-[1-[2-(4-amino-3,5-dichlorophenyl)-2-oxoethyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, (4aS,8aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



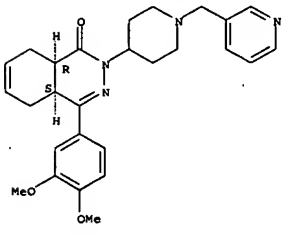
RN 449760-49-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[(2-oxo-2H-1-benzopyran-7-yl)methyl]-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.



RN 449760-52-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(3-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

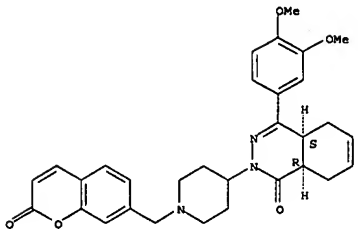


RN 449760-53-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

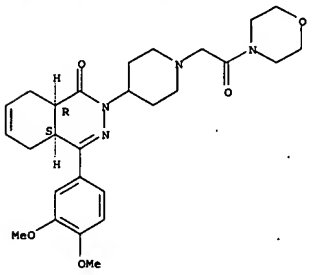
L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
INDEX NAME)

Absolute stereochemistry.



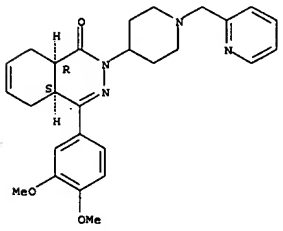
RN 449760-50-3 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



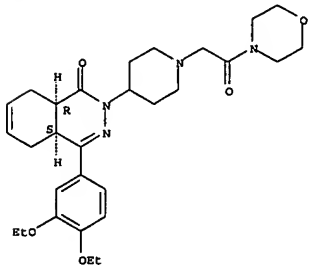
RN 449760-51-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-phenylethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-54-7 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

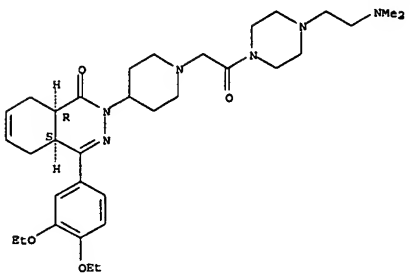
Absolute stereochemistry.



RN 449760-55-8 CAPLUS
CN 1-Piperazineethanamine, 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]-N,N-dimethyl-(9CI) (CA INDEX NAME)

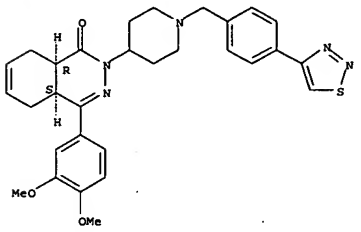
Absolute stereochemistry.

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-56-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methyl]-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

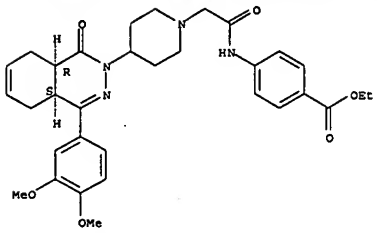
Absolute stereochemistry.



RN 449760-57-0 CAPLUS
CN Benzoic acid, 4-[[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

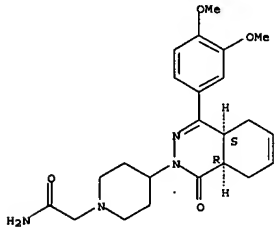
Absolute stereochemistry.

L4 ANSWER 10 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-58-1 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:610086 CAPLUS
DOCUMENT NUMBER: 141:134069
TITLE: PDE4 inhibitors for the treatment of neoplasms of lymphoid cells
INVENTOR(S): Hatzelmann, Armin; Tenor, Hermann; Gekeler, Volker; Sanders, Karl; Garattini, Enrico; Braunger, Juergen; Schudt, Christian
PATENT ASSIGNEE(S): Altana Pharma Ag, Germany
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004062671	A2	20040729	WO 2004-EP196	20040114
WO 2004062671	A3	20050127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ				
AU 2004204355	A1	20040729	AU 2004-204355	20040114
CA 2512819	AA	20040729	CA 2004-2512819	20040114
EP 1587512	A2	20051026	EP 2004-701902	20040114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006515367	T2	20060525	JP 2006-500561	20040114
US 2006148804	A1	20060706	US 2005-542088	20050713
PRIORITY APPLN. INFO.:			EP 2003-787 A	20030114
			WO 2004-EP196 W	20040114

OTHER SOURCE(S): MARPAT 141:134069

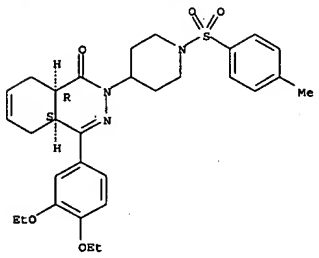
AB The invention relates to the use of certain PDE4 inhibitors alone or in combination with one or more differentiation inducing agents and/or an agent effective in raising intracellular concns. of cAMP or a stable analog of cAMP in the preparation of pharmaceutical compns. for the treatment of neoplasms of lymphoid cells.

IT 449760-14-9 449760-15-0 449760-16-1
449760-17-2 449760-19-4 449760-20-7
449760-21-8 449760-22-9 449760-23-0
449760-24-1 449760-25-2 449760-26-3
449760-28-5 449760-29-6 449760-30-9
449760-35-4 449760-40-1 449760-42-3
449760-47-8 449760-48-9 449760-49-0
449760-50-3 449760-51-4 449760-52-5
449760-53-6 449760-56-9 449760-57-0
449760-58-1 596102-01-1 596102-07-7
596102-09-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phosphodiesterase 4 (PDE4) inhibitors for treatment of neoplasms of lymphoid cells in combination with differentiation inducers and agents that increase cAMP levels or cAMP analogs)

RN 449760-14-9 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

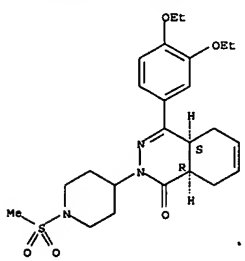
L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 449760-15-0 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

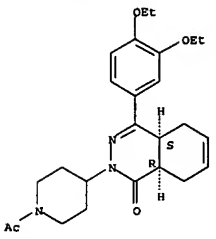
Absolute stereochemistry.



RN 449760-16-1 CAPLUS
CN Piperidine, 1-acetyl-4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

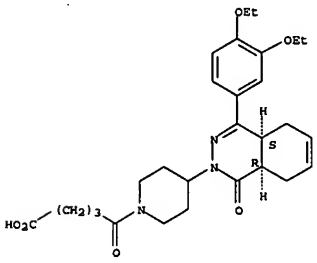
Absolute stereochemistry.

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-17-2 CAPLUS
CN 1-Piperidinepentanoic acid, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-δ-oxo- (9CI) (CA INDEX NAME)

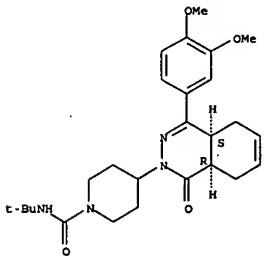
Absolute stereochemistry.



RN 449760-19-4 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

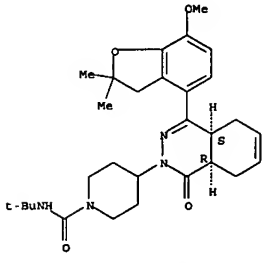
Absolute stereochemistry.

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-22-9 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aR,8aS)-4-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)-, rel- (9CI) (CA INDEX NAME)

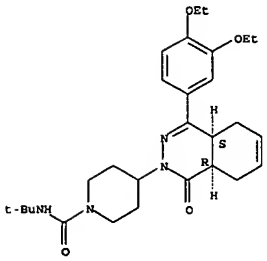
Relative stereochemistry.



RN 449760-23-0 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(5-(dimethylamino)-1-naphthalenyl]sulfonyl]- (9CI) (CA INDEX NAME)

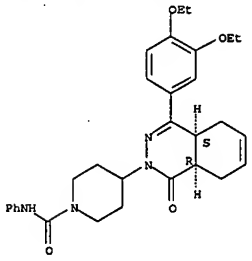
Absolute stereochemistry.

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-20-7 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-21-8 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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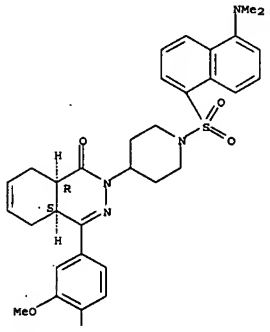

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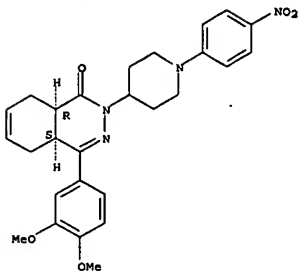
RN 449760-24-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-nitrophenyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

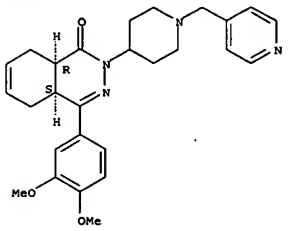
Absolute stereochemistry.

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-25-2 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-26-3 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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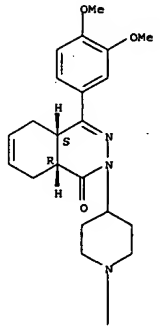
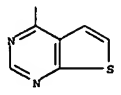
RN 449760-29-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(1-thieno[2,3-d]pyrimidin-4-yl-4-piperidinyl)-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

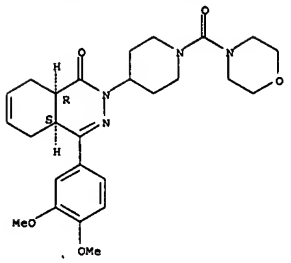


PAGE 2-A



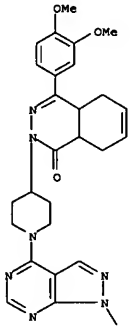
RN 449760-30-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyrimidinyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



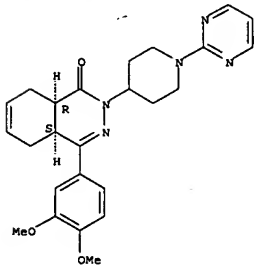
RN 449760-28-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



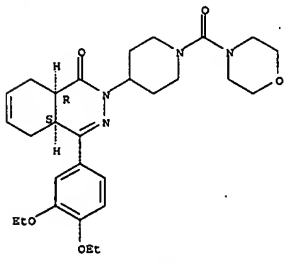
L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 449760-35-4 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

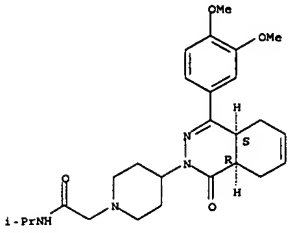
Absolute stereochemistry.



RN 449760-40-1 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

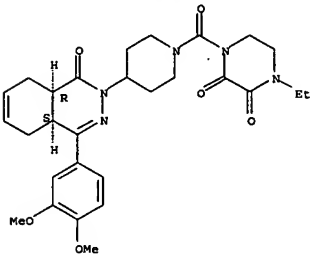
Absolute stereochemistry.

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-42-3 CAPLUS
CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

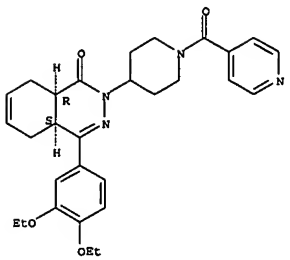
Absolute stereochemistry.



RN 449760-47-8 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

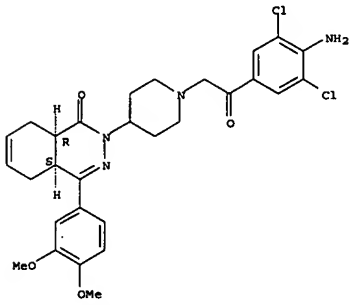
Absolute stereochemistry.

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-48-9 CAPLUS
CN 1(2H)-Phthalazinone, 2-[1-[2-(4-amino-3,5-dichlorophenyl)-2-oxoethyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, (4aS,8aR)- (9CI) (CA INDEX NAME)

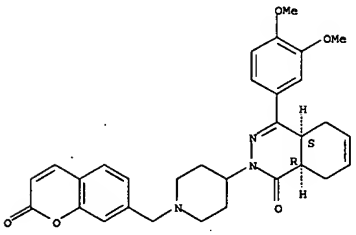
Absolute stereochemistry.



RN 449760-49-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[(2-oxo-2H-1-benzopyran-7-yl)methyl]-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA

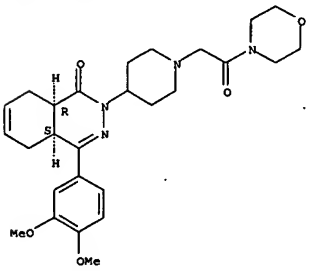
L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
INDEX NAME)

Absolute stereochemistry.



RN 449760-50-3 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

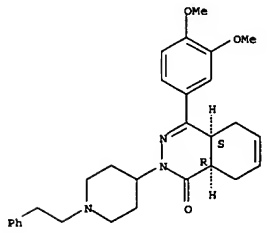
Absolute stereochemistry.



RN 449760-51-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-phenylethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

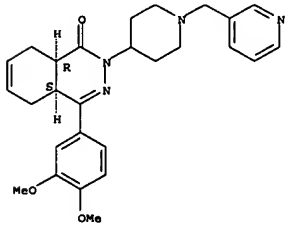
Absolute stereochemistry.

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-52-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(3-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

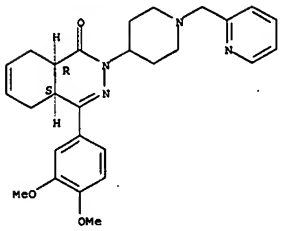
Absolute stereochemistry.



RN 449760-53-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

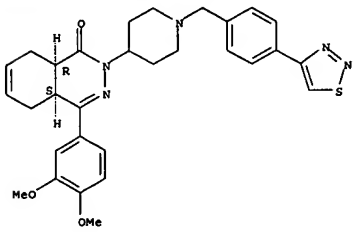
Absolute stereochemistry.

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-56-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methyl]-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

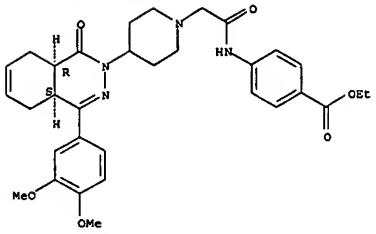
Absolute stereochemistry.



RN 449760-57-0 CAPLUS
CN Benzoic acid, 4-[[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

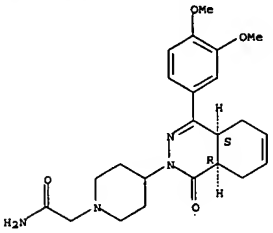
Absolute stereochemistry.

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



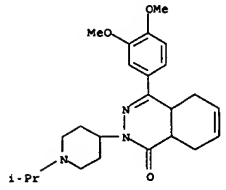
RN 449760-58-1 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



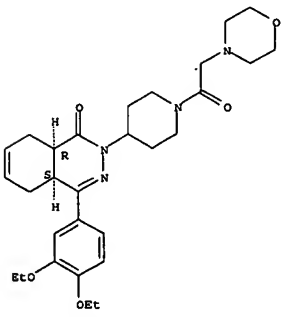
RN 596102-01-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 596102-07-7 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-morpholinylacetyl)- (9CI) (CA INDEX NAME)

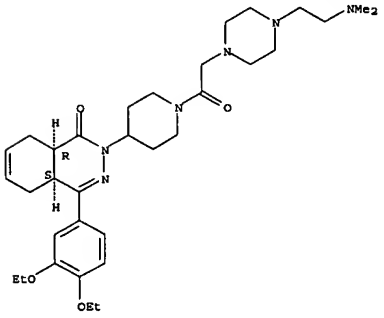
Absolute stereochemistry.



RN 596102-09-9 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[4-[2-(dimethylamino)ethyl]-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 11 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



own work

L4 ANSWER 12 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:182870 CAPLUS
DOCUMENT NUMBER: 140:217652
TITLE: Preparation of pyrrolidinedione substituted piperidine-phthalazones as cyclic nucleotide phosphodiesterase-4 (PDE4) inhibitors
INVENTOR(S): Hatzelmann, Armin; Barsig, Johannes; Marx, Degenhard; Kley, Hans-Peter; Christiaans, Johannes A. M.; Menge, Wiro M. P. B.; Sterk, Geert Jan
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018457	A1	20040304	WO 2003-EP8675	20030806
WO 2004018457	C1	20040506		
W: AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2494613	AA	20040304	CA 2003-2494613	20030806
AU 2003258576	A1	20040311	AU 2003-258576	20030806
EP 1537100	A1	20050608	EP 2003-792257	20030806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013330	A	20050614	BR 2003-13330	20030806
CN 1671695	A	20050921	CN 2003-818520	20030806
JP 2006500370	T2	20060105	JP 2004-530086	20030806
US 2006160813	A1	20060720	US 2005-523412	20051107
PRIORITY APPLN. INFO.:			EP 2002-17977 A	20020810
			WO 2003-EP8675 W	20030806

OTHER SOURCE(S): MARPAT 140:217652
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB 1-(4-Piperidinyl)-4a,5,8,8a-tetrahydro-1H-phthalazin-1-one compds. of formula (I) [R1 and R2 are both H or together form an addnl. bond; R3 = a Ph derivative of formulas Q or Q1; R4 = C1-4 alkoxy or C1-4 alkoxy which is completely or predominantly substituted by fluorine; R5 = C1-4 alkoxy, C3-7 cycloalkoxy, C3-7 cycloalkylmethoxy, C1-4 alkoxy which is completely or predominantly substituted by fluorine; R6 = C1-4 alkoxy or C1-4 alkoxy which is completely or predominantly substituted by fluorine; wherein R7 =

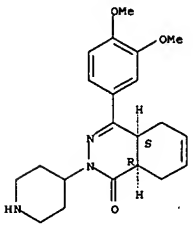
L4 ANSWER 12 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
C1-4 alkyl; R8 = H, C1-4 alkyl; or R7 and R8 together and with inclusion of the two carbon atoms, to which they are bonded, form a spiro-linked 5-, 6- or 7-membered hydrocarbon ring, optionally interrupted by an oxygen or sulfur atom; R9 = CO(CH2)n-R10; wherein R10 = 2,5-dioxopyrrolidin-1-yl; n = an integer of 1-4) and the salts of these compds. These compds. are useful in the prepn. of pharmaceutical compns. for the treatment of an illness treatable by the administration of a PDE4 inhibitor, in particular airway disorders. Thus, 1-[2-[4-[(4aS,8aR)-4-(3,4-Dimethoxyphenyl)-1-oxo-4a,5,8,8a-tetrahydro-1H-phthalazin-2-yl]piperidin-1-yl]-2-oxoethyl]pyrrolidine-2,5-dione >. Thus, a mixt. of 1 g (4aS,8aR)-2-[1-(2-Chloroethanoyl)piperidin-4-yl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one, 0.4 g succinimide, 1 g potassium carbonate in 20 mL DMF was stirred for 18 h at room temp. to give, after workup and silica gel chromatog. and crystn. from EtOAc, 1-[2-[4-[(4aS,8aR)-4-(3,4-Dimethoxyphenyl)-1-oxo-4a,5,8,8a-tetrahydro-1H-phthalazin-2-yl]piperidin-1-yl]-2-oxoethyl]pyrrolidine-2,5-dione (II). II showed -logIC50(mol/L) of 10.66 against PDE4.

IT 380226-97-1P, (4AS,8aR)-4-(3,4-Dimethoxyphenyl)-2-piperidin-4-yl-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride
380227-13-4P, (4AS,8aR)-4-(3,4-Diethoxyphenyl)-2-piperidin-4-yl-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride
666735-57-5P 666735-60-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrrolidinedione substituted piperidine-phthalazones as cyclic nucleotide phosphodiesterase-4 (PDE4) inhibitors for treating airway diseases)

RN 380226-97-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

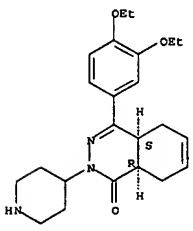
L4 ANSWER 12 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 380227-13-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

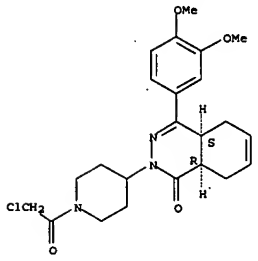


● HCl

RN 666735-57-5 CAPLUS
CN Piperidine, 1-(chloroacetyl)-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

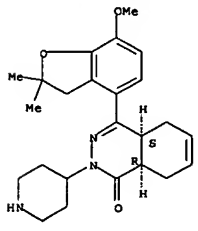
Absolute stereochemistry.

L4 ANSWER 12 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 666735-60-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

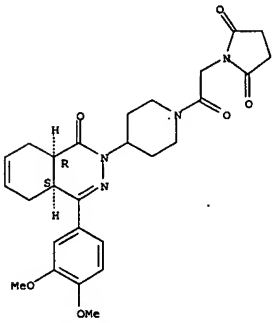


● HCl

IT 666735-56-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidinedione substituted piperidine-phthalazones as cyclic nucleotide phosphodiesterase-4 (PDE4) inhibitors for treating

L4 ANSWER 12 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
airway diseases)
RN 666735-56-4 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(2,5-dioxo-1-pyrrolidinyl)acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

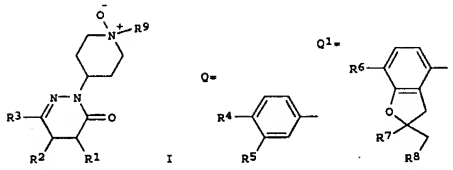
FORMAT

L4 ANSWER 13 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:182863 CAPLUS
DOCUMENT NUMBER: 140:235730
TITLE: Preparation of piperidine-N-oxide derivatives as phosphodiesterase 4 inhibitors
INVENTOR(S): Hatzelmann, Armin; Barsig, Johannes; Marx, Degenhard; Kley, Hans-Peter; Brundel, Paulus Johannes Gaurerius; Christiaans, Johannes A. M.; Menge, Wiro M. P. B.; Sterk, Geert Jan
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018450	A1	20040304	WO 2003-EP8676	20030806
W: AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2494643	AA	20040304	CA 2003-2494643	20030806
AU 2003260371	A1	20040311	AU 2003-260371	20030806
EP 1542987	A1	20050622	EP 2003-792258	20030806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005538137	T2	20051215	JP 2004-530087	20030806
US 2006166995	A1	20060727	US 2005-523110	20050203
PRIORITY APPLN. INFO.:			EP 2002-17978 A	20020810
			WO 2003-EP8676 W	20030806

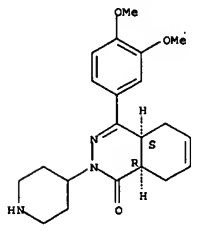
OTHER SOURCE(S): MARPAT 140:235730
GI



L4 ANSWER 13 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
AB The 1,2-dihydro-2-(1-oxidopiperidin-4-yl)phthalazin-2-one derivs. [I; R1, R2 = H, C1-4 alkyl; or R1 and R2 together and with inclusion of the two carbon atoms, to which they are bonded, form a group selected from cyclohexane-1,2-diyl or 4-cyclohexene-1,2-diyl; R3 = a Ph derivative of formulas Q or Q1; R4 = C1-4 alkoxy or C1-4 alkoxy which is completely or predominantly substituted by fluorine; R5 = C1-8 alkoxy, C3-7 cycloalkoxy,
C3-7 cycloalkylmethoxy, C1-4 alkoxy which is completely or predominantly substituted by fluorine; R6 = C1-4 alkoxy, C3-5 cycloalkoxy, C3-5 cycloalkylmethoxy, C1-4 alkoxy which is completely or predominantly substituted by fluorine; R7 = C1-4 alkyl; R8 = H, C1-4 alkyl; or wherein R7 and R8 together and with inclusion of the two carbon atoms, to which they are bonded, form a spiro-linked 5-, 6- or 7-membered hydrocarbon ring, optionally interrupted by an oxygen or sulfur atom; R9 = (CH2)mSO2R10, (CH2)nCOR11, -(CH2)p-Z-(CH2)q-R14; wherein R10, R11 = N(R12)R13; R12, R13 = H, C1-7 alkyl, C3-7 cycloalkyl, C3-7 cycloalkylmethyl; or NR12R13 together forms a 4-morpholinyl-, 1-pyrrolidinyl-, 1-piperidinyl- or a 1-hexahydroazepinyl ring; Z = a bond,
O, CO, CONH, NHCO, SO2; R14 = H, OH, C1-4 alkoxy, hydroxy-C2-4 alkoxy, C1-4 alkoxy-C1-4 alkoxy, C1-4 alkoxycarbonyl, (un)substituted aminocarbonyl, etc.; m, n, p, q = an integer from 1 to 4] and the salts of
these compds. are prepared These compds. are novel effective PDE4 inhibitors and useful for treating an illness treatable by the administration of a PDE4 inhibitor in a patient, in particular airway disorders. Thus, a solution of 1.2 g 2-[4-[(4aS,8aR)-4-(3,4-Dimethoxy)phenyl]-1-oxo-4a,5,8,8a-tetrahydro-1H-phthalazin-2-yl]piperidin-1-yl-2H-acetamide hydrochloride in 100 mL CH2Cl2 was washed with aqueous saturated
NaHCO3 solution, dried over anhydrous MgSO4, cooled to 0°, treated with 0.6 g 3-chloroperbenzoic acid (70% purity), and stirred for 60 min to give, after workup and silica gel chromatog. and crystallization from EtOAc,
2-[4-[(4aS,8aR)-4-(3,4-Dimethoxyphenyl)-1-oxo-4a,5,8,8a-tetrahydro-1H-phthalazin-2-yl]-1-oxypiperidin-1-yl]acetamide (II). II and
2-[4-[(4aS,8aR)-4-(3,4-Dimethoxyphenyl)-1-oxo-4a,5,8,8a-tetrahydro-1H-phthalazin-2-yl]-1-oxypiperidin-1-yl]-N-isopropylacetamide showed -logIC50
(mol/L) of 8.31 and 9.3, resp., against PDE4.
IT 380226-97-1P 380227-13-4P, (4AS,8aR)-4-(3,4-Diethoxyphenyl)-2-piperidin-4-yl-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride 449760-40-1P 449760-44-5P
666735-60-0P 666748-55-6P 666748-56-7P,
(4AS,8aR)-4-(3,4-Dimethoxyphenyl)-2-piperidin-4-yl-4a,5,6,7,8,8a-hexahydro-2H-phthalazin-1-one hydrochloride
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperidine N-oxide derivs. as phosphodiesterase 4 (PDE4) inhibitors for treating airway disorders)
RN 380226-97-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

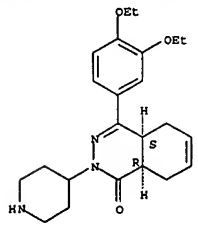
L4 ANSWER 13 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 380227-13-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

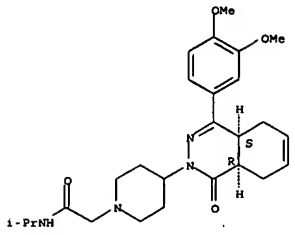


● HCl

RN 449760-40-1 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

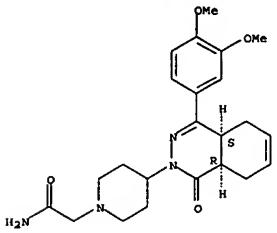
Absolute stereochemistry.

L4 ANSWER 13 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-44-5 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



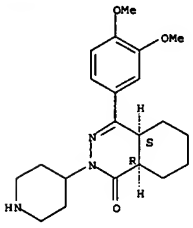
● HCl

RN 666735-60-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

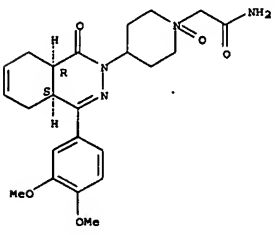


● HCl

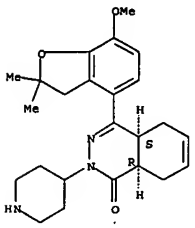
IT 666854-35-9P 666854-37-1P 666854-40-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine N-oxide derivs. as phosphodiesterase 4 (PDE4) inhibitors for treating airway disorders)

RN 666854-35-9 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



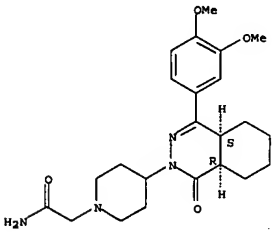
L4 ANSWER 13 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Relative stereochemistry.



● HCl

RN 666748-55-6 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-1-oxo-2(1H)-phthalazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



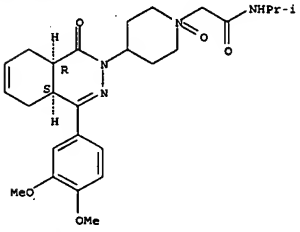
● HCl

RN 666748-56-7 CAPLUS

L4 ANSWER 13 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

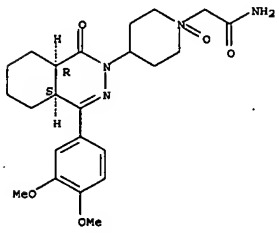
RN 666854-37-1 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1-methylethyl)-, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 666854-40-6 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-1-oxo-2(1H)-phthalazinyl]-, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

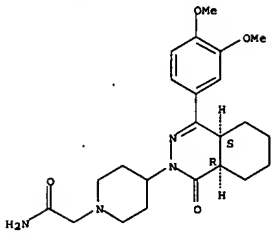


IT 666748-54-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of piperidine N-oxide derivs. as phosphodiesterase 4 (PDE4) inhibitors for treating airway disorders)

RN 666748-54-5 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:182862 CAPLUS
DOCUMENT NUMBER: 140:217665
TITLE: Preparation of piperidinylphthalazinone derivatives as
PDE4 inhibitors
INVENTOR(S): Hatzelmann, Armin; Barsig, Johannes; Marx, Degenhard; Kley, Hans-Peter; Christiaans, Johannes A. M.; Menge, Wiro M. P. B.; Sterk, Geert Jan; Weinbrenner, Steffen
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018449	A1	20040304	WO 2003-EP8673	20030806
WO 2004018449	C1	20040506		
W: AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
AU 2003255376	A1	20040311	AU 2003-255376	20030806
PRIORITY APPLN. INFO.:			EP 2002-17979 A	20020810
			WO 2003-EP8673 W	20030806

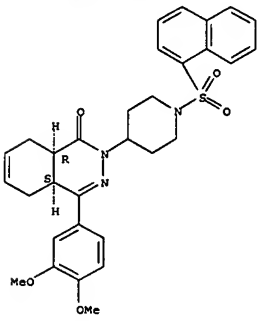
OTHER SOURCE(S): MARPAT 140:217665
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compound I [R1, R2 = H or together form an addnl. bond; R3 = benzene derivative Q1 or Q2; R4 = (substituted)arylsulfonyl; R5 = alkoxy or
polyfluoroalkyoxy; R6, R7 = (cyclo)alkoxy, cycloalkylmethoxy, or polyfluoroalkyoxy; R8 = alkyl; R9 = H or alkyl; or R7 and R8 together with
the 2 intervening C atoms form a spiro-linked 5-, 6- or 7-membered hydrocarbon ring, optionally interrupted by O or S] were prepared as PDE4 inhibitors. Thus, reaction of (4aS,8aR)-4-(3,4-dimethoxyphenyl)-2-piperidin-4-yl-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride (preparation given) with naphthalene-1-sulfonyl chloride gave compound II. The
prepared compds. inhibited PDE4 with -log(IC50) ≥ 8.8.
IT 666737-07-1P 666737-09-3P 666737-10-6P
666737-11-7P 666737-12-8P 666737-13-9P
666737-14-0P 666737-15-1P 666737-16-2P

L4 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
666737-17-3P 666737-18-4P 666737-19-5P
666737-20-8P 666737-21-9P 666737-22-0P
666737-23-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidinylphthalazinone derivs. as PDE4 inhibitors)
RN 666737-07-1 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(1-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)

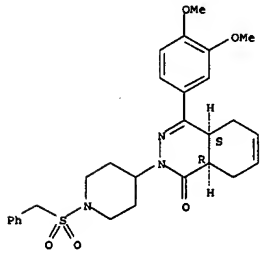
Absolute stereochemistry.



RN 666737-09-3 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(phenylmethyl)sulfonyl]- (9CI) (CA INDEX NAME)

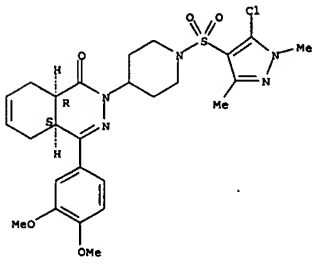
Absolute stereochemistry.

L4 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 666737-10-6 CAPLUS
CN Piperidine, 1-[(5-chloro-1,3-dimethyl-1H-pyrazol-4-yl)sulfonyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

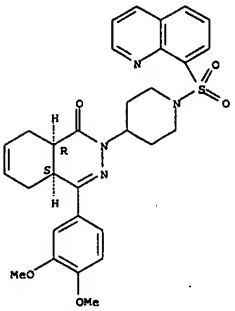
Absolute stereochemistry.



RN 666737-11-7 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(8-quinolinylsulfonyl)- (9CI) (CA INDEX NAME)

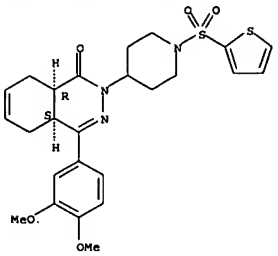
Absolute stereochemistry.

L4 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



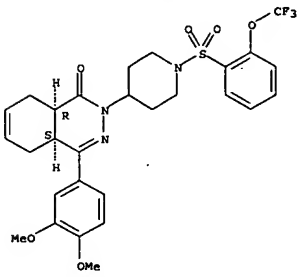
RN 666737-12-8 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(2-thienylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



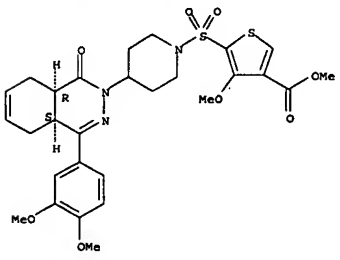
RN 666737-13-9 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(3,5-dimethyl-4-isoxazolyl)sulfonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.



RN 666737-16-2 CAPLUS
CN 3-Thiophenecarboxylic acid, 5-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]sulfonyl]-4-methoxy-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

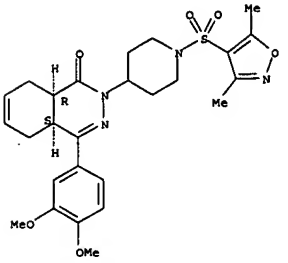


RN 666737-17-3 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[4-(phenylsulfonyl)-2-thienyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

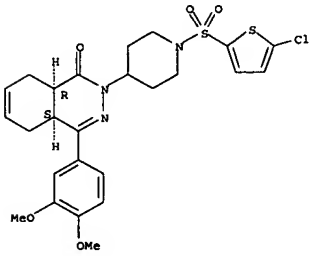
L4 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



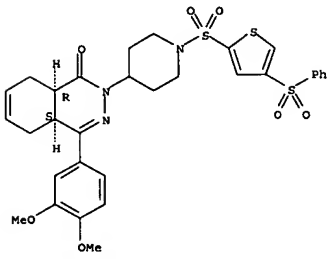
RN 666737-14-0 CAPLUS
CN Piperidine, 1-[(5-chloro-2-thienyl)sulfonyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



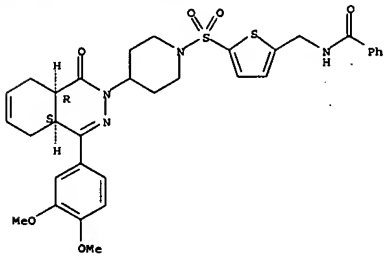
RN 666737-15-1 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[2-(trifluoromethoxy)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 666737-18-4 CAPLUS
CN Benzamide, N-[[5-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]sulfonyl]-2-thienyl]methyl]- (9CI) (CA INDEX NAME)

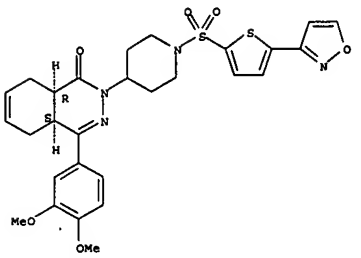
Absolute stereochemistry.



RN 666737-19-5 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[5-(3-isoxazolyl)-2-thienyl]sulfonyl]- (9CI) (CA INDEX NAME)

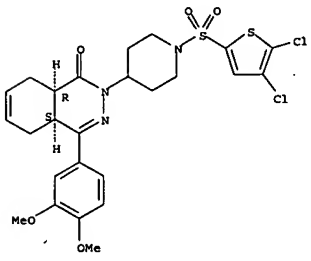
Absolute stereochemistry.

L4 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 666737-20-8 CAPLUS
CN Piperidine, 1-[(4,5-dichloro-2-thienyl)sulfonyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

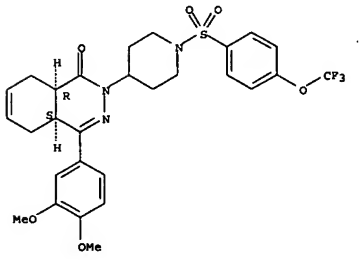
Absolute stereochemistry.



RN 666737-21-9 CAPLUS
CN Piperidine, 1-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

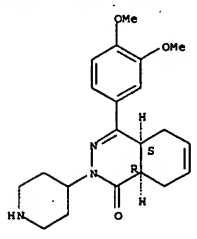
L4 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 380226-97-1P 380227-13-4P 666735-60-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidinylphthalazinone derivs. as PDE4 inhibitors)

RN 380226-97-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

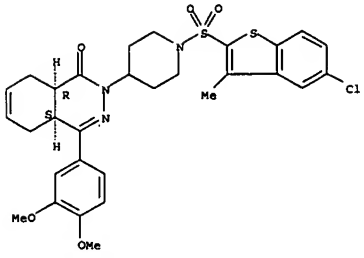


● HCl

RN 380227-13-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

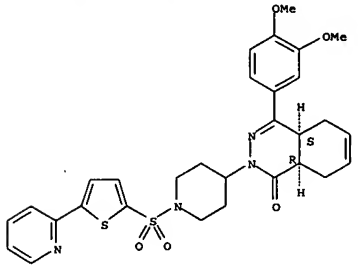
Absolute stereochemistry.

L4 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 666737-22-0 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[5-(2-pyridinyl)-2-thienyl]sulfonyl]- (9CI) (CA INDEX NAME)

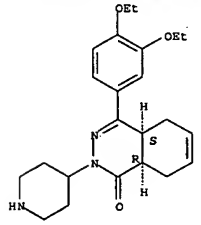
Absolute stereochemistry.



RN 666737-23-1 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[4-(trifluoromethoxy)phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

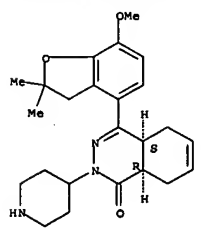
L4 ANSWER 14 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 666735-60-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:182711 CAPLUS
DOCUMENT NUMBER: 140:235729
TITLE: Preparation of piperidine-substituted pyridazones and phthalazones as PDE4 inhibitors
INVENTOR(S): Sterk, Geert Jan; Hatzelmann, Armin; Marx, Degenhard; Kley, Hans-Peter; Menge, Wiro M. P. B.
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004017974	A1	20040304	WO 2003-EP8724	20030806
W: AE, AL, AU, BA, BR, CA, CN, CO, CZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2494634	AA	20040304	CA 2003-2494634	20030806
AU 2003260376	A1	20040311	AU 2003-260376	20030806
EP 1556049	A1	20050727	EP 2003-792267	20030806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005538140	T2	20051215	JP 2004-530096	20030806
US 2006094710	A1	20060504	US 2005-523111	20051003
PRIORITY APPLN. INFO.:			EP 2002-17977 A	20020810
			WO 2003-EP8724 W	20030806

OTHER SOURCE(S): MARPAT 140:235729
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

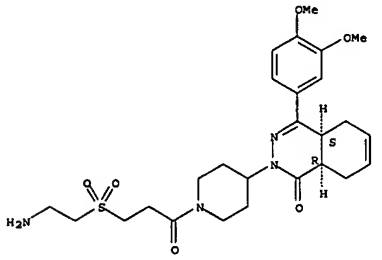
AB Title compds. I [R1-2 = H, alkyl, etc.; R3 = substituted Ph, etc.; R9 = naphthyl, pyrazinyl, pyridazinyl, etc.] are prepared For instance,

(4aS,8aR)-4-(3,4-Dimethoxyphenyl)-2-piperidin-4-yl-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride (preparation given) is reacted with methanesulfonylacetic acid (CH2Cl2, Et3N) to give II. Compds. of the invention have pIC50 ≥ 9 for the PDE4 receptor. I are useful for the treatment of airway disorders.

IT 666851-01-0P, (4AS,8aR)-2-[1-[3-(2-aminoethanesulfonyl)propanoyl]piperidin-4-yl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of piperidine-substituted pyridazones and phthalazones as PDE4 inhibitors)

RN 666851-01-0 CAPLUS
CN Piperidine, 1-[3-[(2-aminoethyl)sulfonyl]-1-oxopropyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

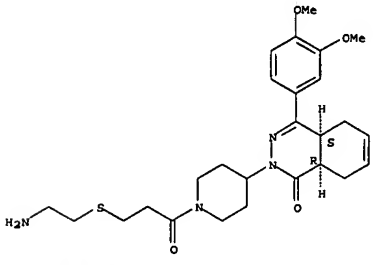
Absolute stereochemistry.



IT 380227-17-8P, (4AS,8aR)-2-[1-[3-[(2-Aminoethyl)sulfanyl]propanoyl]piperidin-4-yl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one 666850-88-0P, (4AS,8aR)-4-(3,4-Dimethoxyphenyl)-2-[1-[[2-(methanesulfonyl)ethane]carbonyl]piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one 666850-90-4P, (4AS,8aR)-2-[1-[2-(Benzofuran-2-yl)-2-oxoethyl]piperidin-4-yl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one 666850-93-7P, (4AS,8aR)-2-[1-[4-(Benzimidazol-1-yl)benzyl]piperidin-4-yl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride 666850-96-0P 666850-99-3P 666851-03-2P, (4AS,8aR)-4-(3,4-Dimethoxyphenyl)-2-[1-[2-[(2-oxo-1,2-dihydroquinolin-6-yl)oxy]ethanoyl]piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one 666851-05-4P, (4AS,8aR)-4-(3,4-Dimethoxyphenyl)-2-[1-[4-[(2-oxo-1,2-dihydroquinolin-6-yl)oxy]butanoyl]piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one 666851-07-6P, (4AS,8aR)-2-[1-[2-(2-Aminoethoxy)ethyl]piperidin-4-yl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one dihydrochloride 666851-10-1P, (4AS,8aR)-4-(3,4-Dimethoxyphenyl)-2-[1-(2-methoxyethyl)piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride 666851-12-3P, (4AS,8aR)-4-(3,4-Dimethoxyphenyl)-2-[1-(2-methylsulfanylethyl)piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride 666851-15-6P, (4AS,8aR)-4-(3,4-Dimethoxyphenyl)-2-[1-[2-(methanesulfonyl)ethyl]piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride 666851-17-8P, (4AS,8aR)-4-(3,4-Dimethoxyphenyl)-2-[1-[2-(2-hydroxyethoxy)ethyl]piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one 666851-37-2P, (4AS,8aR)-2-[1-[2-(2-Aminoethoxy)ethyl]piperidin-4-yl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidine-substituted pyridazones and phthalazones as PDE4 inhibitors)

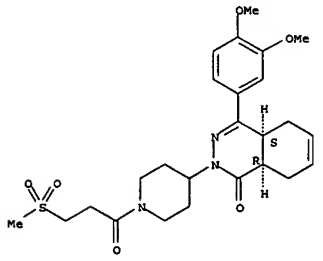
RN 380227-17-8 CAPLUS
CN Piperidine, 1-[3-[(2-aminoethyl)thio]-1-oxopropyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



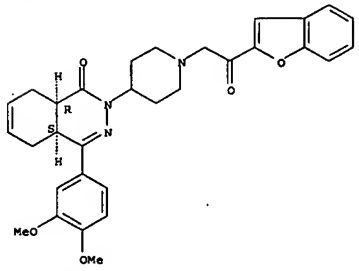
RN 666850-88-0 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[3-(methylsulfonyl)-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 666850-90-4 CAPLUS
CN 1(2H)-Phthalazinone, 2-[1-[2-(2-benzofuranyl)-2-oxoethyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, (4aS,8aR)- (9CI) (CA INDEX NAME)

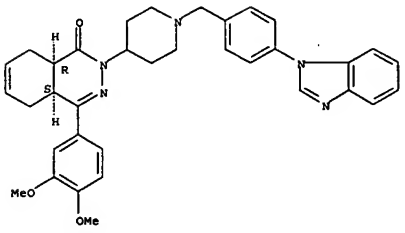
Absolute stereochemistry.



RN 666850-93-7 CAPLUS
CN 1(2H)-Phthalazinone, 2-[1-[[4-(1H-benzimidazol-1-yl)phenyl]methyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

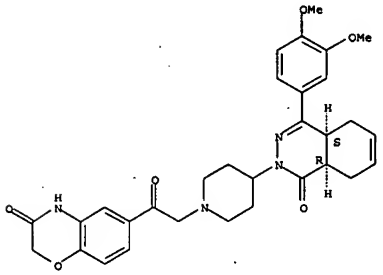
L4 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 666850-96-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]-(9CI) (CA INDEX NAME)

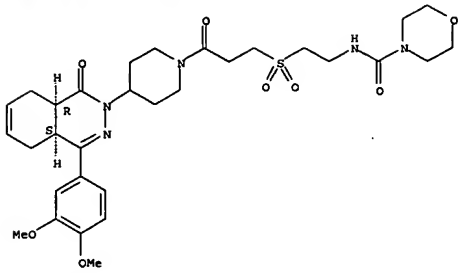
Absolute stereochemistry.



RN 666850-99-3 CAPLUS
CN 4-Morpholinecarboxamide, N-[2-[[3-[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]-3-oxopropyl]sulfonyl]ethyl]- (9CI) (CA INDEX NAME)

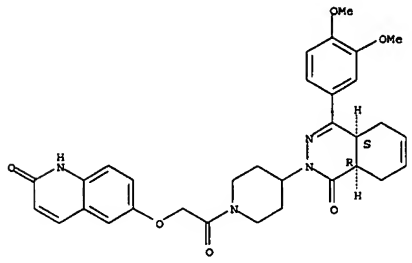
L4 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 666851-03-2 CAPLUS
CN Piperidine, 1-[[(1,2-dihydro-2-oxo-6-quinolinyl)oxy]acetyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-(9CI) (CA INDEX NAME)

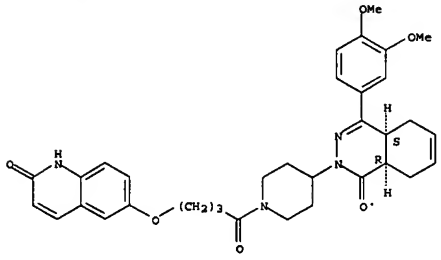
Absolute stereochemistry.



RN 666851-05-4 CAPLUS
CN Piperidine, 1-[4-[(1,2-dihydro-2-oxo-6-quinolinyl)oxy]-1-oxobutyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-

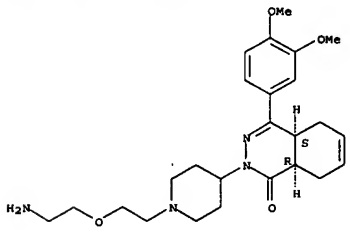
L4 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 666851-07-6 CAPLUS
CN 1(2H)-Phthalazinone, 2-[1-[2-(2-aminoethoxy)ethyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, dihydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

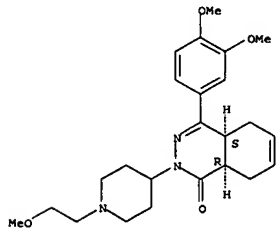


●2 HCl

RN 666851-10-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[2-methoxyethyl]-4-piperidinyl]-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

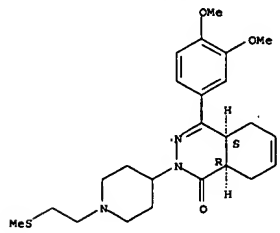
Absolute stereochemistry.



● HCl

RN 666851-13-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[2-(methylthio)ethyl]-4-piperidinyl]-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

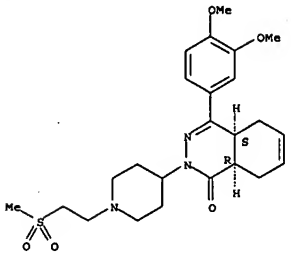


● HCl

RN 666851-15-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[2-(methylsulfonyl)ethyl]-4-piperidinyl]-, monohydrochloride, (4aS,8aR)-(9CI) (CA INDEX NAME)

L4 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

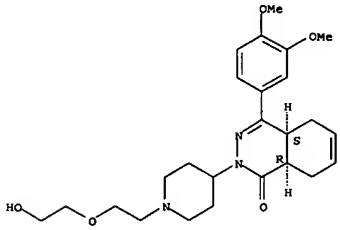
Absolute stereochemistry.



● HCl

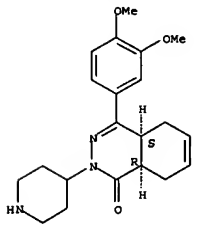
RN 666851-17-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[2-(2-hydroxyethoxy)ethyl]-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 666851-37-2 CAPLUS
CN 1(2H)-Phthalazinone, 2-[1-[2-(2-aminoethoxy)ethyl]-4-piperidinyl]-4-(3,4-

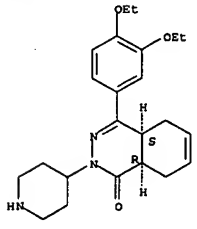
L4 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 380227-13-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



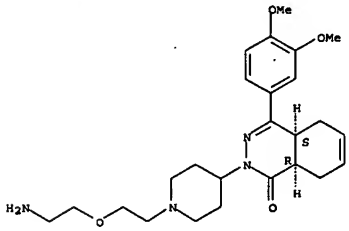
● HCl

RN 666735-60-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aR,8aS)-rel-
(9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

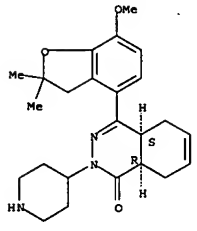


IT 380226-97-1P, (4AS,8aR)-4-(3,4-Dimethoxyphenyl)-2-(piperidin-4-yl)-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride
380227-13-4P, (4AS,8aR)-4-(3,4-Diethoxyphenyl)-2-piperidin-4-yl-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride
666735-60-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidine-substituted pyridazones and phthalazones as PDE4 inhibitors)

RN 380226-97-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

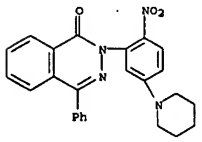
L4 ANSWER 15 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

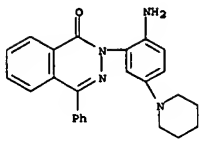
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:74759 CAPLUS
DOCUMENT NUMBER: 140:303617
TITLE: Synthesis of benzo[4,5]imidazo[2,1-a]phthalazines
AUTHOR(S): Shubin, Kirill M.; Kuznetsov, Viktor A.; Galishev, Vladimir A.
CORPORATE SOURCE: Saint-Petersburg State Institute of Technology (Technical University), Saint-Petersburg, 190013, Russia
SOURCE: Tetrahedron Letters (2004), 45(7), 1407-1408
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:303617
AB 5,9-Disubstituted benzo[4,5]imidazo[2,1-a]phthalazines are synthesized efficiently from acylbenzoic acids and 2-nitro-5-chlorophenylhydrazine. Nucleophilic substitution in phthalazinones gave a variety of the title compds. after reduction and cyclization.
IT 296771-74-9P 676562-72-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzo[4,5]imidazo[2,1-a]phthalazines starting from acylbenzoic acids and 2-nitro-5-chlorophenylhydrazine)
RN 296771-74-9 CAPLUS
CN 1(2H)-Phthalazinone, 2-[2-nitro-5-(1-piperidinyl)phenyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 676562-72-4 CAPLUS
CN 1(2H)-Phthalazinone, 2-[2-amino-5-(1-piperidinyl)phenyl]-4-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:829353 CAPLUS
DOCUMENT NUMBER: 139:317471
TITLE: Aryl and heteroaryl poly(ADP-ribose) polymerase (PARP) inhibitors, preparation, pharmaceutical compositions, and methods of therapeutic use
INVENTOR(S): Jackson, Paul F.; Li, Jia-He; Maclin, Keith M.; Zhang, Jie
PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA
SOURCE: U.S., 37 pp., Cont.-in-part of U.S. Ser. No. 79,512, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 17
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6635642	B1	20031021	US 1998-145176	19980901
US 6346536	B1	20020212	US 1997-922548	19970903
CA 2294074	AA	19990311	CA 1998-2294074	19980902
WO 9911649	A2	19990311	WO 1998-US18185	19980902
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9893748	A1	19990322	AU 1998-93748	19980902
EP 1012153	A1	20000628	EP 1998-946812	19980902
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: US 1997-922520 B2 19970903
US 1997-922548 A2 19970903
US 1998-79512 B2 19980515
US 1998-145176 A 19980901
WO 1998-US18185 W 19980902

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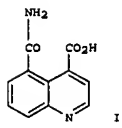
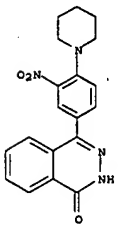
L4 ANSWER 17 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

AB The invention discloses PARP inhibitors, pharmaceutical compns. comprising them, and methods of using them to treat tissue damage resulting from cell damage or death due to necrosis or apoptosis, effect neuronal activities not mediated by NMDA toxicity; to treat neural tissue damage resulting from ischemia and reperfusion injury, neurol. disorders and neurodegenerative diseases; to prevent or treat vascular stroke; to treat or prevent cardiovascular disorders; to treat other conditions and/or disorders such as age-related macular degeneration, AIDS and other immune senescence diseases, arthritis, atherosclerosis, cachexia, cancer, degenerative diseases of skeletal muscle involving replicative senescence, diabetes, head trauma, immune senescence, inflammatory bowel disorders (such as colitis and Crohn's disease), muscular dystrophy, osteoarthritis, osteoporosis, chronic and/or acute pain (such as neuropathic pain), renal failure, retinal ischemia, septic shock (such as endotoxic shock), organ damage due to transplantation, and skin aging; to extend the lifespan and proliferative capacity of cells; to alter gene expression of senescent cells; or to radiosensitize hypoxic tumor cells. Preparation of e.g. carboxamide PARP inhibitor I is described. The neuroprotective effect of 3,4-dihydro-5-[4-(1-piperidinyl)butoxy]-1(2H)-isoquinolinone is presented. Effects of compds. of the invention on e.g. heart ischemia/reperfusion injury are also described.
IT 218144-45-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aryl and heteroaryl PARP inhibitors, preparation, pharmaceutical compns., and therapeutic use)
RN 218144-45-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[3-nitro-4-(1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 17 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 528 THERE ARE 528 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:719308 CAPLUS
DOCUMENT NUMBER: 139:240373
TITLE: Pharmaceutical composition of a phosphodiesterase 4 (PDE4) inhibitor or a PDE3/4 inhibitor and a histamine receptor antagonist for the treatment of respiratory diseases
INVENTOR(S): Beume, Rolf; Bundschuh, Daniela; Weimar, Christian; Wollin, Stefan-lutz
PATENT ASSIGNEE(S): Altana Pharma Ag, Germany
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074055	A1	20030912	WO 2003-EP1876	20030225
W: AE, AL, AU, BA, BR, CA, CN, CO, CU, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR				
CA 2478612	AA	20030912	CA 2003-2478612	20030225
AU 2003212268	A1	20030916	AU 2003-212268	20030225
EP 1482938	A1	20041208	EP 2003-708130	20030225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008220	A	20050104	BR 2003-8220	20030225
US 2005112069	A1	20050526	US 2003-506875	20030225
JP 2005524666	T2	20050818	JP 2003-572572	20030225
NZ 535611	A	20060331	NZ 2003-535611	20030225
NO 2004004230	A	20041206	NO 2004-4230	20041006
PRIORITY APPLN. INFO.:			EP 2002-4987 A	20020306
			WO 2003-EP1876 W	20030225

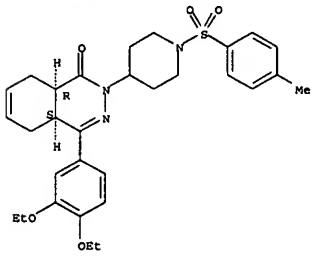
AB The invention discloses the combined administration of PDE4 or PDE3/4 inhibitors and histamine receptor antagonists for the treatment of respiratory diseases.

IT 449760-14-9 449760-15-0 449760-16-1
449760-17-2 449760-19-4 449760-20-7
449760-22-9 449760-23-0 449760-24-1
449760-25-2 449760-26-3 449760-28-5
449760-29-6 449760-30-9 449760-35-4
449760-40-1 449760-42-3 449760-47-8
449760-48-9 449760-49-0 449760-50-3
449760-51-4 449760-52-5 449760-53-6
449760-56-9 449760-57-0 449760-58-1
596102-01-1 596102-07-7 596102-09-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(phosphodiesterase 4 (PDE4) inhibitor or PDE3/4 inhibitor combination with histamine receptor antagonist for treatment of respiratory disease)

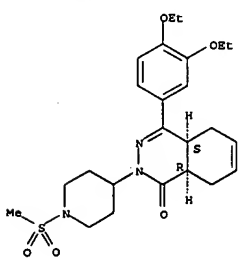
RN 449760-14-9 CAPLUS
CN Piperidine,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



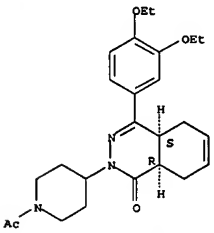
RN 449760-15-0 CAPLUS
CN Piperidine,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



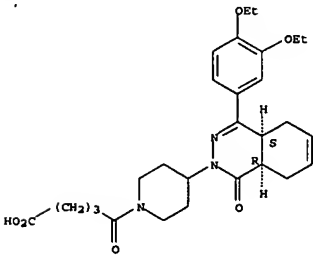
RN 449760-16-1 CAPLUS
CN Piperidine, 1-acetyl-4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-17-2 CAPLUS
CN 1-Piperidinepentanoic acid,
4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-δ-oxo- (9CI) (CA INDEX NAME)

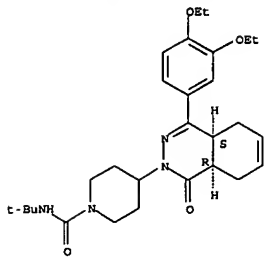
Absolute stereochemistry.



RN 449760-19-4 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

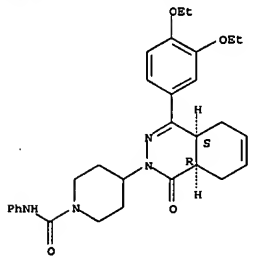
Absolute stereochemistry.

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-20-7 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-22-9 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aR,8aS)-4-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)-, rel- (9CI) (CA INDEX NAME)

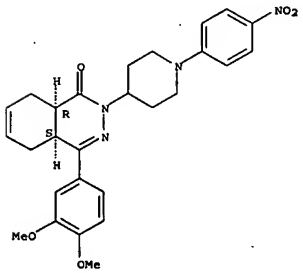
Relative stereochemistry.

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 2-A

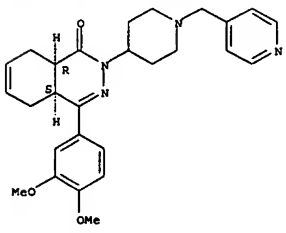
RN 449760-24-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-nitrophenyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

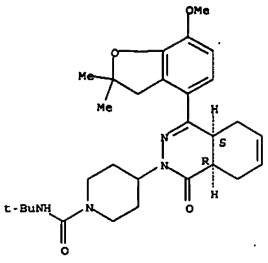


RN 449760-25-2 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



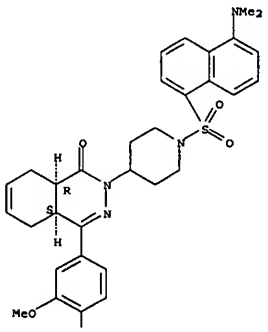
L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-23-0 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

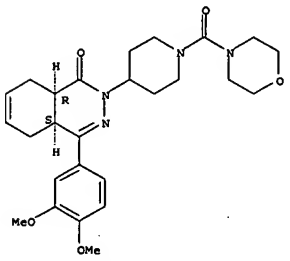
PAGE 1-A



L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 449760-26-3 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

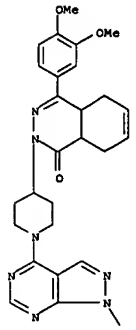
Absolute stereochemistry.



RN 449760-28-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



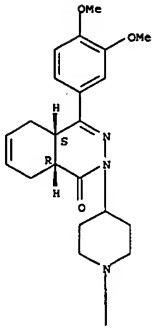
PAGE 2-A

RN 449760-29-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(1-thieno[2,3-d]pyrimidin-4-yl-4-piperidinyl)-, (4aS,8aR)- (9CI) (CA INDEX NAME)

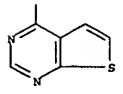
Absolute stereochemistry.

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



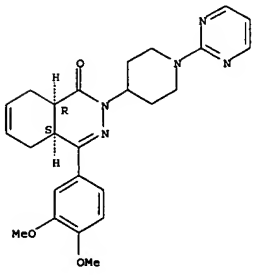
PAGE 2-A



RN 449760-30-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyrimidinyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

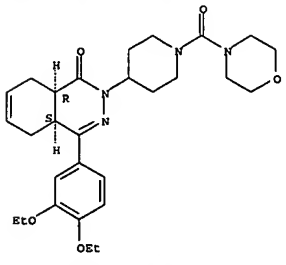
Absolute stereochemistry.

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-35-4 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

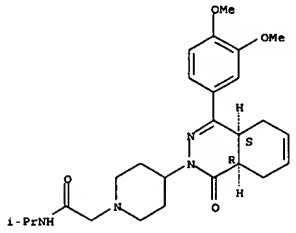
Absolute stereochemistry.



RN 449760-40-1 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

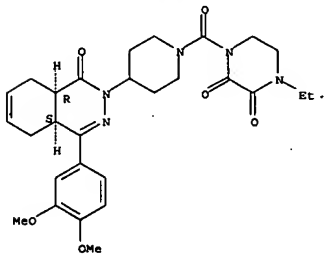
Absolute stereochemistry.

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-42-3 CAPLUS
CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

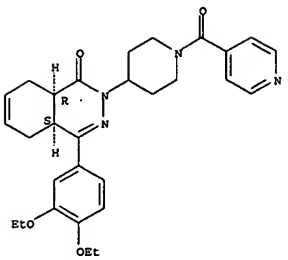
Absolute stereochemistry.



RN 449760-47-8 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

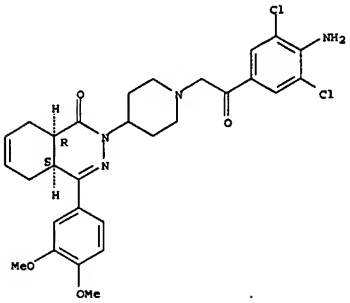
Absolute stereochemistry.

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



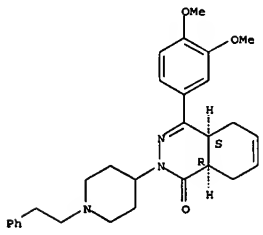
RN 449760-48-9 CAPLUS
CN 1(2H)-Phthalazinone, 2-[1-[2-(4-amino-3,5-dichlorophenyl)-2-oxoethyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, (4aS,8aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



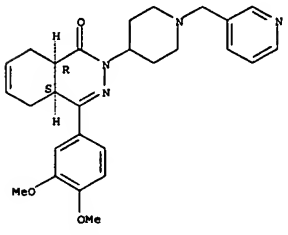
RN 449760-49-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[(2-oxo-2H-1-benzopyran-7-yl)methyl]-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.



RN 449760-52-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(3-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

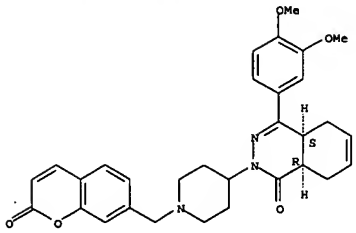


RN 449760-53-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

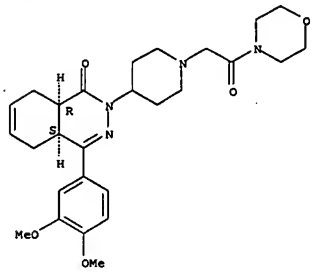
L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
INDEX NAME)

Absolute stereochemistry.



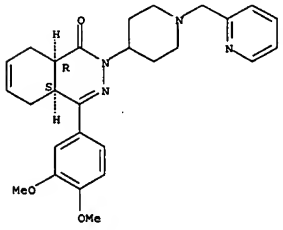
RN 449760-50-3 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



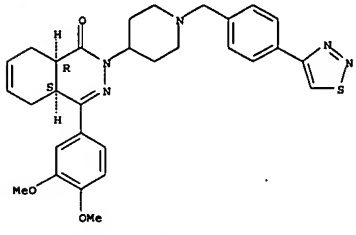
RN 449760-51-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-phenylethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-56-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methyl]-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

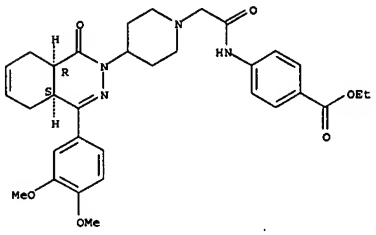
Absolute stereochemistry.



RN 449760-57-0 CAPLUS
CN Benzoic acid, 4-[[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

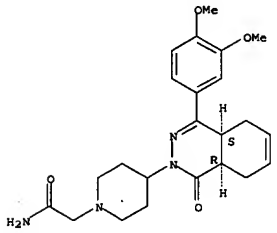
Absolute stereochemistry.

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



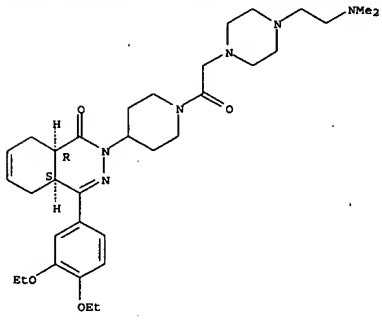
RN 449760-58-1 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



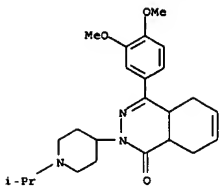
RN 596102-01-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



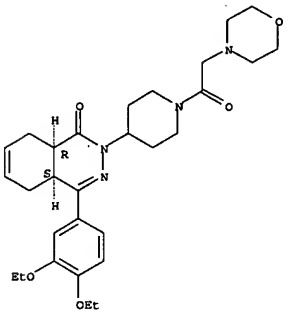
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 596102-07-7 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-morpholinylacetyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 596102-09-9 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[4-[2-(dimethylamino)ethyl]-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)

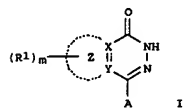
Absolute stereochemistry.

L4 ANSWER 19 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:678790 CAPLUS
DOCUMENT NUMBER: 139:214477
TITLE: Preparation of fused pyridazine derivatives as poly(ADP-ribose)polymerase inhibitors
INVENTOR(S): Seko, Takuya; Takeuchi, Jun; Takahashi, Shinya; Kamanaka, Yoshihisa; Kamoshima, Wataru
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 368 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070707	A1	20030828	WO 2003-JP1694	20030218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2476406	AA	20030828	CA 2003-2476406	20030218
AU 2003211381	A1	20030909	AU 2003-211381	20030218
EP 1477175	A1	20041117	EP 2003-705265	20030218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003007780	A	20041228	BR 2003-7780	20030218
US 2005085476	A1	20050421	US 2003-505012	20030218
CN 1646499	A	20050727	CN 2003-808459	20030218
ZA 2004006507	A	20050221	ZA 2004-6507	20040816
NO 2004003429	A	20041119	NO 2004-3429	20040817
PRIORITY APPLN. INFO.:			JP 2002-42259 A	20020219
			JP 2002-199673 A	20020709
			WO 2003-JP1694 W	20030218

OTHER SOURCE(S): MARPAT 139:214477
GI



I

AB The title compds. (I) and pharmaceutically acceptable salts thereof [R1 =

L4 ANSWER 19 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
H, C1-8 alkyl, C1-8 alkoxy, HO, halo, NO2, each optionally N-mono- or dialkylated NH2 or amino-C2-8 acyl, C2-8 acyl, phenyl-C1-8 alkoxy; X, Y = C, CH, N; a solid line accompanied by a dotted line is a single or double bond; the ring Z contg. X and Y = each partially or completely satd. C3-10
monocyclic carbocyclic aryl or 3- to 10-membered monocyclic heterocyclic aryl contg. 1-4 heteroatoms selected from O, N, and S; A = Q, Q1, Q2, Q3, etc.; wherein D1 = each N-(un)substituted NHCO, NHC(S), NHSO2, CH2NH, CH2NHCO, NHCONH, NH, NHCO2, NHC(S)NH, NH, or NHC(:NH), CH2O, OC(O); D2 = C1-8 alkylene, C2-8 alkenylene, Cyc2, -(C1-4 alkylene)-O-(C1-4 alkylene)-,
-(C1-4 alkylene)-S-(C1-4 alkylene)-, -(C1-4 alkylene)-NH-(C1-4 alkylene)-,
etc.; D3 = H, Cyc3, each (un)substituted NH2, CONH2, C(:CH)NH2, or NHC(:NH)NH2, OH, alkoxy, CO2H, alkoxycarbonyl, cyano, halo; G1 = C1-8 alkylene; G2 = H, C1-8 alkyl, C1-8 alkoxy, C2-8 acyl, Cyc6, NO2, Cyc6-C1-8
alkoxycarbonyl, -CO-Cyc6, etc.; R5 = H, C1-8 alkyl, C1-8 alkoxy, HO, NO2, each N-(un)substituted NH2 or amino-C1-8 alkyl, NHSO2OH, amidino, etc.; Cyc1, Cyc2, Cyc3, Cyc5, Cyc6 = groups each partially or completely satd. and monocyclic or bicyclic C3-10 carbocyclic aryl or 3- to 10-membered heterocyclic aryl contg. 1-4 heteroatoms selected from O, N, and S] are prepd. Because of inhibiting poly(ADP-ribose)polymerase, the compds. I are useful as preventives and/or remedies for various ischemic diseases (in brain, cord, heart, digestive tract, skeletal muscle, retina, etc.), inflammatory diseases (inflammatory bowel disease, multiple cerebrosclerosis, arthritis, etc.), neurodegenerative diseases (extrapyramidal disorder, Alzheimer's disease, muscular dystrophy, lumbar spinal canal stenosis, etc.), cataract, diabetes, diabetes complications, shock, head trauma, spinal cord injury, renal failure, and hyperalgesia. Moreover, these compds. are useful as agents against retroviruses (HIV, etc.) and sensitizers in treating cancer and immunosuppressants. Thus, a soln. of 3-[bis(trimethylsilyl)amino]phenylmagnesium chloride in THF (1 M,
20.0 mL) was added to a soln. of 3.04 g 3,4,5,6-tetrahydrophthalic anhydride in 40.0 mL THF at -78°, stirred for 1.5 h, treated with satd. aq. NH4Cl soln., stirred at room temp. for 30 min to give, after workup,
3-(3-aminophenyl)-3-hydroxy-4,5,6,7-tetrahydro-2-benzofuran-1(3H)-one (II) as an oil. SOCl2 (5.20 mL) was added dropwise to 20.0 mL MeOH at
-10°, stirred at 0° for 15 min, treated with II, stirred at room temp. for 18 h, concd., dissolved in 20 mL CH2Cl2, treated with Et3N,
treated with H2O, and extd. with CH2Cl2 to give, after workup and silica gel chromatog., 3-(3-aminophenyl)-3-methoxy-4,5,6,7-tetrahydro-2-benzofuran-1(3H)-one (III). A soln. of 2.56 g III and 503 mg hydrazine monohydrate in 30.0 mL EtOH was refluxed for 18 h, cooled to room temp., and filtered to give, after washing the crystals obtained with hexane and drying, 32.0 mg
4-(3-aminophenyl)-5,6,7,8-tetrahydrophthalazine-1(2H)-one.
4-(3,5-Diaminophenyl)-6,7,9,9a-tetrahydro[1,4]thiazino[4,3-d][1,2,4]triazin-1(2H)-one,
8-(3-aminophenyl)-2,3,4,6-tetrahydropyrido[2,3-d]pyridazin-5(1H)-one mono- or dihydrochloride, and 4-[N-(2-

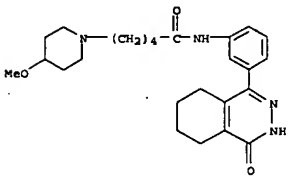
L4 ANSWER 19 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
aminoethyl)carbamoylmethyl]-5,6,7,8-tetrahydrophthalazin-1(2H)-one (IV) showed IC50 of 0.61, 0.10, and 0.29 μg/mL, resp. against poly(ADP-ribose)polymerase. A tablet and an ampule formulation contg. IV were described.

IT 590406-68-1P 590406-83-0P 590406-85-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused pyridazine derivs. as poly(ADP-ribose)polymerase inhibitors for treatment or prevention of diseases such as ischemia, inflammations and neurodegenerative diseases)

RN 590406-68-1 CAPLUS
CN 1-Piperidinepentanamide, N-[3-(3,4,5,6,7,8-hexahydro-4-oxo-1-phthalazinyl)phenyl]-4-methoxy-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 590406-67-0
CMF C25 H34 N4 O3

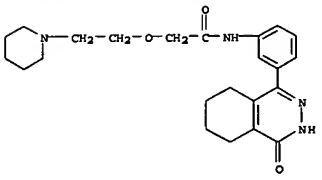


CM 2

CRN 75-75-2
CMF C H4 O3 S

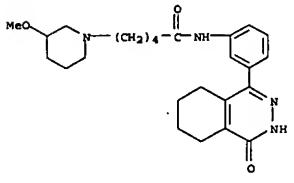
RN 590406-83-0 CAPLUS
CN Acetamide, N-[3-(3,4,5,6,7,8-hexahydro-4-oxo-1-phthalazinyl)phenyl]-2-[2-(1-piperidinyl)ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 590406-85-2 CAPLUS
CN 1-Piperidinepentanamide, N-[3-(3,4,5,6,7,8-hexahydro-4-oxo-1-phthalazinyl)phenyl]-3-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2002:832801 CAPLUS
DOCUMENT NUMBER:	137:337906
TITLE:	Preparation of phthalazinones as phosphodiesterase 4/7 inhibitors.
INVENTOR(S):	Hatzelmann, Armin; Marx, Degenhard; Steinhilber, Wolfram; Sterk, Geert Jan
PATENT ASSIGNEE(S):	Altana Pharma A.-G., Germany
SOURCE:	PCT Int. Appl., 42 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

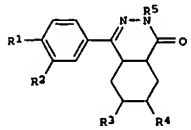
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085906	A2	20021031	WO 2002-EP4438	20020423
WO 2002085906	A3	20021219		
W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CU, CZ, DZ, EC, EE, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, RO, SG, SI, SK, TN, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2445233	AA	20021031	CA 2002-2445233	20020423
EP 1385848	A2	20040204	EP 2002-747291	20020423
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300514	A	20040216	EE 2003-514	20020423
CN 1503792	A	20040609	CN 2002-808742	20020423
BR 2002009149	A	20040713	BR 2002-9149	20020423
JP 2004526789	T2	20040902	JP 2002-583433	20020423
NZ 529221	A	20050429	NZ 2002-529221	20020423
US 2004127707	A1	20040701	US 2003-475657	20031023
NO 2003004773	A	20031210	NO 2003-4773	20031024
BG 108294	A	20040930	BG 2003-108294	20031027
ZA 2003008930	A	20040609	ZA 2003-8930	20031117

PRIORITY APPLN. INFO.:		EP 2001-110228	A 20010425
		WO 2002-EP4438	W 20020423

OTHER SOURCE(S): MARPAT 137:337906

GI



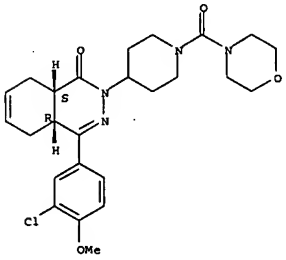
AB Title compds. (I; R1 = alkoxy, fluoroalkoxy; R2 = F, Br, Cl; R3, R4 = H;

L4 ANSWER 20 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
R3R4 = bond; R5 = alkyl, cycloalkyl, cycloalkylmethyl, alkenyl, alkynyl, phenylalkenyl, polycycloalkyl, naphthyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, etc.), were prepd. Thus, cis-4-(3-chloro-4-methoxyphenyl)-2-piperidin-4-yl-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one (prepn. given) was stirred 16 h with morpholine-4-carbonyl chloride in pyridine to give cis-4-(3-chloro-4-methoxyphenyl)-2-[1-(1-morpholin-4-ylmethanoyl)piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one. The latter inhibited PDE4 and PDE7 with -log IC50 = 8.64 and 7.64, resp.

IT 474122-96-8P 474122-97-9P 474122-98-0P
474122-99-1P 474123-01-8P 474123-12-1P
474123-17-6P 474123-26-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phthalazinones as phosphodiesterase 4/7 inhibitors)

RN 474122-96-8 CAPLUS
CN Morpholine, 4-[[4-[(4aR,8aS)-4-(3-chloro-4-methoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-, rel- (9CI) (CA INDEX NAME)

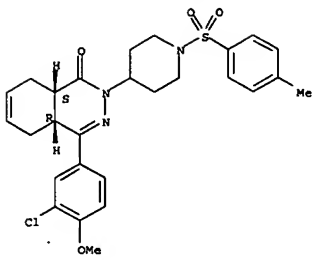
Relative stereochemistry.



RN 474122-97-9 CAPLUS
CN Piperidine, 4-[(4aR,8aS)-4-(3-chloro-4-methoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(4-methylphenyl)sulfonyl]-, rel- (9CI) (CA INDEX NAME)

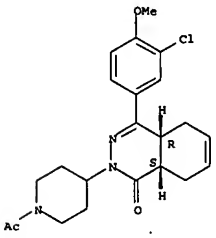
Relative stereochemistry.

L4 ANSWER 20 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 474122-98-0 CAPLUS
CN Piperidine, 1-acetyl-4-[(4aR,8aS)-4-(3-chloro-4-methoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, rel- (9CI) (CA INDEX NAME)

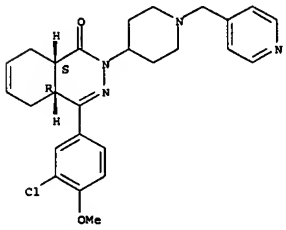
Relative stereochemistry.



RN 474122-99-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3-chloro-4-methoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-pyridinylmethyl)-4-piperidinyl]-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

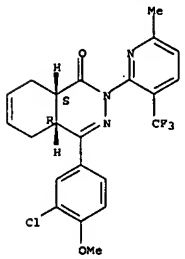
Relative stereochemistry.

L4 ANSWER 20 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 474123-01-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3-chloro-4-methoxyphenyl)-4a,5,8,8a-tetrahydro-2-[6-methyl-3-(trifluoromethyl)-2-pyridinyl]-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

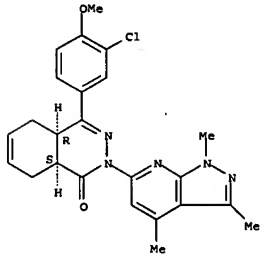
Relative stereochemistry.



RN 474123-12-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3-chloro-4-methoxyphenyl)-4a,5,8,8a-tetrahydro-2-(1,3,4-trimethyl-1H-pyrazolo[3,4-b]pyridin-6-yl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

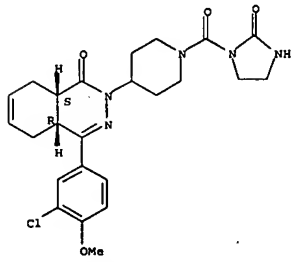
Relative stereochemistry.

L4 ANSWER 20 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 474123-17-6 CAPLUS
CN Piperidine, 4-[(4aR,8aS)-4-(3-chloro-4-methoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(2-oxo-1-imidazolidinyl)carbonyl]-, rel- (9CI) (CA INDEX NAME)

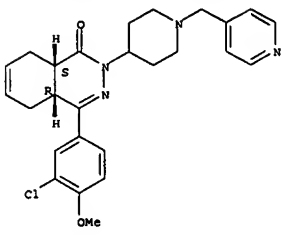
Relative stereochemistry.



RN 474123-26-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3-chloro-4-methoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-pyridinylmethyl)-4-piperidinyl]-, hydrochloride, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

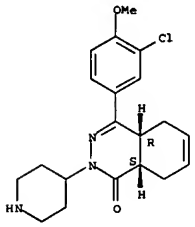
L4 ANSWER 20 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



●x HCl

IT 474123-18-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phthalazinones as phosphodiesterase 4/7 inhibitors)
RN 474123-18-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3-chloro-4-methoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



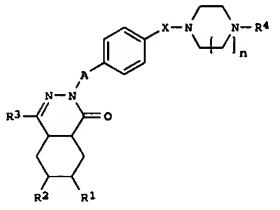
L4 ANSWER 21 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:832781 CAPLUS
DOCUMENT NUMBER: 137:337905
TITLE: Preparation of piperazino phthalazinone derivatives and their use as PDE4 inhibitors
INVENTOR(S): Hatzelmann, Armin; Bundschuh, Daniela; Barsig, Johannes; Kley, Hans-Peter; Grundler, Gerhard; Schmidt, Beate; Sterk, Geert Jan
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085885	A1	20021031	WO 2002-EP4494	20020424
W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CU, CZ, DZ, EC, EE, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, RO, SG, SI, SK, TN, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2445236	AA	20021031	CA 2002-2445236	20020424
EP 1385838	A1	20040204	EP 2002-740498	20020424
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300513	A	20040216	EE 2003-513	20020424
CN 1505624	A	20040616	CN 2002-808772	20020424
BR 2002009076	A	20040810	BR 2002-9076	20020424
JP 2004526785	T2	20040902	JP 2002-583412	20020424
NZ 529363	A	20050826	NZ 2002-529363	20020424
BG 108187	A	20040930	BG 2002-108187	20020923
US 2004132721	A1	20040708	US 2003-475656	20031023
US 7022696	B2	20060404		
NO 2003004804	A	20031229	NO 2003-4804	20031027
ZA 2003008931	A	20040609	ZA 2003-8931	20031117
JP 2006096766	A2	20060413	JP 2005-336182	20051121

PRIORITY APPLN. INFO.:
EP 2001-110227 A 20010425
JP 2002-583412 A3 20020424
WO 2002-EP4494 W 20020424

OTHER SOURCE(S): MARPAT 137:337905
GI

L4 ANSWER 21 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

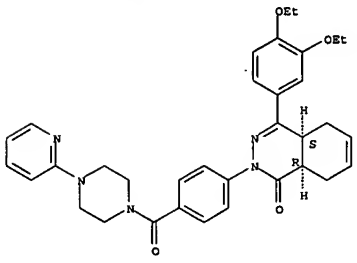


I

AB Piperazino phthalazinone deriva. [I; wherein R1, R2 = H, or together form an addnl. bond; R3 = (substituted) aryl, (substituted) benzofuran; A = a bond, CH2; X = C(O), S(O)2; n = 1, 2; R4 = alkylcarbonyl, aryl, hetaryl, phenylprop-1-en-3-yl, 1-methylpiperidin-4-yl] were prepared For example, (4aS,8aR)-4-(3,4-diethoxyphenyl)-2-{4-[1-(4-phenylpiperazin-1-yl)methanoyl]phenyl}-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride was prepared by a multistep synthetic procedure. The prepared
compds. are useful as PDE4 inhibitors and, in particular, in the treatment
of respiratory tract inflammation disorders.
IT 474001-54-2P 474001-58-6P 474001-67-7P
474001-70-2P 474001-77-9P 474001-78-0P
474001-79-1P 474001-80-4P 474001-81-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazino phthalazinone deriva. and their use as PDE4 inhibitors)
RN 474001-54-2 CAPLUS
CN Piperazine, 1-[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]benzoyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

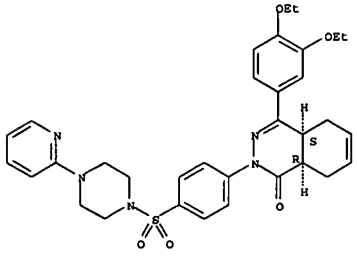
Absolute stereochemistry.

L4 ANSWER 21 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 474001-58-6 CAPLUS
CN Piperazine, 1-[[4-[(4aR,8aS)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]phenyl]sulfonyl]-4-(2-pyridinyl)-, rel- (9CI) (CA INDEX NAME)

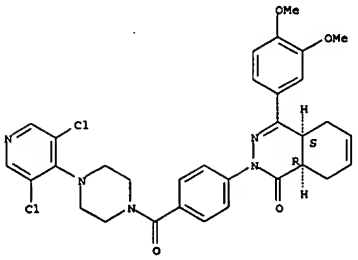
Relative stereochemistry.



RN 474001-67-7 CAPLUS
CN Piperazine, 1-(3,5-dichloro-4-pyridinyl)-4-[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]benzoyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

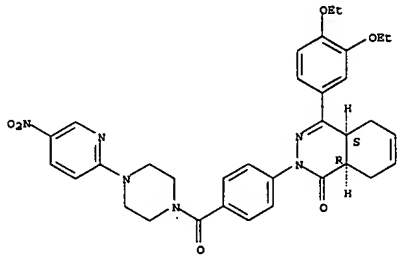
L4 ANSWER 21 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 474001-70-2 CAPLUS
CN Piperazine,
1-[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]benzoyl]-4-(5-nitro-2-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

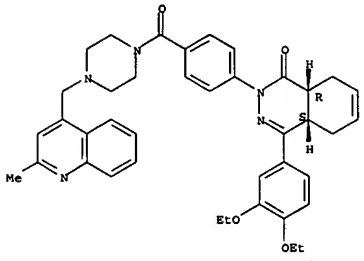


PAGE 2-A

● HCl

L4 ANSWER 21 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



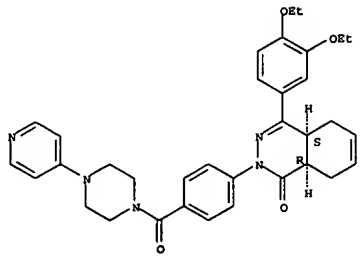
PAGE 2-A

● HCl

RN 474001-79-1 CAPLUS
CN Piperazine,
1-[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]benzoyl]-4-(4-pyridinyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

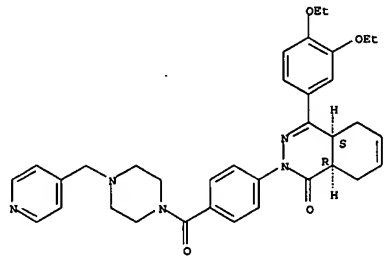


L4 ANSWER 21 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 474001-77-9 CAPLUS
CN Piperazine,
1-[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]benzoyl]-4-(4-pyridinylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

●2 HCl

RN 474001-78-0 CAPLUS
CN Piperazine,
1-[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]benzoyl]-4-[(2-methyl-4-quinolinyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 21 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

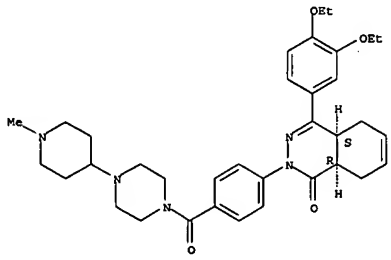
PAGE 2-A

● HCl

RN 474001-80-4 CAPLUS
CN Piperazine,
1-[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]benzoyl]-4-(1-methyl-4-piperidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



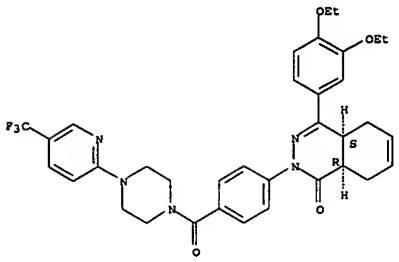
PAGE 2-A

●2 HCl

RN 474001-81-5 CAPLUS
CN Piperazine,
1-[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]benzoyl]-4-[5-(trifluoromethyl)-2-pyridinyl]-(9CI)
(CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 21 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



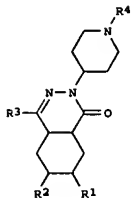
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:637671 CAPLUS
DOCUMENT NUMBER: 137:185496
TITLE: Preparation of piperidinyl benzopyridazine derivatives as PDE4 inhibitors for treatment of airway disorders
INVENTOR(S): Hatzelmann, Armin; Bundschuh, Daniela; Kley, Hans-peter; Timmerman, Hendrik; Christiaans, Johannes A. M.; Grundler, Gerhard; Gutterer, Beate; Sterk, Geert Jan
PATENT ASSIGNEE(S): Byk Gulden Lomberg Chemische Fabrik Gmbh, Germany
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064584	A1	20020822	WO 2002-EP1547	20020214
W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CU, CZ, DZ, EC, EE, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, RO, SG, SI, SK, TN, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2438520	AA	20020822	CA 2002-2438520	20020214
EE 200300311	A	20031015	EE 2003-311	20020214
EP 1362044	A1	20031119	EP 2002-701277	20020214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007278	A	20040210	BR 2002-7278	20020214
JP 2004518727	T2	20040624	JP 2002-564515	20020214
CN 1524080	A	20040825	CN 2002-805038	20020214
NZ 527424	A	20050225	NZ 2002-527424	20020214
US 2004067946	A1	20040408	US 2003-467832	20030813
US 6953853	B2	20051011		
NO 2003003618	A	20031015	NO 2003-3618	20030814
BG 108124	A	20040831	BG 2003-108124	20030821
ZA 2003006815	A	20040617	ZA 2003-6815	20030901
US 2005234062	A1	20051020	US 2005-143721	20050603
PRIORITY APPLN. INFO.:			EP 2001-103496 A	20010215
			WO 2002-EP1547 W	20020214
			US 2003-467832 A1	20030813

OTHER SOURCE(S): MARPAT 137:185496
GI

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

AB Piperidinyl benzopyridazine derivs. [I; wherein R1 and R2 = H, or together form an addnl. bond; R3 = substituted benzene, benzopyran derivative; R4 = (C1-C4)alkoxy, optionally substituted with fluorine] were prepared Thus, to a solution of (4aS,8aR)-4-(3,4-diethoxyphenyl)-2-piperidin-4-yl-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride (synthetic preparation given) and p-TsCl in pyridine is stirred to give (4aS,8aR)-4-(3,4-diethoxyphenyl)-2-[1-(toluene-4-sulfonyl)-piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one. The prepared compds. are effective PDE4 inhibitors useful in the treatment of airway disorders.

IT 449760-14-9P 449760-15-0P 449760-16-1P
449760-17-2P 449760-18-3P 449760-19-4P
449760-20-7P 449760-21-8P 449760-22-9P
449760-23-0P 449760-24-1P 449760-25-2P
449760-26-3P 449760-27-4P 449760-28-5P
449760-29-6P 449760-30-9P 449760-31-0P
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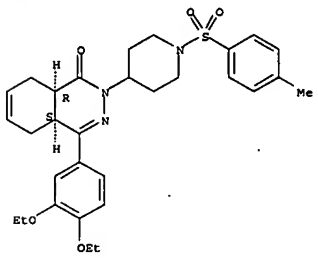
449760-49-0P 449760-50-3P 449760-51-4P
449760-52-5P 449760-53-6P 449760-54-7P
449760-55-8P 449760-56-9P 449760-57-0P
449760-58-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinyl benzopyridazine derivs. as PDE4 inhibitors for treatment of airway disorders)

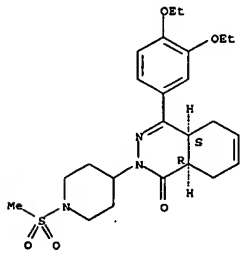
RN 449760-14-9 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.



RN 449760-15-0 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

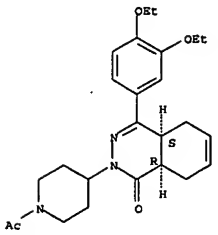
Absolute stereochemistry.



RN 449760-16-1 CAPLUS
CN Piperidine, 1-acetyl-4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

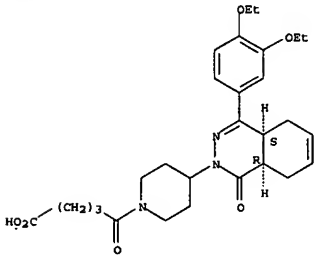
Absolute stereochemistry.

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-17-2 CAPLUS
CN 1-Piperidinepentanoic acid, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-δ-oxo- (9CI) (CA INDEX NAME)

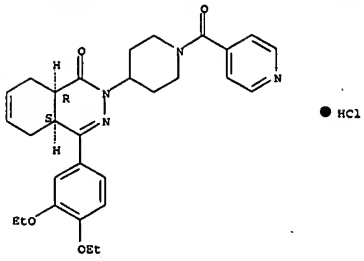
Absolute stereochemistry.



RN 449760-18-3 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

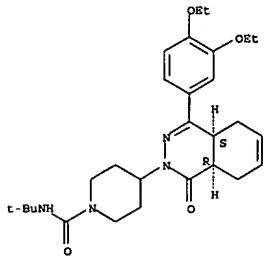
Absolute stereochemistry.

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-19-4 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

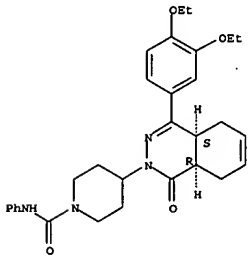
Absolute stereochemistry.



RN 449760-20-7 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-phenyl- (9CI) (CA INDEX NAME)

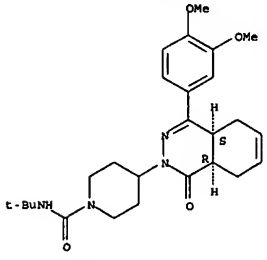
Absolute stereochemistry.

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-21-8 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

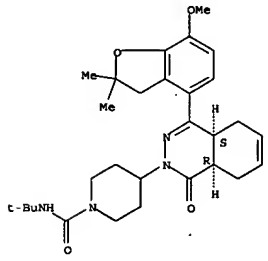
Absolute stereochemistry.



RN 449760-22-9 CAPLUS
CN 1-Piperidinecarboxamide, 4-[(4aR,8aS)-4-(2.3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1,1-dimethylethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-23-0 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[5-(dimethylamino)-1-naphthalenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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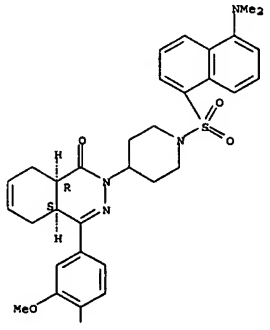
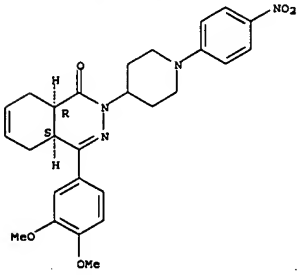


L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 2-A

RN 449760-24-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-nitrophenyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

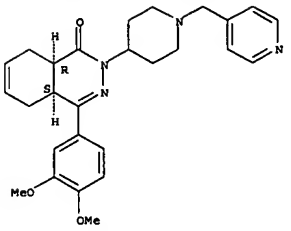
Absolute stereochemistry.



RN 449760-25-2 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(4-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

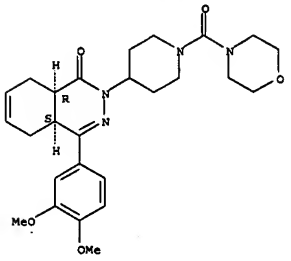
Absolute stereochemistry.

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-26-3 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

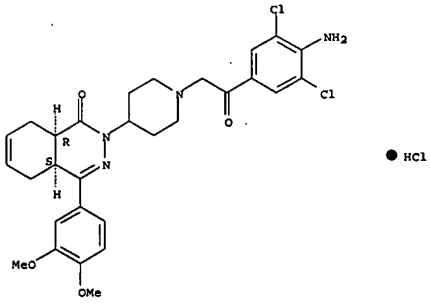
Absolute stereochemistry.



RN 449760-27-4 CAPLUS
CN 1(2H)-Phthalazinone, 2-[1-[2-(4-amino-3,5-dichlorophenyl)-2-oxoethyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-28-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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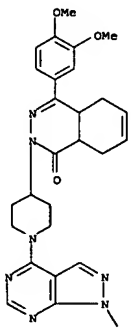

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 2-A

RN 449760-29-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(1-thieno[2,3-d]pyrimidin-4-yl-4-piperidinyl)-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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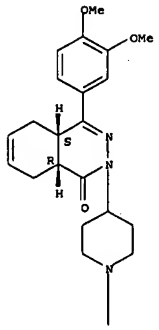

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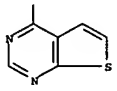
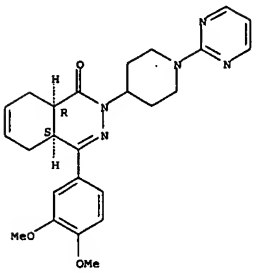


RN 449760-30-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyrimidinyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

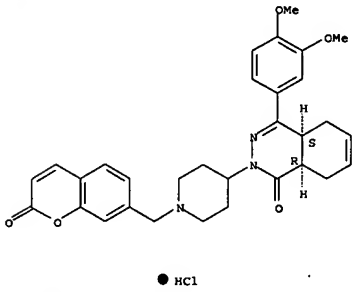
Absolute stereochemistry.

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-31-0 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[(2-oxo-2H-1-benzopyran-7-yl)methyl]-4-piperidinyl]-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

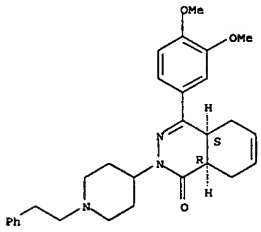


● HCl

RN 449760-32-1 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(1-

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
INDEX NAME)

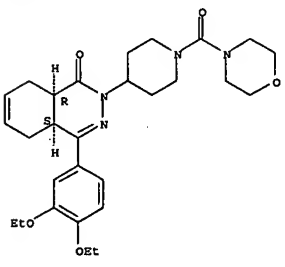
Absolute stereochemistry.



● HCl

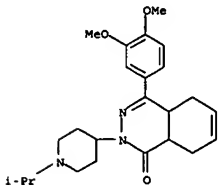
RN 449760-35-4 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-36-5 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(3-pyridinylmethyl)-4-piperidinyl]-, dihydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

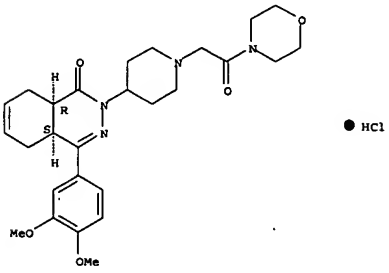
L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
methylethyl)-4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 449760-33-2 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]-, monohydrochloride (9CI) (CA INDEX NAME)

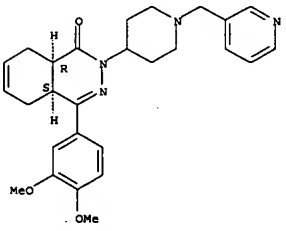
Absolute stereochemistry.



● HCl

RN 449760-34-3 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-phenylethyl)-4-piperidinyl]-, monohydrochloride, (4aS,8aR)- (9CI) (CA

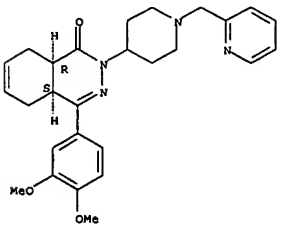
L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Absolute stereochemistry.



●2 HCl

RN 449760-37-6 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyridinylmethyl)-4-piperidinyl]-, dihydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

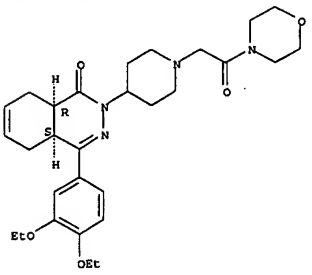


●2 HCl

RN 449760-38-7 CAPLUS
CN Morpholine,
4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



● HCl

RN 449760-39-8 CAPLUS
CN 1-Piperazineethanamine, 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]-N,N-dimethyl-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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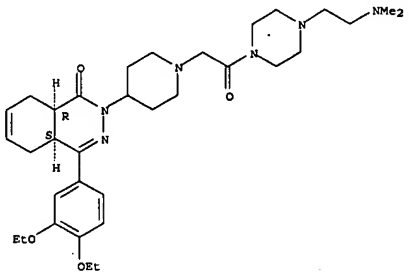
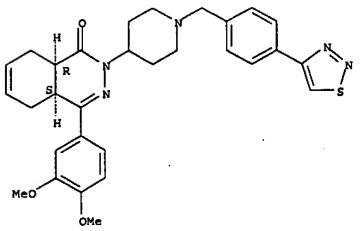


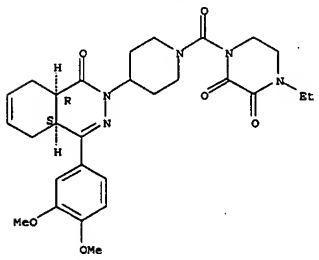
L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



●2 HCl

RN 449760-42-3 CAPLUS
CN 2,3-Piperazinedione, 1-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]carbonyl]-4-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 449760-43-4 CAPLUS
CN Benzoic acid, 4-[[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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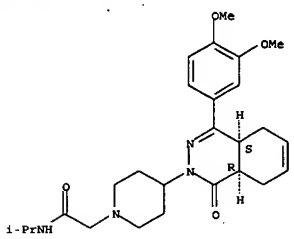
●3 HCl

RN 449760-40-1 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

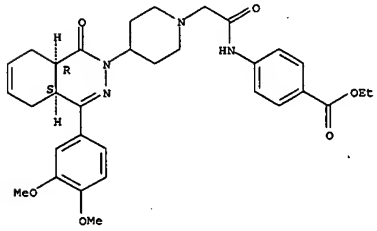
Absolute stereochemistry.



RN 449760-41-2 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methyl]-4-piperidinyl]-, dihydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

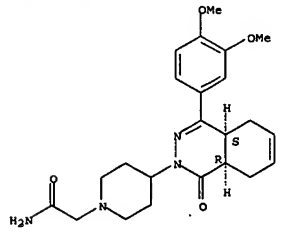
L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 449760-44-5 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

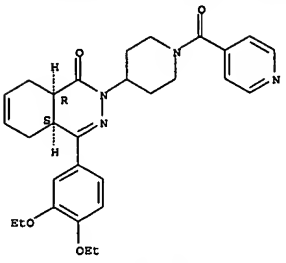


● HCl

RN 449760-47-8 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(4-pyridinylcarbonyl)- (9CI) (CA INDEX NAME)

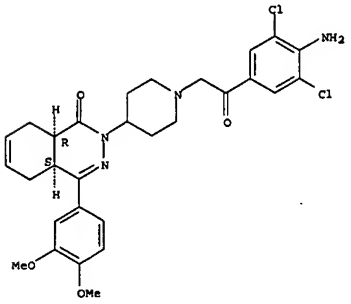
Absolute stereochemistry.

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



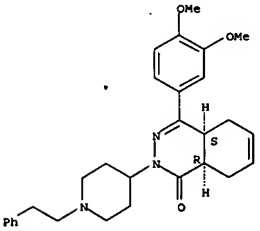
RN 449760-48-9 CAPLUS
CN 1(2H)-Phthalazinone, 2-[1-[2-(4-amino-3,5-dichlorophenyl)-2-oxoethyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, (4aS,8aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



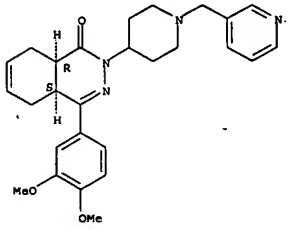
RN 449760-49-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[(2-oxo-2H-1-benzopyran-7-yl)methyl]-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-52-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(3-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

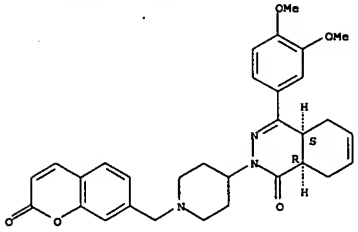


RN 449760-53-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-pyridinylmethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

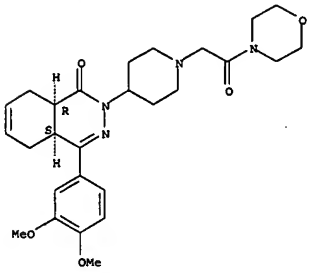
L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



RN 449760-50-3 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

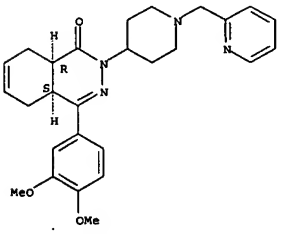
Absolute stereochemistry.



RN 449760-51-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-phenylethyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

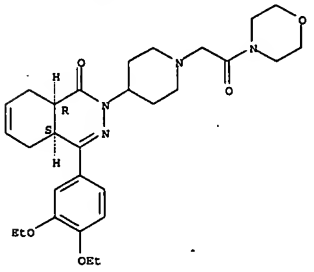
Absolute stereochemistry.

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-54-7 CAPLUS
CN Morpholine, 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]- (9CI) (CA INDEX NAME)

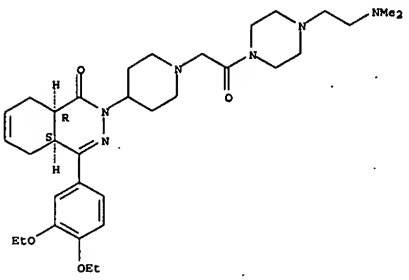
Absolute stereochemistry.



RN 449760-55-8 CAPLUS
CN 1-Piperazineethanamine, 4-[[4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

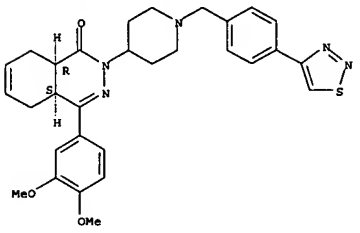
Absolute stereochemistry.

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-56-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-[[4-(1,2,3-thiadiazol-4-yl)phenyl]methyl]-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

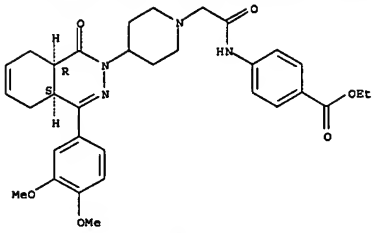
Absolute stereochemistry.



RN 449760-57-0 CAPLUS
CN Benzoic acid, 4-[[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

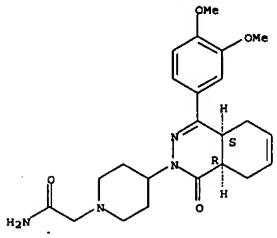
Absolute stereochemistry.

L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 449760-58-1 CAPLUS
CN 1-Piperidineacetamide, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

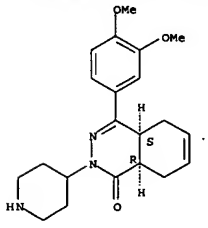
Absolute stereochemistry.



IT 380226-97-1P 380227-12-3P 380227-13-4P
449760-45-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidinyl benzopyridazine derivs. as PDE4 inhibitors for
treatment of airway disorders)
RN 380226-97-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

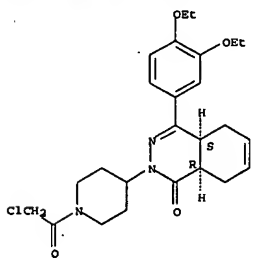
L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 380227-12-3 CAPLUS
CN Piperidine, 1-(chloroacetyl)-4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

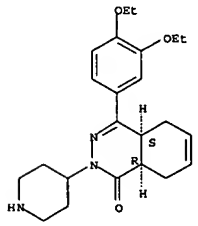
Absolute stereochemistry.



RN 380227-13-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

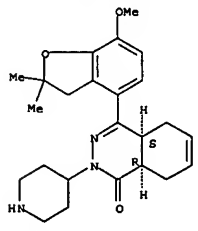
L4 ANSWER 22 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

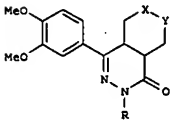
RN 449760-45-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-(2,3-dihydro-7-methoxy-2,2-dimethyl-4-benzofuranyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

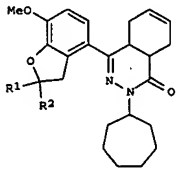
Relative stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

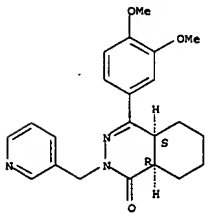
L4 ANSWER 23 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:357897 CAPLUS
DOCUMENT NUMBER: 137:63213
TITLE: Novel Selective PDE4 Inhibitors. 3. In Vivo Antiinflammatory Activity of a New Series of N-Substituted cis-Tetra- and cis-Hexahydrophthalazinones
AUTHOR(S): Van der Mey, Margaretha; Boss, Hildegard; Hatzelmann, Armin; Van der Laan, Ivonne J.; Sterk, Geert J.; Timmerman, Hendrik
CORPORATE SOURCE: Division of Medicinal Chemistry, Department of Pharmacochemistry, Leiden/Amsterdam Center for Drug Research, Vrije Universiteit, Amsterdam, 1081 HV, Neth.
SOURCE: Journal of Medicinal Chemistry (2002), 45(12), 2520-2525
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:63213
GI


I


II

AB The synthesis and biol. activities of a series of N-substituted cis-4a,5,6,7,8,8a-hexa- and cis-4a,5,8,8a-tetrahydro-2H-phthalazin-1-ones I [XY = (CH2)2, HC:CH; R = Me, cyclopentyl, allyl, PhCOCH2, etc.] are described. It was found that compds. bearing a cycloalkyl group at the 2-position exhibit the highest PDE4 inhibitory activities (pIC50 = 8.6-9.4). The N-cycloheptyl- and N-adamantanyltetrahydrophthalazinones I (XY = HC:CH; R = cycloheptyl, 2-adamantyl) and II [R1 = R2 = Me, R1R2 = (CH2)4] show high in vivo antiinflammatory activities after oral application. Addnl., some phthalazinones were found to exhibit potent suppression of LPS-induced TNFα release and show moderate potency against fMLP-stimulated production of ROS.
IT 210467-38-2P 210467-43-9P 439660-83-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(antiinflammatory activity and preparation of PDE4 inhibiting tetra- and hexahydrophthalazinones by alkylation of N-unsubstituted phthalazinones

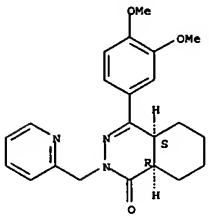
L4 ANSWER 23 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

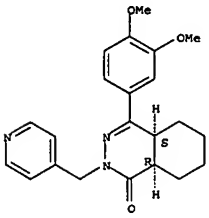
L4 ANSWER 23 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
with alkyl, acylmethyl, or aralkyl (heteroaralkyl) halides)
RN 210467-38-2 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-2-(2-pyridinylmethyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 210467-43-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-2-(4-pyridinylmethyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



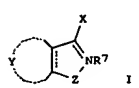
RN 439660-83-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-2-(3-pyridinylmethyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 24 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:143287 CAPLUS
DOCUMENT NUMBER: 136:194277
TITLE: Oxo-substituted heterocyclic compounds, therapeutic methods, and compositions for inhibiting poly(ADP-ribose) polymerase (PARP) activity
INVENTOR(S): Li, Jia-he; Taya, Kevin Leonard; Zhang, Jie
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 50 pp., Cont.-in-part of U.S. Ser. No. 79,509, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 17
PATENT INFORMATION:

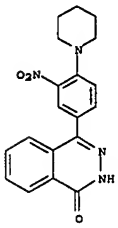
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002022636	A1	20020221	US 1998-145180	19980901
CA 2294118	AA	19990311	CA 1998-2294118	19980902
WO 9911624	A1	19990311	WO 1998-US18195	19980902
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9892986	A1	19990322	AU 1998-92986	19980902
EP 1009739	A2	20000621	EP 1998-945833	19980902
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9812428	A	20000926	BR 1998-12428	19980902
TR 200001557	T2	20010122	TR 2000-200001557	19980902
JP 2002512637	T2	20020423	JP 1999-516977	19980902
NO 2000001002	A	20000427	NO 2000-1002	20000228
US 6235748	B1	20010522	US 2000-524750	20000314
US 2003105102	A1	20030605	US 2002-109730	20020401
PRIORITY APPLN. INFO.:			US 1997-922520	B2 19970903
			US 1998-79509	B2 19980515
			US 1998-145180	A 19980901
			WO 1998-US18195	W 19980902

OTHER SOURCE(S): MARPAT 136:194277
GI


I

AB PARP-inhibiting oxo-substituted heterocyclic compds., compns. containing

L4 ANSWER 24 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
therapeutic methods of using them, and processes for making them are disclosed. The compds., contg. at least one ring nitrogen, are I [X = double-bonded O, OH; R7 (when present) = H, lower alkyl; Y = atoms necessary to form fused mono-, bi- or tricyclic, carbocyclic or heterocyclic ring, wherein each individual ring has 5-6 ring member atoms; Z = (i) CHR2CHR3 (R2, R3 = H, alkyl, aryl, aralkyl); (ii) R6C=CR3 (R3, R6 = H, lower alkyl, aryl, aralkyl, halo, NO2, COOR7, NR7R8 (R8 = H, C1-C9 alkyl), or R6 and R3 taken together form fused arom. ring, wherein each individual ring has 5-6 ring members); (iii) R2C=N; (i.v.) CR2(OH)NR7; (v) C(O)NR7] or a pharmaceutically acceptable base or acid addn. salt, hydrate, ester, solvate, prodrug, metabolite, stereoisomer or mixt. thereof.
IT 218144-45-7, 1(2H)-Phthalazinone, 4-[3-nitro-4-(1-piperidinyl)phenyl]-
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oxo-substituted heterocyclic compds., therapeutic methods, and compns. for inhibiting poly(ADP-ribose) polymerase (PARP) activity)
RN 218144-45-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[3-nitro-4-(1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:904118 CAPLUS
DOCUMENT NUMBER: 136:37625
TITLE: Preparation of pyridazinones as β2-adrenoreceptor agonists and PDE4 inhibitors
INVENTOR(S): Hatzelmann, Armin; Bundschuh, Daniela; Eltze, Manfrid; Van der Laan, Yvonne; Timmermann, Hendrik; Christiaans, Johannes; Brundel, Paulus; Sterk, Geert
PATENT ASSIGNEE(S): Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany; Byk Nederland B.V.
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001094319	A1	20011213	WO 2001-EP6230	20010601
W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CU, CZ, EC, EE, GE, HR, HU, ID, IL, IN, IS, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2411351	AA	20011213	CA 2001-2411351	20010601
EP 1296956	A1	20030402	EP 2001-936419	20010601
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011440	A	20030603	BR 2001-11440	20010601
JP 2003535850	T2	20031202	JP 2002-501869	20010601
NZ 522882	A	20040730	NZ 2001-522882	20010601
ZA 2002009598	A	20030729	ZA 2002-9598	20021126
NO 2002005811	A	20030204	NO 2002-5811	20021203
US 2003195215	A1	20031016	US 2003-296411	20030402
US 6933296	B2	20050823		

PRIORITY APPLN. INFO.: EP 2000-111795 A 20000605
WO 2001-EP6230 W 20010601

OTHER SOURCE(S): MARPAT 136:37625
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

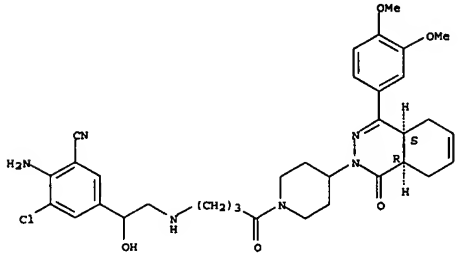
AB The title compds. [I; Ar1 = substituted Ph, dihydrobenzofuranyl; R6, R7 = H, alkyl; or R6 and R7 together and with inclusion of the two carbon atoms, to which they are bonded, form II-V; A = CmH2mYXCnH2n, YXCmH2mZCnH2n; X = a bond, O, S, etc.; Y = a bond, phenylene, cycloalkylene, etc.; Z = O, S, SO2, etc.; m = 0-4; n = 1-4; R8 = H, alkyl;

L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Ar2 = 8-hydroxy-1H-quinolin-2-on-5-yl, substituted Ph], useful as novel effective bronchial therapeutics, were prepd. The general procedures for prepn. of compds. I such as (cis)-VI.fumarate were described. Biol. data for compds. I were given.
IT 380226-56-2P 380226-64-2P 380226-65-3P
380226-67-5P 380226-69-7P 380226-71-1P
380226-72-2P 380226-74-4P 380226-77-7P
380226-78-8P 380226-80-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridazinones as β2-adrenoreceptor agonists and PDE4 inhibitors)
RN 380226-56-2 CAPLUS
CN Piperidine, 1-[4-[[2-(4-amino-3-chloro-5-cyanophenyl)-2-hydroxyethyl]amino]-1-oxobutyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 380226-55-1
CMF C34 H41 Cl N6 O5

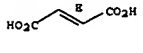
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

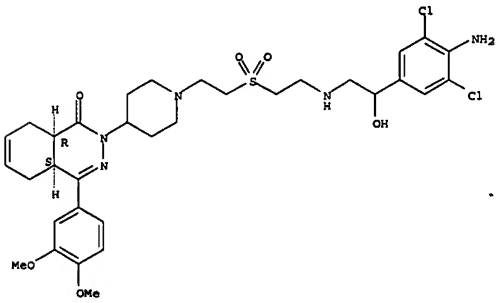


L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 380226-64-2 CAPLUS
CN 1(2H)-Phthalazinone, 2-[1-[2-[[2-[[2-(4-amino-3,5-dichlorophenyl)-2-hydroxyethyl]amino]ethyl]sulfonyl]ethyl]-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, (4aS,8aR)-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 380226-63-1
CMF C33 H43 Cl2 N5 O6 S

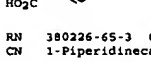
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

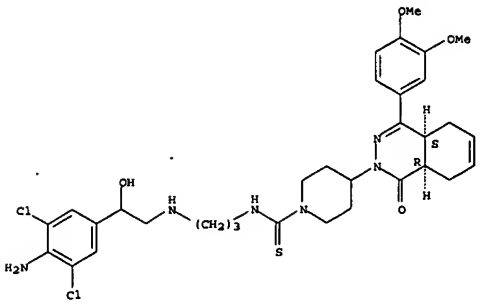
Double bond geometry as shown.



RN 380226-65-3 CAPLUS
CN 1-Piperidinecarbothioamide, N-[3-[[2-(4-amino-3,5-dichlorophenyl)-2-hydroxyethyl]amino]propyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME).

Absolute stereochemistry.

L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



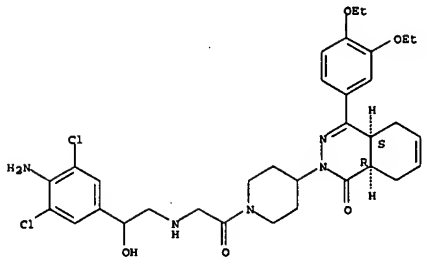
RN 380226-67-5 CAPLUS
CN Piperidine, 1-[[[2-(4-amino-3,5-dichlorophenyl)-2-hydroxyethyl]amino]acetyl]-4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 380226-66-4
CMF C33 H41 Cl2 N5 O5

Absolute stereochemistry.

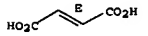
L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



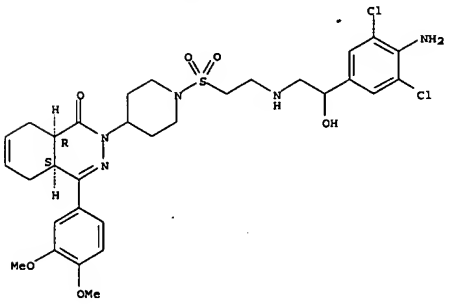
RN 380226-69-7 CAPLUS
CN Piperidine, 1-[[2-[[2-(4-amino-3,5-dichlorophenyl)-2-hydroxyethyl]amino]ethyl]sulfonyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 380226-68-6
CMF C31 H39 Cl2 N5 O6 S

Absolute stereochemistry.

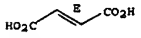
L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



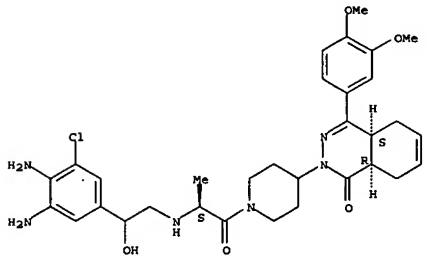
RN 380226-71-1 CAPLUS
CN Piperidine, 1-[(2S)-2-[[2-(3,4-diamino-5-chlorophenyl)-2-hydroxyethyl]amino]-1-oxopropyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 380226-70-0
CMF C32 H41 Cl N6 O5

Absolute stereochemistry.

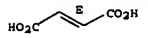
L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

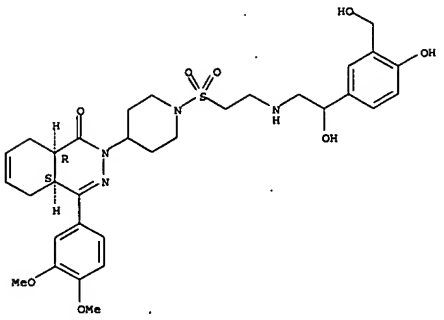
Double bond geometry as shown.



RN 380226-72-2 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[[2-[[2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]ethyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

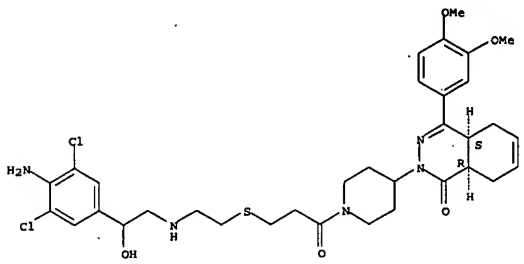
L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 380226-74-4 CAPLUS
CN Piperidine, 1-[3-[[2-[[2-(4-amino-3,5-dichlorophenyl)-2-hydroxyethyl]amino]ethyl]thio]-1-oxopropyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

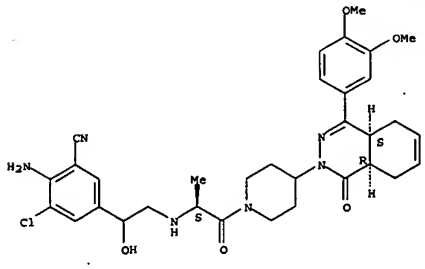
Absolute stereochemistry.

PAGE 1-A



L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A

● HCl

RN 380226-80-2 CAPLUS
CN Benzonitrile, 2-amino-3-chloro-5-[2-[[6-[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]hexyl]amino]-1-hydroxyethyl]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 380226-79-9
CMF C36 H47 Cl N6 O4

Absolute stereochemistry.

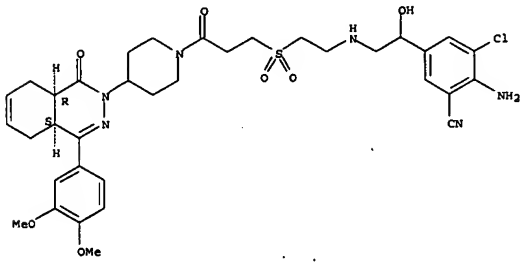
L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 2-A

● HCl

RN 380226-77-7 CAPLUS
CN Piperidine, 1-[3-[[2-[[2-(4-amino-3-chloro-5-cyanophenyl)-2-hydroxyethyl]amino]ethyl]sulfonyl]-1-oxopropyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

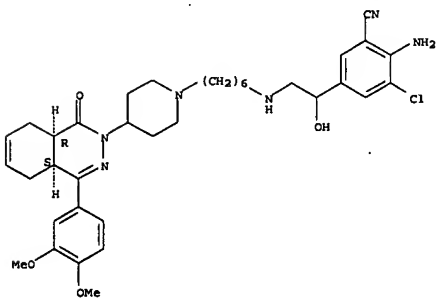
Absolute stereochemistry.



RN 380226-78-8 CAPLUS
CN Piperidine, 1-[(2S)-2-[[2-(4-amino-3-chloro-5-cyanophenyl)-2-hydroxyethyl]amino]-1-oxopropyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

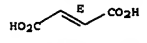
L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



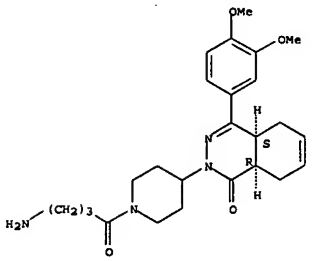
IT 380226-94-8P 380226-95-9P 380226-96-0P
380226-97-1P 380227-08-7P 380227-10-1P
380227-11-2P 380227-12-3P 380227-13-4P
380227-14-5P 380227-15-6P 380227-16-7P
380227-17-8P 380227-18-9P 380227-19-0P
380227-20-3P 380227-21-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridazinones as β2-adrenoreceptor agonists and PDE4 inhibitors)
RN 380226-94-8 CAPLUS
CN Piperidine, 1-(4-amino-1-oxobutyl)-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380226-93-7
CMF C25 H34 N4 O4

Absolute stereochemistry.

L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



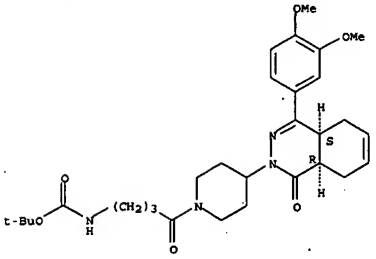
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 380226-95-9 CAPLUS
CN Carbamic acid, [4-[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]-4-oxobutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

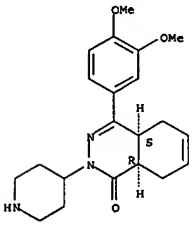
Absolute stereochemistry.

L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 380226-96-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, (4aS,8aR)- (9CI) (CA INDEX NAME)

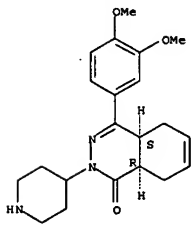
Absolute stereochemistry.



RN 380226-97-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

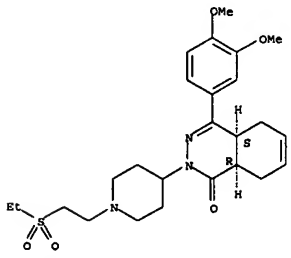
L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 380227-08-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-2-[1-[2-(ethylsulfonyl)ethyl]-4-piperidinyl]-4a,5,8,8a-tetrahydro-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



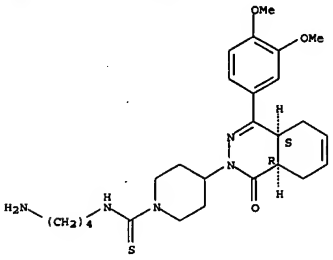
RN 380227-10-1 CAPLUS
CN 1-Piperidinecarbothioamide, N-(4-aminobutyl)-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 380227-09-8
CMF C26 H37 N5 O3 S

L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



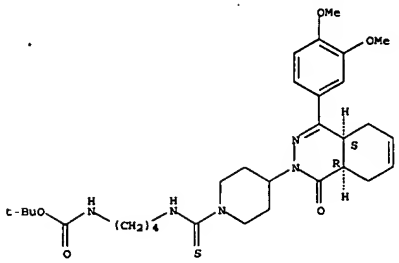
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 380227-11-2 CAPLUS
CN Carbamic acid, [4-[[[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]thioxomethyl]amino]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

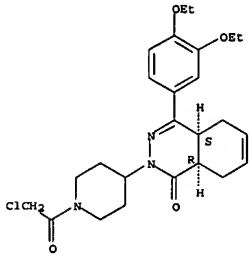
Absolute stereochemistry.

L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 380227-12-3 CAPLUS
CN Piperidine,
1-(chloroacetyl)-4-[(4aS,8aR)-4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

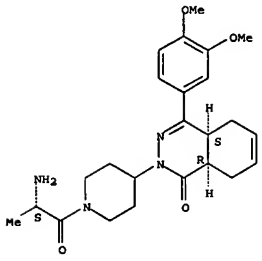
Absolute stereochemistry.



RN 380227-13-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-diethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-piperidinyl)-, monohydrochloride, (4aS,8aR)- (9CI) (CA INDEX NAME)

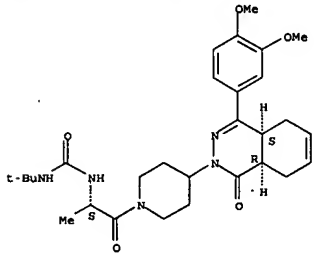
Absolute stereochemistry.

L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 380227-16-7 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-[(2S)-2-[[[(1,1-dimethylethyl)amino]carbonyl]amino]-1-oxopropyl]- (9CI) (CA INDEX NAME)

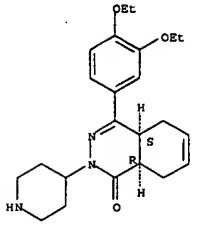
Absolute stereochemistry.



RN 380227-17-8 CAPLUS
CN Piperidine, 1-[3-[(2-aminoethyl)thio]-1-oxopropyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

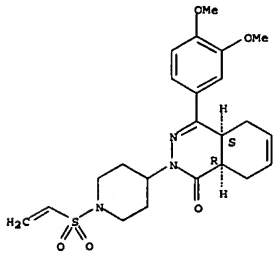
L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 380227-14-5 CAPLUS
CN Piperidine, 4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-(ethenylsulfonyl)- (9CI) (CA INDEX NAME)

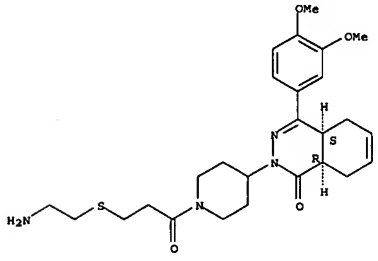
Absolute stereochemistry.



RN 380227-15-6 CAPLUS
CN Piperidine, 1-[(2S)-2-amino-1-oxopropyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

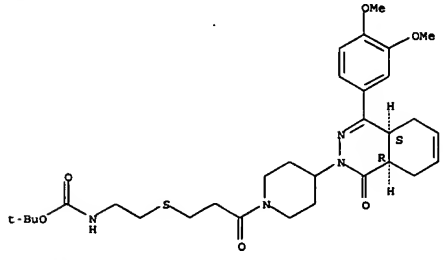
Absolute stereochemistry.

L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 380227-18-9 CAPLUS
CN Carbamic acid, [2-[[3-[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]-3-oxopropyl]thio]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

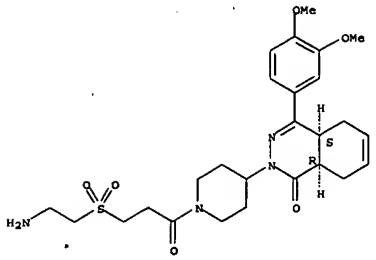


RN 380227-19-0 CAPLUS
CN Piperidine,
1-[3-[(2-aminoethyl)sulfonyl]-1-oxopropyl]-4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A



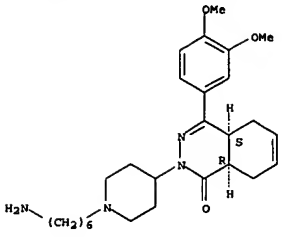
PAGE 2-A

● HCl

RN 380227-20-3 CAPLUS
CN 1(2H)-Phthalazinone, 2-[1-(6-aminohexyl)-4-piperidinyl]-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-, monohydrochloride, (4aS,8aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

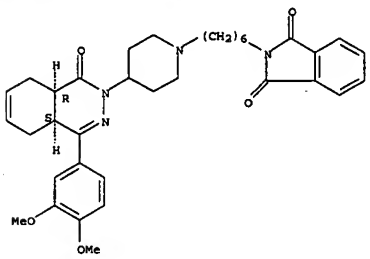
L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 380227-21-4 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[6-[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]hexyl]-(9CI)
(CA INDEX NAME)

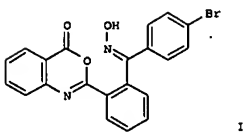
Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 25 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

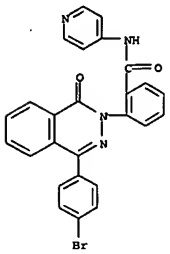
L4 ANSWER 26 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:819481 CAPLUS
DOCUMENT NUMBER: 137:125128
TITLE: Synthesis and behavior of a static benzoxazinone derivative towards nitrogen and sulphur nucleophiles
AUTHOR(S): Kassab, E. A.; El-Hashash, M. A.; Soliman, F. M. A.; Ali, R. S.
CORPORATE SOURCE: Industrial Education College, Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (2001), 44(1-3), 169-179
CODEN: EGJCA3; ISSN: 0449-2285
PUBLISHER: National Information and Documentation Centre
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:125128
GI



I

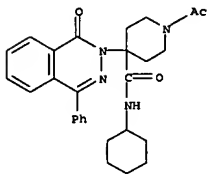
AB 2-[2-(4-Bromohydroxyiminobenzyl)phenyl]-4(H)-3,1-benzoxazin-4-one (I) was prepared via the interaction of 1-(4-bromophenyl)-4(H)-3,2-benzoxazin-4-one with anthranilic acid in which hetero-ring opening takes place followed by cyclization. Reactions of I with nitrogen and sulfur nucleophiles were evaluated. The hitherto unknown reaction of the hetero-ring fission of I with aliphatic amino acids was studied. When compound I was treated with glutamic acid in aqueous pyridine, 2-(4-bromo-hydroxyiminobenzyl)benzoylamino-N-(1,3-dicarboxypropyl)benzamide was obtained. The phthalazinone derivative, 2-[2-(carboxy-thiomethoxycarbonyl)phenyl]-4-(4-bromophenyl)phthalazin-1-one, was obtained when I was allowed to react with thioglycolic acid in boiling n-butanol.
IT 444334-60-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and N- and S-nucleophile reactions of bromohydroxyiminobenzylphenylbenzoxazinone via ring opening/cyclization sequence with anthranilic acid)
RN 444334-60-5 CAPLUS
CN Benzamide, 2-[4-(4-bromophenyl)-1-oxo-2(1H)-phthalazinyl]-N-4-pyridinyl-(9CI) (CA INDEX NAME)

L4 ANSWER 26 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
FORMAT

L4 ANSWER 27 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:48306 CAPLUS
DOCUMENT NUMBER: 134:266260
TITLE: Studies on isocyanides and related compounds; a facile
synthesis of 4-phenyl-1-(2H)phthalazinone-2-alkanoic acid amides
AUTHOR(S): Marcaccini, Stefano; Pepino, Roberto; Polo, Cecilia; Pozo, Ma Cruz
CORPORATE SOURCE: CNR, Centro di Studio sulla Chimica e la Struttura dei
Composti Eterociclici e loro Applicazioni, Dipartimento di Chimica Organica "Ugo Schiff", Universita di Firenze, Florence, 50121, Italy
SOURCE: Synthesis (2001), (1), 85-88
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:266260
AB A convenient synthesis of 4-phenyl-1-oxo-(2H)phthalazine-2-alkanoic amides
by the Ugi 4-component condensation (Ugi 4-CC) is reported. The reaction between acetaldehyde azine, 2-benzoylbenzoic acid, and cyclohexyl isocyanide spontaneously afforded N-cyclohexyl-2-(1,2-dihydro-1-oxo-4-phenylphthalazin-2-yl)propionamide upon elimination of MeCHO from the intermediate Ugi 4-CC adduct. Starting from less reactive azines arising from ketones, the corresponding Ugi 4-CC adducts did not spontaneously cyclize, whereas phenylacetaldehyde azine afforded a mixture of the Ugi 4-CC
adduct and cyclized product. In all of the cases, the adducts were easily
cyclized in acidic medium.
IT 332049-47-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of oxophthalazinealkanoic amides)
RN 332049-47-5 CAPLUS
CN 4-Piperidinecarboxamide, 1-acetyl-N-cyclohexyl-4-(1-oxo-4-phenyl-2(1H)-phthalazinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS

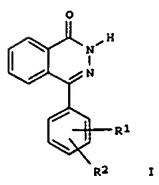
L4 ANSWER 27 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:535119 CAPLUS
DOCUMENT NUMBER: 133:135320
TITLE: Preparation of 2H-phthalazin-1-one derivatives as poly(ADP-ribose) polymerase inhibitors
INVENTOR(S): Seko, Takuya; Takeuchi, Jun; Takahashi, Shinya; Naka, Masao
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 307 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000044726	A1	20000803	WO 2000-JP319	20000124
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000030767	A5	20000818	AU 2000-30767	20000124
EP 1148053	A1	20011024	EP 2000-900889	20000124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6677333	B1	20040113	US 2001-889925	20010725

PRIORITY APPLN. INFO.: JP 1999-16788 A 19990126
JP 1999-233099 A 19990819
WO 2000-JP319 W 20000124

OTHER SOURCE(S): MARPAT 133:135320
GI

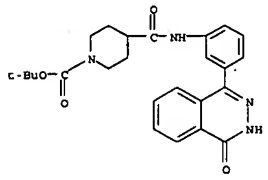


AB The title compds. I [R1 = amino-substituted alkyl, etc.; R2 = H, halo,

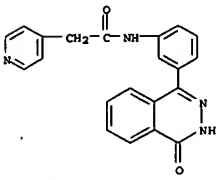
L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
etc.] are prepd. I inhibit poly(ADP-ribose) polymerase and are useful as preventives and/or remedies for various ischemic diseases (brain, heart, digestive tract, skeletal muscle, retina, etc.), inflammatory diseases (inflammatory intestinal diseases, multiple encephalosclerosis, arthritis, etc.), nerve degeneration diseases (extrapyramidal disorder, Alzheimer's disease, muscular dystrophy, etc.), diabetes, shock, head trauma, renal insufficiency, hyperalgesia, etc. These compds. are also useful as sensitizers for agents against retroviruses (HIV, etc.) and chemotherapy for cancer. 4-(3-(5-Aminovalerylamino)phenyl)-2H-phthalazin-1-one in vitro showed IC50 of 42 nM against poly(ADP-ribose) polymerase. Formulations are given.

IT 286951-31-3P 286951-41-5P 286951-47-1P
286951-71-1P 286951-72-2P 286953-30-8P
286953-31-9P 286953-70-6P 286953-71-7P
286953-80-8P 286953-81-9P 286953-82-0P
286953-83-1P 286953-84-2P 286954-00-5P
286954-01-6P 286954-04-9P 286954-05-0P
286954-06-1P 286954-07-2P 286954-08-3P
286954-09-4P 286954-10-7P 286954-11-8P
286954-16-3P 286954-17-4P 286954-18-5P
286954-19-6P 286954-46-9P 286954-47-0P
286955-29-1P 286955-30-4P 286955-31-5P
286955-32-6P 286955-33-7P 286955-34-8P
286955-35-9P 286955-36-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2H-phthalazin-1-one derivs. as poly(ADP-ribose) polymerase inhibitors)

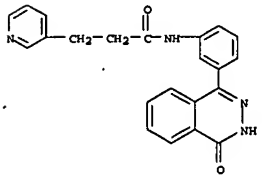
RN 286951-31-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]amino]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



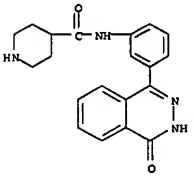
RN 286951-41-5 CAPLUS
CN 4-Pyridineacetamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-(9CI)



RN 286951-47-1 CAPLUS
CN 3-Pyridinepropanamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-(9CI) (CA INDEX NAME)



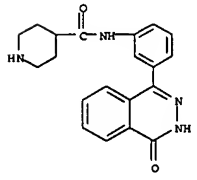
RN 286951-71-1 CAPLUS
CN 4-Piperidinecarboxamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-(9CI) (CA INDEX NAME)



L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 286951-72-2 CAPLUS
CN 4-Piperidinecarboxamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 286951-71-1
CMF C20 H20 N4 O2

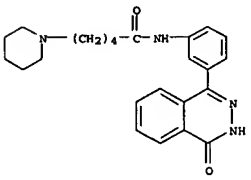


CM 2

CRN 76-05-1
CMF C2 H F3 O2

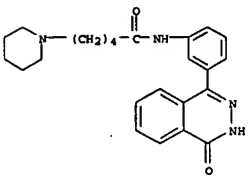
RN 286953-30-8 CAPLUS
CN 1-Piperidinepentanamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



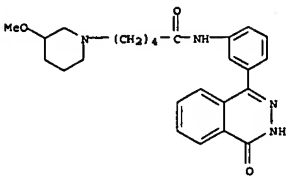
● HCl

RN 286953-31-9 CAPLUS
CN 1-Piperidinepentanamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-(9CI) (CA INDEX NAME)



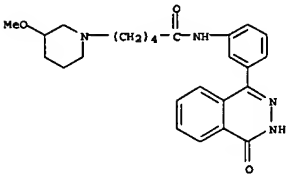
RN 286953-70-6 CAPLUS
CN 1-Piperidinepentanamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-3-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 286953-71-7 CAPLUS
CN 1-Piperidinepentanamide,
N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-3-
methoxy- (9CI) (CA INDEX NAME)

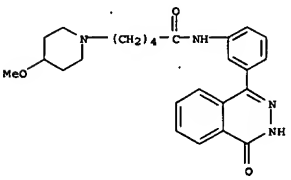


RN 286953-80-8 CAPLUS
CN 1-Piperidinepentanamide,
N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-4-
methoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1-Piperidinepentanamide,
N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-4-
methoxy-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 286953-80-8
CMF C25 H30 N4 O3

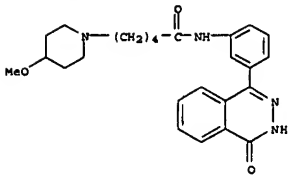


CM 2

CRN 75-75-2
CMF C H4 O3 S

RN 286953-83-1 CAPLUS
CN 1-Piperidinepentanamide,
N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-4-
methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

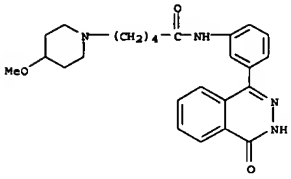
L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 286953-81-9 CAPLUS
CN 1-Piperidinepentanamide,
N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-4-
methoxy-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

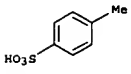
CM 1

CRN 286953-80-8
CMF C25 H30 N4 O3



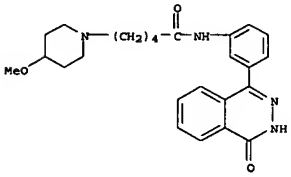
CM 2

CRN 104-15-4
CMF C7 H8 O3 S



RN 286953-82-0 CAPLUS

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

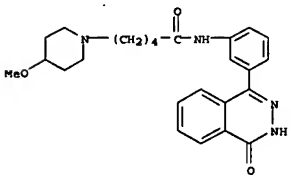


● HCl

RN 286953-84-2 CAPLUS
CN 1-Piperidinepentanamide,
N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-4-
methoxy-, sulfate (2:1) (9CI) (CA INDEX NAME)

CM 1

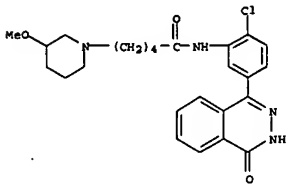
CRN 286953-80-8
CMF C25 H30 N4 O3



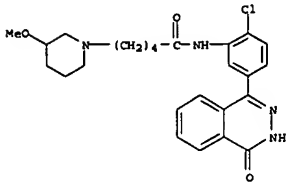
CM 2

CRN 7664-93-9
CMF H2 O4 S

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 286954-00-5 CAPLUS
CN 1-Piperidinepentanamide, N-[2-chloro-5-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-3-methoxy- (9CI) (CA INDEX NAME)



RN 286954-01-6 CAPLUS
CN 1-Piperidinepentanamide, N-[2-chloro-5-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-3-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

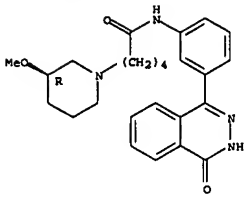


● HCl

RN 286954-04-9 CAPLUS
CN 1-Piperidinepentanamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-3-methoxy-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

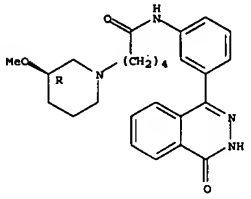
L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 286954-05-0 CAPLUS
CN 1-Piperidinepentanamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-3-methoxy-, (3R)- (9CI) (CA INDEX NAME)

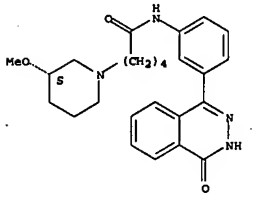
Absolute stereochemistry.



RN 286954-06-1 CAPLUS
CN 1-Piperidinepentanamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-3-methoxy-, (3S)- (9CI) (CA INDEX NAME)

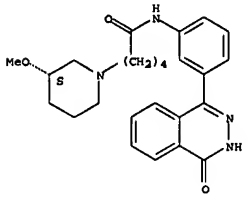
Absolute stereochemistry.

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 286954-07-2 CAPLUS
CN 1-Piperidinepentanamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-3-methoxy-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

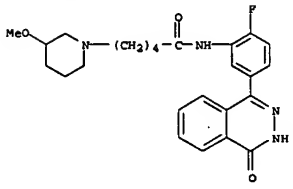
Absolute stereochemistry.



● HCl

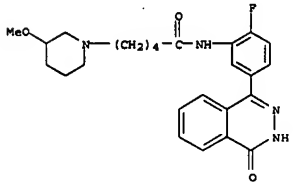
RN 286954-08-3 CAPLUS
CN 1-Piperidinepentanamide, N-[5-(3,4-dihydro-4-oxo-1-phthalazinyl)-2-fluorophenyl]-3-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

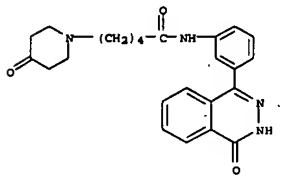


● HCl

RN 286954-09-4 CAPLUS
CN 1-Piperidinepentanamide, N-[5-(3,4-dihydro-4-oxo-1-phthalazinyl)-2-fluorophenyl]-3-methoxy- (9CI) (CA INDEX NAME)

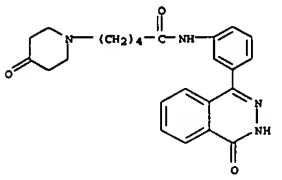


RN 286954-10-7 CAPLUS
CN 1-Piperidinepentanamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)



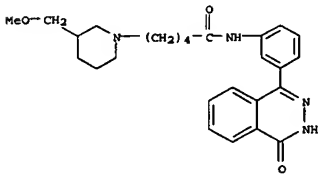
L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 286954-11-8 CAPLUS
CN 1-Piperidinepentanamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

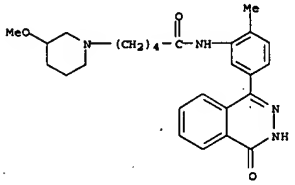
RN 286954-16-3 CAPLUS
CN 1-Piperidinepentanamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-3-(methoxymethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

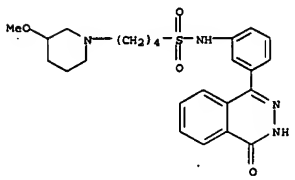
RN 286954-17-4 CAPLUS
CN 1-Piperidinepentanamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-3-(methoxymethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

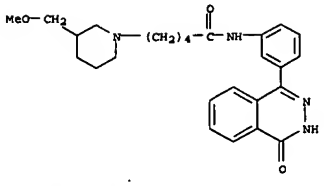
RN 286954-46-9 CAPLUS
CN 1-Piperidinebutanesulfonamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-3-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



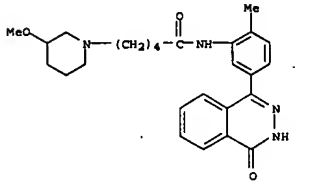
● HCl

RN 286954-47-0 CAPLUS
CN 1-Piperidinebutanesulfonamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-3-methoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

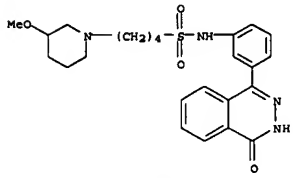


RN 286954-18-5 CAPLUS
CN 1-Piperidinepentanamide, N-[5-(3,4-dihydro-4-oxo-1-phthalazinyl)-2-methylphenyl]-3-methoxy- (9CI) (CA INDEX NAME)

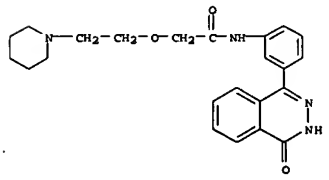


RN 286954-19-6 CAPLUS
CN 1-Piperidinepentanamide, N-[5-(3,4-dihydro-4-oxo-1-phthalazinyl)-2-methylphenyl]-3-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

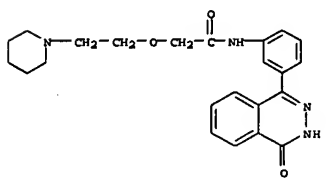
L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 286955-29-1 CAPLUS
CN Acetamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-2-[2-(1-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



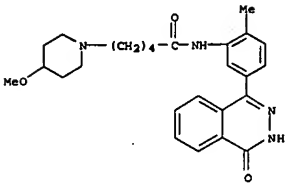
RN 286955-30-4 CAPLUS
CN Acetamide, N-[3-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-2-[2-(1-piperidinyl)ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

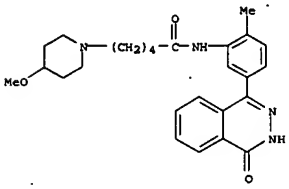
RN 286955-31-5 CAPLUS

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1-Piperidinepentanamide, N-[5-(3,4-dihydro-4-oxo-1-phthalazinyl)-2-methylphenyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



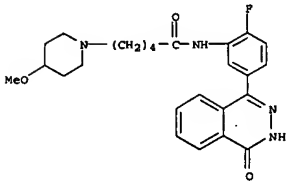
● HCl

RN 286955-32-6 CAPLUS
CN 1-Piperidinepentanamide, N-[5-(3,4-dihydro-4-oxo-1-phthalazinyl)-2-methylphenyl]-4-methoxy- (9CI) (CA INDEX NAME)



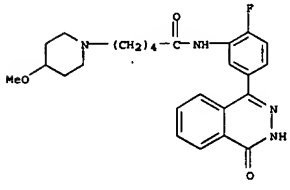
RN 286955-33-7 CAPLUS
CN 1-Piperidinepentanamide, N-[2-chloro-5-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-4-methoxy- (9CI) (CA INDEX NAME)

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



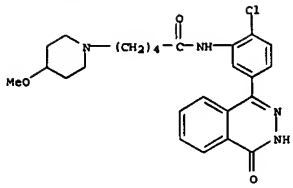
● HCl

RN 286955-36-0 CAPLUS
CN 1-Piperidinepentanamide, N-[5-(3,4-dihydro-4-oxo-1-phthalazinyl)-2-fluorophenyl]-4-methoxy- (9CI) (CA INDEX NAME)

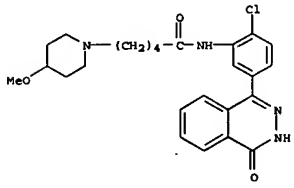


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 28 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 286955-34-8 CAPLUS
CN 1-Piperidinepentanamide, N-[2-chloro-5-(3,4-dihydro-4-oxo-1-phthalazinyl)phenyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 286955-35-9 CAPLUS
CN 1-Piperidinepentanamide, N-[5-(3,4-dihydro-4-oxo-1-phthalazinyl)-2-fluorophenyl]-4-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:137239 CAPLUS
DOCUMENT NUMBER: 132:194292
TITLE: Preparation of medicine composition containing pyridylamines
INVENTOR(S): Ukita, Tatsuzo; Sugawara, Masakatsu; Ikezawa, Ichiro; Yoshikawa, Hideo; Naito, Kazuaki
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 41 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

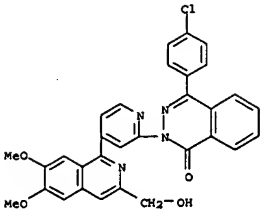
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000063275	A2	20000229	JP 1999-164565	19990611
PRIORITY APPLN. INFO.:			JP 1998-164045 A	19980612

OTHER SOURCE(S): MARPAT 132:194292
GI

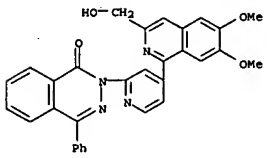
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; Q = N containing substituted benzoheterocyclic ring; Q1 = N containing substituted benzoheterocyclic ring], stereoisomers, pharmaceutical acceptable salts are prepared as active components in antiasthmatics. The title compound II was prepared
IT 209261-40-5P 209261-41-6P 209261-49-4P 209261-70-1P 259730-96-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridylamines as antiasthmatics)
RN 209261-40-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-(4-chlorophenyl)-2-[4-[3-(hydroxymethyl)-6,7-dimethoxy-1-isoquinolinyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

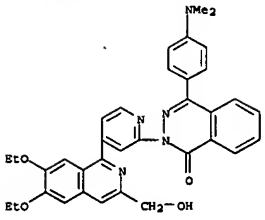


RN 209261-41-6 CAPLUS
CN 1(2H)-Phthalazinone, 2-[4-[3-(hydroxymethyl)-6,7-dimethoxy-1-isoquinolinyl]-2-pyridinyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 209261-49-4 CAPLUS
CN 1(2H)-Phthalazinone, 2-[4-[6,7-diethoxy-3-(hydroxymethyl)-1-isoquinolinyl]-2-pyridinyl]-4-[4-(dimethylamino)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

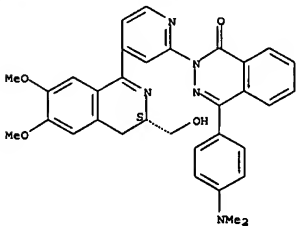
L4 ANSWER 29 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 209261-70-1 CAPLUS
CN 1(2H)-Phthalazinone, 2-[4-[(3S)-3,4-dihydro-3-(hydroxymethyl)-6,7-dimethoxy-1-isoquinolinyl]-2-pyridinyl]-4-[4-(dimethylamino)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

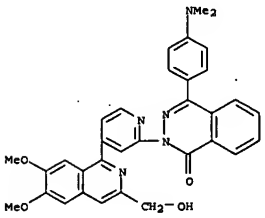
Absolute stereochemistry.



● HCl

RN 259730-96-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-[4-(dimethylamino)phenyl]-2-[4-[3-(hydroxymethyl)-6,7-dimethoxy-1-isoquinolinyl]-2-pyridinyl]-, monohydrochloride (9CI) (CA

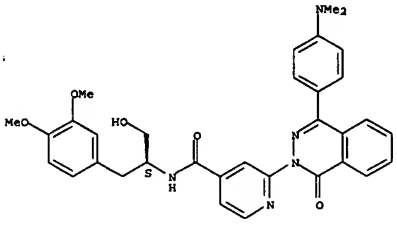
L4 ANSWER 29 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
INDEX NAME)



● HCl

IT 209261-89-2P 209261-97-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridylamines as antiasthmatics)
RN 209261-89-2 CAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-(3,4-dimethoxyphenyl)-1-(hydroxymethyl)ethyl]-2-[4-[4-(dimethylamino)phenyl]-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

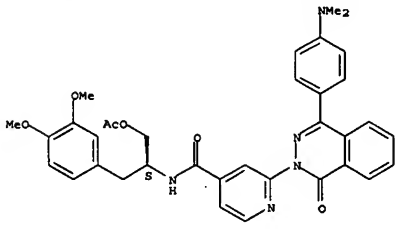
Absolute stereochemistry.



RN 209261-97-2 CAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-(acetyloxy)-1-[(3,4-dimethoxyphenyl)methyl]ethyl]-2-[4-[4-(dimethylamino)phenyl]-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

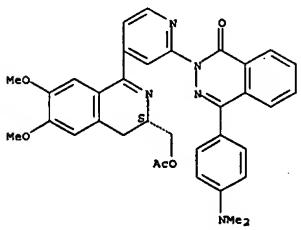
Absolute stereochemistry.

L4 ANSWER 29 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 209261-56-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridylamines as antiasthmatics)
RN 209261-56-3 CAPLUS
CN 1(2H)-Phthalazinone, 2-[4-[(3S)-3-[(acetyloxy)methyl]-3,4-dihydro-6,7-dimethoxy-1-isoquinolinyl]-2-pyridinyl]-4-[4-(dimethylamino)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L4 ANSWER 30 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

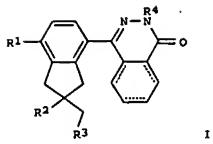
ACCESSION NUMBER: 1999:404955 CAPLUS
DOCUMENT NUMBER: 131:58842
TITLE: Preparation of phthalazinyldihydrobenzofurans as cyclic nucleotide phosphodiesterase inhibitors.
INVENTOR(S): Thibaut, Ulrich; Hatzelmann, Armin; Boss, Hildegard; Hafner, Dietrich; Beume, Rolf; Kley, Hans-Peter; Timmerman, Hendrik; Van Der Laan, Ivonne Johanna; Ulrich, Wolf-Rudiger; Sterk, Geert Jan; Van Der Mey, Margareth
PATENT ASSIGNEE(S): Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931090	A1	19990624	WO 1998-EP8054	19981210
W: AL, AU, BA, BG, BR, CA, CN, CZ, EE, GE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2314111	AA	19990624	CA 1998-2314111	19981210
AU 9922701	A1	19990705	AU 1999-22701	19981210
AU 753576	B2	20021024		
EP 1042319	A1	20001011	EP 1998-966288	19981210
EP 1042319	B1	20040204		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EE 200000335	A	20011015	EE 2000-335	19981210
EE 4312	B1	20040615		
JP 2002508368	T2	20020319	JP 2000-539014	19981210
IL 136359	A1	20030312	IL 1998-136359	19981210
AT 258930	E	20040215	AT 1998-966288	19981210
PT 1042319	T	20040630	PT 1998-966288	19981210
ES 2216349	T3	20041016	ES 1998-966288	19981210
PL 191236	B1	20060428	PL 1998-341239	19981210
US 6380196	B1	20020430	US 2000-554088	20000803

PRIORITY APPLN. INFO.: EP 1997-122039 A 19971215
WO 1998-EP8054 W 19981210

OTHER SOURCE(S): MARPAT 131:58842
GI

L4 ANSWER 30 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

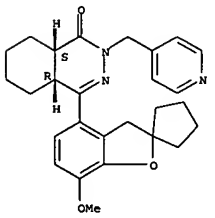


I

AB Title compds. [I; R1 = alkoxy, cycloalkoxy, cycloalkylmethoxy, fluoroalkoxy; R2 = alkyl; R3 = H, alkyl; R2R3 = spiro-linked 5-7 membered (O- or S-interrupted) hydrocarbon ring; R4 = R5, (CH2)mR6, (CH2)pYAr; R5 = H, alkyl, cycloalkyl, cycloalkylmethyl, polycycloalkyl, (substituted) Ph pyridyl; R6 = OH, halo, NO2, cyano, CO2H, alkoxycarbonyl, amino, aminocarbonyl; Y = O, S, bond; Ar = naphthyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, quinazolinyl, quinoxalinyl, cinnolinyl, purinyl, pyrrolyl, (substituted) Ph, etc.; dotted lines = optional double bonds], were prepared Thus, (cis)-2-(2,3-dihydro-7-methoxybenzofuran-2-spiro-1'-cyclopentane-4-carbonyl)-1,2,3,6-tetrahydrobenzoic acid (preparation given), 4-hydrazinobenzoic acid, and pyridine hydrochloride were refluxed 5 h in pyridine to give (cis)-4-(2,3-dihydro-7-methoxybenzofuran-2-spiro-1'-cyclopentan-4-yl)-2-(p-carboxyphenyl)-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one. The latter inhibited PDE4 with -log IC50 = 9.38.
IT 227967-24-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phthalazinyldihydrobenzofurans as cyclic nucleotide phosphodiesterase inhibitors)
RN 227967-24-0 CAPLUS
CN 1(2H)-Phthalazinone, 4a,5,6,7,8,8a-hexahydro-4-(7-methoxyspiro[benzofuran-2(3H),1'-cyclopentan]-4-yl)-2-(4-pyridinylmethyl)-, monohydrochloride, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 30 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 31 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

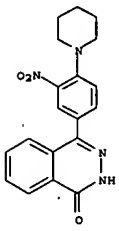
ACCESSION NUMBER: 1999:184260 CAPLUS
DOCUMENT NUMBER: 130:209323
TITLE: Preparation of PARP inhibitors
INVENTOR(S): Jackson, Paul F.; Li, Jia-He; Maclin, Keith M.; Zhang, Jie
PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 17
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9911649	A2	19990311	WO 1998-US18185	19980902
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6346536	B1	20020212	US 1997-922548	19970903
US 6635642	B1	20031021	US 1998-145176	19980901
CA 2294074	AA	19990311	CA 1998-2294074	19980902
AU 9893748	A1	19990322	AU 1998-93748	19980902
EP 1012153	A1	20000628	EP 1998-946812	19980902
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: US 1997-922520 A 19970903
US 1997-922548 A 19970903
US 1998-79512 A 19980515
US 1998-145176 A 19980901
WO 1998-US18185 W 19980902

AB PARP inhibitors were prepared and tested for their activity. E.g., 8-(aminocarbonyl)-4-quinolinecarboxylic acid was prepared
IT 218144-45-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of PARP inhibitors)
RN 218144-45-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[3-nitro-4-(1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 31 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



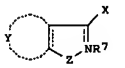
L4 ANSWER 32 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:184237 CAPLUS
DOCUMENT NUMBER: 130:218328
TITLE: Oxo-substituted heterocyclic compounds, therapeutic methods, and compositions for inhibiting poly(ADP-ribose) polymerase (PARP) activity
INVENTOR(S): Li, Jia-He; Taya, Kevin L.; Zhang, Jie
PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 152 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 17
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9911624	A1	19990311	WO 1998-US18195	19980902
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002022636	A1	20020221	US 1998-145180	19980901
CA 2294118	AA	19990311	CA 1998-2294118	19980902
AU 9892986	A1	19990322	AU 1998-92986	19980902
EP 1009739	A2	20000621	EP 1998-945833	19980902
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9812428	A	20000926	BR 1998-12428	19980902
JP 2002512637	T2	20020423	JP 1999-516977	19980902
NO 2000001002	A	20000427	NO 2000-1002	20000228

PRIORITY APPLN. INFO.: US 1997-922520 A 19970903
US 1998-79509 A 19980515
US 1998-145180 A 19980901
WO 1998-US18195 W 19980902

OTHER SOURCE(S): MARPAT 130:218328
GI



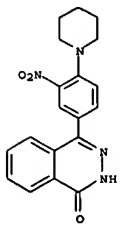
AB PARP-inhibiting oxo-substituted heterocyclic compds., compns. containing them,

L4 ANSWER 32 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

therapeutic methods of using them, and processes for making them are disclosed. The compds., contg. at least one ring nitrogen, are I [X = double-bonded O, OH; R7 (when present) = H, lower alkyl; Y = atoms necessary to form fused mono-, bi- or tricyclic, carbocyclic or heterocyclic ring, wherein each individual ring has 5-6 ring member atoms; Z = (i) CHR2CHR3 (R2, R3 = H, alkyl, aryl, aralkyl); (ii) R6C=CR3 (R3, R6 = H, lower alkyl, aryl, aralkyl, halo, NO2, COOR7, NR7R8 (R8 = H, C1-C9 alkyl), or R6 and R3 taken together form fused arom. ring, wherein each individual ring has 5-6 ring members); (iii) R2C=N; (i.v.) CR2(OH)NR7; (v) C(O)NR7] or a pharmaceutically acceptable base or acid addn. salt, hydrate, ester, solvate, prodrug, metabolite, stereoisomer or mixt. thereof.

IT 218144-45-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oxo-substituted heterocyclic compds., therapeutic methods, and compns. for inhibiting poly(ADP-ribose) polymerase (PARP) activity)

RN 218144-45-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[3-nitro-4-(1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 33 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:561163 CAPLUS
DOCUMENT NUMBER: 129:239891
TITLE: Naphthalene derivatives as antiasthmatics
INVENTOR(S): Ukita, Tatsuzo; Ikezawa, Ichiro; Yamagata, Shinsuke
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 57 pp.
CODEN: JKXXAP
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

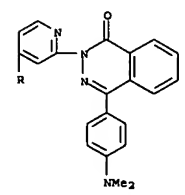
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10226647	A2	19980825	JP 1997-342351	19971212
JP 3237109	B2	20011210		

PRIORITY APPLN. INFO.: JP 1996-333356 A 19961213

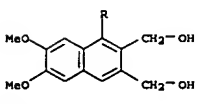
AB Naphthalene derivs. (Markush's structures included) and their pharmacol. acceptable salts are claimed as antiasthmatics, with phosphodiesterase IV-inhibiting activity, and for treatment of airway inflammation. The antiasthmatic, phosphodiesterase IV-inhibiting actions were tested in animal models.

IT 186461-10-9P 186461-29-0P 186461-30-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(naphthalene derivs. as antiasthmatics)

RN 186461-10-9 CAPLUS
CN 1(2H)-Phthalazinone, 2-[4-[2,3-bis(hydroxymethyl)-6,7-dimethoxy-1-naphthalenyl]-2-pyridinyl]-4-[4-(dimethylamino)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

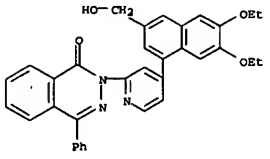


● HCl

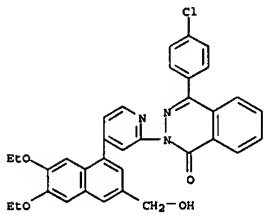


L4 ANSWER 33 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 186461-29-0 CAPLUS
CN 1(2H)-Phthalazinone,
2-[4-[6,7-diethoxy-3-(hydroxymethyl)-1-naphthalenyl]-
2-pyridinyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 186461-30-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-(4-chlorophenyl)-2-[4-[6,7-diethoxy-3-
(hydroxymethyl)-1-naphthalenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 34 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:509189 CAPLUS
DOCUMENT NUMBER: 129:136174
TITLE: Preparation of arylphthalazinones as inhibitors of cyclic nucleotide phosphodiesterase.
INVENTOR(S): Van Der Mey, Margaretha; Van Der Laan, Ivonne Johanna;
Timmerman, Hendrik; Hatzelmann, Armin; Boss, Hildegard; Hafner, Dietrich; Beume, Rolf; Kley, Hans-Peter; Sterk, Geert Jan
PATENT ASSIGNEE(S): Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9831674	A1	19980723	WO 1998-EP124	19980112
W: AL, AU, BA, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2276455	AA	19980723	CA 1998-2276455	19980112
AU 9858629	A1	19980807	AU 1998-58629	19980112
AU 735934	B2	20010719		
EP 971901	A1	20000119	EP 1998-901959	19980112
EP 971901	B1	20030226		
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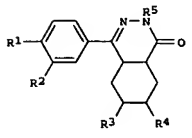
EE 9900274	A	20000215	EE 1999-274	19980112
EE 3968	B1	20030217		
BR 9806752	A	20000314	BR 1998-6752	19980112
NZ 336573	A	20001027	NZ 1998-336573	19980112
JP 2001508078	T2	20010619	JP 1998-533635	19980112
IL 130659	A1	20020725	IL 1998-130659	19980112
AT 233247	E	20030315	AT 1998-901959	19980112
SK 283270	B6	20030401	SK 1999-951	19980112
PT 971901	T	20030731	PT 1998-901959	19980112
ES 2193508	T3	20031101	ES 1998-901959	19980112
CN 1127487	B	20031112	CN 1998-803169	19980112
CZ 293815	B6	20040818	CZ 1999-2533	19980112
PL 189418	B1	20050831	PL 1998-334561	19980112
NO 9903301	A	19990910	NO 1999-3301	19990702
NO 313137	B1	20020819		
US 6103718	A	20000815	US 1999-341135	19990714
HK 1024692	A1	20030620	HK 2000-103993	20000630
PRIORITY APPLN. INFO.:			EP 1997-100488 A	19970115
			WO 1998-EP124 W	19980112

OTHER SOURCE(S): MARPAT 129:136174
GI

L4 ANSWER 34 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

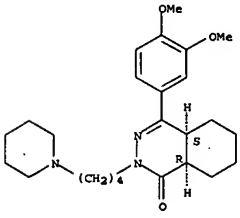
AB Title compds. [I; R1 = alkoxy, fluoroalkoxy; R2 = alkoxy, cycloalkoxy, cycloalkylmethoxy, fluoroalkoxy; R3, R4 = H, or R3R4 = bond; R5 = R6, (CH2)mR7, (CH2)nCOR8, CH(R9)2, (CH2)pAr; R6 = H, alkyl, cycloalkyl, cycloalkylmethyl, alkenyl, alkynyl, naphthyl, phenylalkenyl, pyridyl, pyrazinyl, indanyl, etc.; R7 = OH, halo, cyano, NO2, ONO2, CO2H, PhO, alkoxy, cycloalkoxy, alkylcarbonylamino, etc.; R8 = (substituted) Ph, naphthyl, phenanthryl, anthracenyl; R9 = (CH2)qPh; Ar = naphthyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, quinazolinyl, cinnolinyl, isoquinolinyl, imidazolyl, pyrazolyl, oxazolyl, thiazolyl, furyl, thienyl, pyrrolyl, (substituted) Ph, etc.; m = 1-8; n = 1-4; p = 1-6; q = 0-2], were prepared Thus, cis-4-(3,4-dimethoxyphenyl)-2-propyl-4a,5,6,7,8,8a-hexahydro-2H-phthalazin-1-one (preparation outlined) inhibited PDE 4 with -log IC50 >7.5.

IT 210466-85-6P 210466-87-8P 210467-37-1P
210467-38-2P 210467-39-3P 210467-40-6P
210467-41-7P 210467-42-8P 210467-43-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylphthalazinones as inhibitors of cyclic nucleotide phosphodiesterase)

RN 210466-85-6 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-2-[4-(1-piperidinyl)butyl]-, monohydrochloride, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 34 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



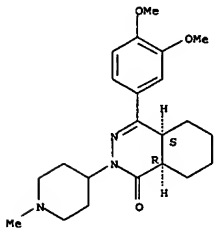
● HCl

RN 210466-87-8 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-2-(1-methyl-4-piperidinyl)-, (4aR,8aS)-rel-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 210466-86-7
CMF C22 H31 N3 O3

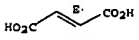
Relative stereochemistry.



CM 2

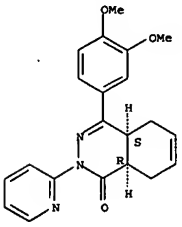
CRN 110-17-8
CMF C4 H4 O4

L4 ANSWER 34 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Double bond geometry as shown.



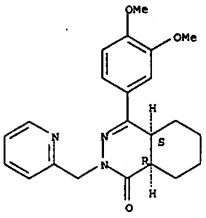
RN 210467-37-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(2-pyridinyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



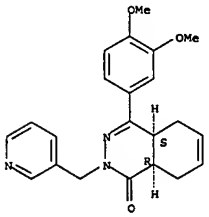
RN 210467-38-2 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-2-(2-pyridinylmethyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



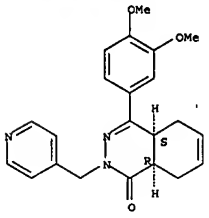
RN 210467-39-3 CAPLUS

L4 ANSWER 34 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Relative stereochemistry.



RN 210467-42-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(4-pyridinylmethyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

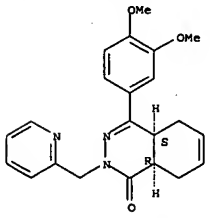


RN 210467-43-9 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-2-(4-pyridinylmethyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

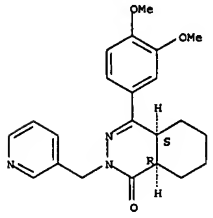
L4 ANSWER 34 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(2-pyridinylmethyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 210467-40-6 CAPLUS
CN 1(2H)-Phthalazinone,
4-(3,4-dimethoxyphenyl)-4a,5,6,7,8,8a-hexahydro-2-(3-pyridinylmethyl)-, monohydrochloride, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

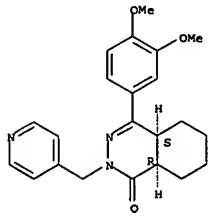
Relative stereochemistry.



● HCl

RN 210467-41-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-(3-pyridinylmethyl)-, (4aR,8aS)-rel- (9CI) (CA INDEX NAME)

L4 ANSWER 34 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 35 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:398243 CAPLUS
DOCUMENT NUMBER: 129:81741
TITLE: Preparation of pyridines as antiasthmatics
INVENTOR(S): Ukita, Tatsuzo; Sugahara, Masakatsu; Ikezawa, Katsuo; Kikkawa, Hideo; Naito, Kazuaki
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 59 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

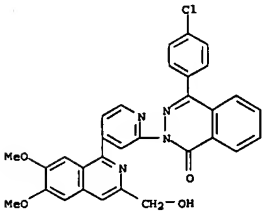
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 848000	A1	19980617	EP 1997-309947	19971210
EP 848000	B1	20020612		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 5965730	A	19991012	US 1997-985042	19971204
TW 429257	B	20010411	TW 1997-86118300	19971205
AT 219075	E	20020615	AT 1997-309947	19971210
PT 848000	T	20020930	PT 1997-309947	19971210
ES 2178741	T3	20030101	ES 1997-309947	19971210
CA 2224635	AA	19980613	CA 1997-2224635	19971211
CA 2224635	C	20060131		
CN 1184813	A	19980617	CN 1997-125491	19971212
CN 1127498	B	20031112		
JP 10226685	A2	19980825	JP 1997-342352	19971212
HK 1012505	A1	20021025	HK 1998-113891	19981217
PRIORITY APPLN. INFO.:			JP 1996-333357 A	19961213

OTHER SOURCE(S): MARPAT 129:81741
GI

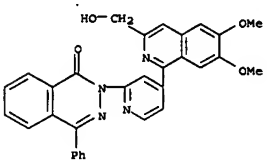
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; A = II-VI (wherein R1, R2 = H, (un)protected OH; R31, R41, R42 = (un)protected CH2OH; R32 = H, lower alkyl, (un)protected CH2OH; R33 = (un)substituted lower alkyl; the dotted line means the presence or absence of a double bond); R5, R6 = H, (un)protected NH2, or NR5R6 = (un)substituted heterocycle], which show excellent bronchoconstriction inhibitory activity and/or anti-inflammatory activity of airways, and therefore are useful in the prophylaxis or treatment of asthma, were prepared Thus, reaction of 4-(3-pyridyl)phthalazin-1(2H)-one with 2-bromo-4-[6,7-dimethoxy-2-(4-pyridyl)methylphthalazin-1(2H)-on-4-yl]pyridine in the presence of K2CO3 and CuI in DMF afforded the title compound VII. Compds. I are effective at 0.003-3 mg/kg/day.
IT 209261-40-5P 209261-41-6P 209261-49-4P
209261-56-3P 209261-70-1P 209261-89-2P
209261-97-2P

L4 ANSWER 35 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridines as antiasthmatics)
RN 209261-40-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-(4-chlorophenyl)-2-[4-[3-(hydroxymethyl)-6,7-dimethoxy-1-isoquinolinyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

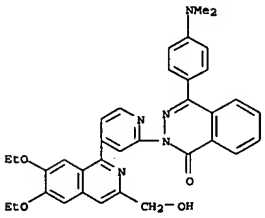


RN 209261-41-6 CAPLUS
CN 1(2H)-Phthalazinone, 2-[4-[3-(hydroxymethyl)-6,7-dimethoxy-1-isoquinolinyl]-2-pyridinyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 209261-49-4 CAPLUS
CN 1(2H)-Phthalazinone, 2-[4-[6,7-diethoxy-3-(hydroxymethyl)-1-isoquinolinyl]-2-pyridinyl]-4-[4-(dimethylamino)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

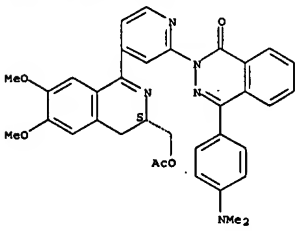
L4 ANSWER 35 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 209261-56-3 CAPLUS
CN 1(2H)-Phthalazinone, 2-[4-[(3S)-3-[(acetyloxy)methyl]-3,4-dihydro-6,7-dimethoxy-1-isoquinolinyl]-2-pyridinyl]-4-[4-(dimethylamino)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

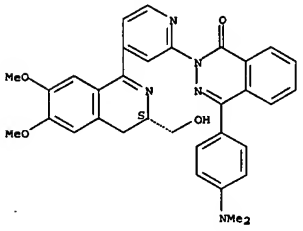


● HCl

RN 209261-70-1 CAPLUS
CN 1(2H)-Phthalazinone, 2-[4-[(3S)-3,4-dihydro-3-(hydroxymethyl)-6,7-dimethoxy-1-isoquinolinyl]-2-pyridinyl]-4-[4-(dimethylamino)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

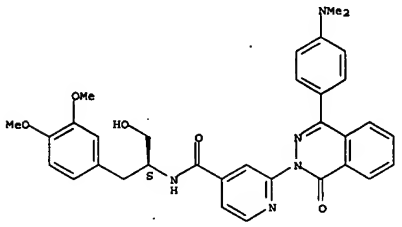
L4 ANSWER 35 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 209261-89-2 CAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-(3,4-dimethoxyphenyl)-1-(hydroxymethyl)ethyl]-2-[4-[4-(dimethylamino)phenyl]-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

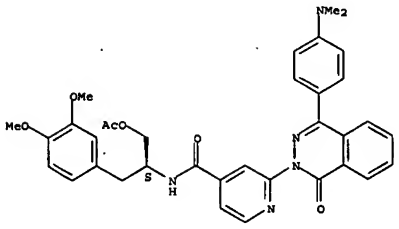
Absolute stereochemistry.



RN 209261-97-2 CAPLUS
CN 4-Pyridinecarboxamide, N-[(1S)-2-(acetyloxy)-1-[(3,4-dimethoxyphenyl)methyl]ethyl]-2-[4-[4-(dimethylamino)phenyl]-1-oxo-2(1H)-phthalazinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 35 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 36 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

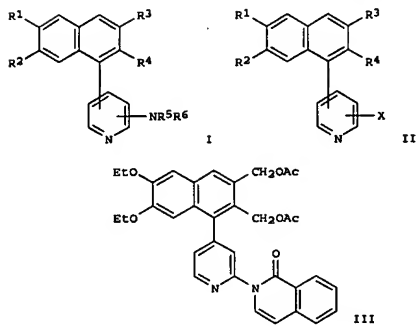
ACCESSION NUMBER: 1997:127403 CAPLUS
DOCUMENT NUMBER: 126:131466
TITLE: Preparation of naphthalene derivatives as bronchoconstriction inhibitors
INVENTOR(S): Ukita, Tatsuzo; Ikezawa, Katsuo; Yamagata, Shinsuke
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 76 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 748805	A1	19961218	EP 1996-304033	19960604
EP 748805	B1	19980408		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
IL 118469	A1	20000813	IL 1996-118469	19960530
AU 9654693	A1	19970102	AU 1996-54693	19960604
AU 706156	B2	19990610		
AT 164843	E	19980415	AT 1996-304033	19960604
ES 2116131	T3	19980701	ES 1996-304033	19960604
CA 2178974	AA	19961216	CA 1996-2178974	19960614
CA 2178974	C	20060606		
NO 9602527	A	19961216	NO 1996-2527	19960614
NO 310109	B1	20010521		
JP 09059255	A2	19970304	JP 1996-152761	19960614
JP 3033090	B2	20000417		
BR 9602802	A	19981006	BR 1996-2802	19960614
RU 2129120	C1	19990420	RU 1996-112130	19960614
US 6005106	A	19991221	US 1996-663991	19960614
ZA 9604652	A	19961212	ZA 1996-4652	19960615
CN 1142497	A	19970212	CN 1996-106608	19960617
CN 1063748	B	20010328		
US 5969140	A	19991019	US 1998-109099	19980702
US 6214996	B1	20010410	US 1998-201820	19981201

PRIORITY APPLN. INFO.: JP 1995-149288 A 19950615
US 1996-663991 A3 19960614

OTHER SOURCE(S): MARPAT 126:131466
GI

L4 ANSWER 36 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

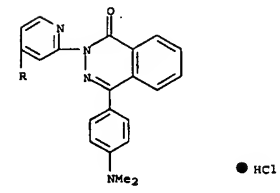


AB The title compds. [I; R1, R2 = H, (un)protected OH; one of R3 and R4 is (un)protected HOCH2, and the other is H, lower alkyl, (un)protected HOCH2; R5, R6 = H, (un)substituted lower alkyl, (un)substituted Ph, (un)protected NH2; R5 and R6 may combined together with the adjacent N to form (un)substituted heterocyclyl] and pharmaceutically acceptable salts thereof are prepared by reacting compds. [II; X = halo; R1, R2, R3, R4 = same as above) or N-oxide of II with HNR5R6 (R5, R6 = same as above). I, possessing bronchoconstriction inhibitory activity, are useful in the prophylaxis or treatment of asthma. Thus, 1-(4-pyridyl)-2,3-bis(acetoxymethyl)-6,7-diethoxynaphthalene N-oxide was reacted with 1-chloroisoquinoline at 150-160° to give the title compound (III). I showed antigen-induced bronchoconstriction inhibitory activity more than 30 times as strong as those of theophylline.

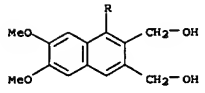
IT 186461-10-9P 186461-29-0P 186461-30-3P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of naphthalene derivs. as bronchoconstriction inhibitors)

RN 186461-10-9 CAPLUS
CN 1(2H)-Phthalazinone, 2-[4-[2,3-bis(hydroxymethyl)-6,7-dimethoxy-1-naphthalenyl]-2-pyridinyl]-4-[4-(dimethylamino)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

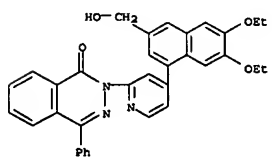
L4 ANSWER 36 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

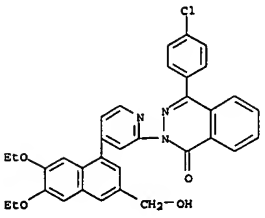


RN 186461-29-0 CAPLUS
CN 1(2H)-Phthalazinone, 2-[4-[6,7-diethoxy-3-(hydroxymethyl)-1-naphthalenyl]-2-pyridinyl]-4-phenyl- (9CI) (CA INDEX NAME)



RN 186461-30-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-(4-chlorophenyl)-2-[4-[6,7-diethoxy-3-(hydroxymethyl)-1-naphthalenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 36 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

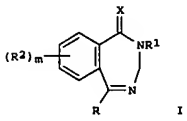


L4 ANSWER 37 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:435810 CAPLUS
DOCUMENT NUMBER: 122:187599
TITLE: Preparation of phthalazinone derivatives as pesticides.
INVENTOR(S): Bowden, Keith; Bushey, Dean F.; D'Silva, Themistocles; Gant, Daniel B.; Herman, Nancy D.; Pettit, Simon Neil; Ray, Nicholas Ch.; Smith, Philip Henry G.
PATENT ASSIGNEE(S): Rhone-Poulenc Agriculture Ltd., UK
SOURCE: Eur. Pat. Appl., 44 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 634404	A1	19950118	EP 1994-110570	19940707
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AU 9467351	A1	19950127	AU 1994-67351	19940708
FI 9403317	A	19950114	FI 1994-3317	19940712
BR 9402264	A	19950314	BR 1994-2264	19940712
HU 67328	A2	19950328	HU 1994-2078	19940712
CA 2127933	AA	19950114	CA 1994-2127933	19940713
ZA 9405095	A	19950222	ZA 1994-5095	19940713
CN 1103866	A	19950621	CN 1994-108593	19940713
JP 07165730	A2	19950627	JP 1994-161320	19940713
PRIORITY APPLN. INFO.:			US 1993-90718 A	19930713
			US 1993-90726 A	19930713

OTHER SOURCE(S): CASREACT 122:187599; MARPAT 122:187599
GI



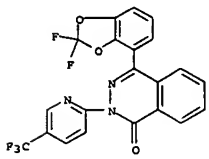
AB Title compds. [I; R, R1 = H, (halo-substituted) alkyl, alkenyl, alkynyl, (substituted) aryl(alkyl); R2 = cyano, NO2, amino, halo, (halo-substituted) alkyl, alkenyl, alkynyl, (substituted) Ph, carbamoyl, acylamino, sulfonylamino, etc.; X = O, S; m = 0-4], were prepared Thus, 2-(3-trifluoromethylbenzoyl)benzoic acid (preparation given),

L4 ANSWER 37 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

2,4-difluorophenylhydrazine hydrochloride, and Et3N were refluxed in PhMe with azeotropic removal of H2O to give 2-(2,4-difluorophenyl)-4-(3-trifluoromethylphenyl)phthalazin-1-one. Several I at 500 ppm gave 70-100% kill of Epilachna varivestis and Heliothis virescens, and at ≤4000 g/ha pre- or postemergent gave ≥90% redn. in ≥1 weed species.

IT 161716-38-7P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phthalazinone deriva. as pesticides)

RN 161716-38-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-(2,2-difluoro-1,3-benzodioxol-4-yl)-2-[5-(trifluoromethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 38 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:680683 CAPLUS
DOCUMENT NUMBER: 121:280683
TITLE: Aryl-fused and heteroaryl-fused 2,4-diazocine antiarrhythmic agents.
INVENTOR(S): Johnson, Robert Ed; Schlegel, Donald Charles; Ezrin, Alan Mark
PATENT ASSIGNEE(S): Sterling Winthrop Inc., USA
SOURCE: Eur. Pat. Appl., 131 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

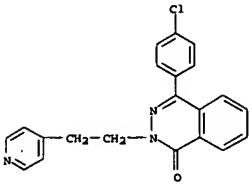
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 597540	A1	19940518	EP 1993-203115	19931106
EP 597540	B1	19960605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CA 2104060	AA	19940511	CA 1993-2104060	19930813
AU 9344720	A1	19940526	AU 1993-44720	19930817
JP 06220025	A2	19940809	JP 1993-236532	19930922
HU 67281	A2	19950328	HU 1993-3135	19931104
FI 9304895	A	19940511	FI 1993-4895	19931105
AT 138916	E	19960615	AT 1993-203115	19931106
NO 9304058	A	19940511	NO 1993-4058	19931109
US 5380721	A	19950110	US 1994-250995	19940531
US 5624922	A	19970429	US 1995-449457	19950524
PRIORITY APPLN. INFO.:			US 1992-974396 A	19921110
			US 1993-21926 A	19930224
			US 1990-580065 B2	19900910
			US 1991-743853 B2	19910613
			US 1994-250995 A3	19940531
			US 1994-308893 A3	19940919

OTHER SOURCE(S): MARPAT 121:280683
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; A = (un)substituted aryl or heteroaryl ring, etc.; R1 = H, lower alkyl benzyl, naphthyl, thienyl, pyridinyl, (un)substituted Ph; R2 = alkyl; R3 = (un)substituted alkylamine (un)substituted alkoxy, (un)substituted alkylthio, (un)substituted alkyl; R4 = H, lower alkyl, allyl, acetyl, etc.; R5 = H, lower alkyl, naphthyl, thienyl, pyridinyl, benzyl, etc.], useful as antiarrhythmic agents, are prepared Thus, benzodiazepene II, m.p. 114-115° was prepared and demonstrated a 0.31 mg/kg dose to increase the effective refractory in an anesthetized guinea pig model by >20 ms.

IT 158425-30-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of diazocine antiarrhythmic agents)

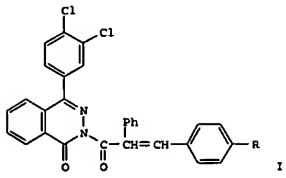
RN 158425-30-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-(4-chlorophenyl)-2-[2-(4-pyridinyl)ethyl]- (9CI)

L4 ANSWER 38 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(CA INDEX NAME)



L4 ANSWER 39 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

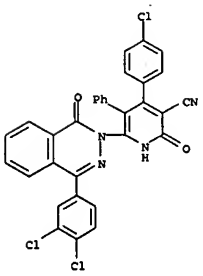
ACCESSION NUMBER: 1994:191650 CAPLUS
DOCUMENT NUMBER: 120:191650
TITLE: Behavior of 1-[4-(3',4'-dichlorophenyl)-1-(2H)-phthalazinone-2-yl]-3-(4-chlorophenyl)-2-propen-1-one towards different nucleophiles
AUTHOR(S): El-Farargy, Ahmed; Yassin, Fathi; Hafez, Taghrid
CORPORATE SOURCE: Fac. Sci., Zagazig Univ., Zagazig, Egypt
SOURCE: Collection of Czechoslovak Chemical Communications (1993), 58(8), 1937-43
CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



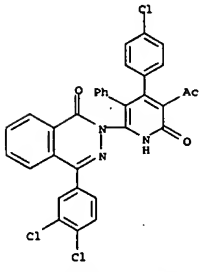
I

AB The reactions of the title compound I with nucleophiles was reported. Some derivs. of I were tested as reaction bactericides.
IT 153682-68-9 153682-69-0 153682-70-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation as bactericide)
RN 153682-68-9 CAPLUS
CN 3-Pyridinecarbonitrile, 4-(4-chlorophenyl)-6-[4-(3,4-dichlorophenyl)-1-oxo-2(1H)-phthalazinyl]-1,2-dihydro-2-oxo-5-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

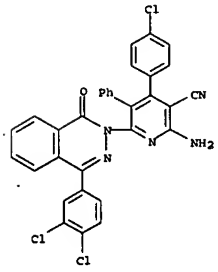


RN 153682-69-0 CAPLUS
CN 1(2H)-Phthalazinone, 2-[5-acetyl-4-(4-chlorophenyl)-1,6-dihydro-6-oxo-3-phenyl-2-pyridinyl]-4-(3,4-dichlorophenyl)- (9CI) (CA INDEX NAME)



RN 153682-70-3 CAPLUS
CN 3-Pyridinecarbonitrile, 2-amino-4-(4-chlorophenyl)-6-[4-(3,4-dichlorophenyl)-1-oxo-2(1H)-phthalazinyl]-5-phenyl- (9CI) (CA INDEX NAME)

L4 ANSWER 39 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



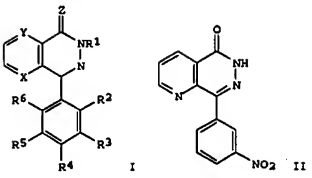
L4 ANSWER 40 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:580830 CAPLUS
DOCUMENT NUMBER: 119:180830
TITLE: Benzopyridazinone and pyridopyridazinone and pyridazinethione compounds with phosphodiesterase IV inhibiting activity
INVENTOR(S): Wilhelm, Robert Stephen; Loe, Bradley Earl; Devens, Bruce Henry; Alvarez, Robert; Martin, Michael Grant
PATENT ASSIGNEE(S): Syntex (U.S.A.) Inc., USA
SOURCE: PCT Int. Appl., 174 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9307146	A1	19930415	WO 1992-US8398	19921008
W: AU, CA, FI, HU, JP, KR, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
AU 9227815	A1	19930503	AU 1992-27815	19921008
AU 670544	B2	19960725		
ZA 9207755	A	19940408	ZA 1992-7755	19921008
EP 612321	A1	19940831	EP 1992-922090	19921008
EP 612321	B1	19990825		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE				
JP 07500321	T2	19950112	JP 1993-507078	19921008
JP 3245165	B2	20020107		
HU 66969	A2	19950130	HU 1994-949	19921008
IL 103388	A1	19970930	IL 1992-103388	19921008
ES 2105920	A1	19971016	ES 1992-1998	19921008
ES 2105920	B1	19980701		
AT 183745	E	19990915	AT 1992-922090	19921008
ES 2135416	T3	19991101	ES 1992-922090	19921008
CA 2117059	C	20030520	CA 1992-2117059	19921008
CN 1088927	A	19940706	CN 1993-100542	19930101
CN 1045962	B	19991027		
NO 9401210	A	19940405	NO 1994-1210	19940405
NO 302888	B1	19980504		
FI 9401567	A	19940406	FI 1994-1567	19940406
FI 108229	B1	20011214		
US 5716954	A	19980210	US 1995-369041	19950105

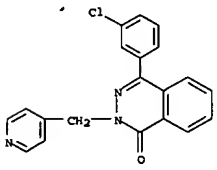
PRIORITY APPLN. INFO.: US 1991-775679 A 19911009
WO 1992-US8398 A 19921008
US 1993-86954 B1 19930702

OTHER SOURCE(S): MARPAT 119:180830
GI

L4 ANSWER 40 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

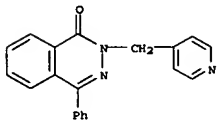


AB The title compds. I (X, Y = N, C; Z = oxygen, sulfur; R1-4 = H, alkyl, cyano, etc.) and their use in antiasthmatics, analgesics, inflammation inhibitors, prevention of allograft rejection, and graft-vs.-host rejection and autoimmune disease are claimed. Ring opening of 2,3-pyridinedicarboxylic acid anhydride followed by condensation with 3-nitrobenzaldehyde gave 7-(3-nitrophenyl)furo[3,4-b]pyridin-5-one. This was converted to 2-[hydroxy(3-nitrophenyl)methyl]-3-pyridinecarboxylate. The latter was oxidized to give 2-(3-nitrobenzoyl)-3-pyridinecarboxylic acid which was condensed with hydrazine to give 8-(3-nitrophenyl)pyrido[2,3-d]pyridazin-5-one (II).
IT 150348-60-0P 150348-74-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as phosphodiesterase inhibitor)
RN 150348-60-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-(3-chlorophenyl)-2-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

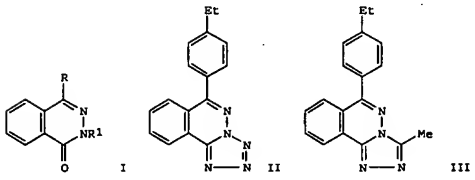


RN 150348-74-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-phenyl-2-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 40 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

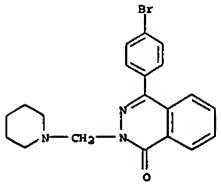


L4 ANSWER 41 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:247220 CAPLUS
DOCUMENT NUMBER: 114:247220
TITLE: Some reactions of 4-aryl-1(2H)-phthalazinones
AUTHOR(S): Soliman, A. Y.; Bakeer, H. M.; Sayed, M. A.; Islam, I.; Mohamed, A. A.
CORPORATE SOURCE: Dep. Chem., Fac. Educ., Fayoum, Egypt
SOURCE: Chinese Journal of Chemistry (1990), (6), 549-54
CODEN: CJOCEV; ISSN: 1001-604X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



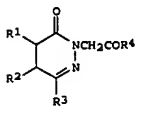
AB Arylphthalazinones I (R = CH2Ph, 4-BrC6H4, 4-EtC6H4, R1 = H), prepared from cyclocondensation of 2-RCOC6H4CO2H with hydrazine, underwent Grignard and Mannich reactions. Thus, the Mannich reaction of I (R = CH2Ph, R1 = H) with CH2O and piperidine gives I (R = CH2Ph, R1 = piperidinomethyl). Title compds. also underwent other reactions which ultimately gave tricyclic compds., e.g. tetrazolophthalazine II and triazolophthalazine III. Thus, I (R = 4-EtC6H4, R1 = H) was chlorinated and cyclocondensed with NaN3 to give II.
IT 133958-80-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 133958-80-2 CAPLUS
CN 1(2H)-Phthalazinone, 4-(4-bromophenyl)-2-(1-piperidinylmethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 42 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:122240 CAPLUS
DOCUMENT NUMBER: 114:122240
TITLE: Synthesis and pharmacology of 1-oxo-pyridazine- and 1-oxo-phthalazine-2-acetic acid derivatives
AUTHOR(S): Horn, Heidemarie; Morgenstern, Eve; Unverferth, K.
CORPORATE SOURCE: Sekt. Biowiss., Univ. Leipzig, Leipzig, O-7010, Germany
SOURCE: Pharmazie (1990), 45(10), 724-7
CODEN: PHARAT; ISSN: 0031-7144
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 114:122240
GI



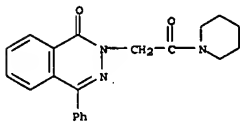
I

AB The title compds. I (R1 = H, Et; R2 = H; R1R2 = C4H4, etc.; R3 = Ph, 4-MeOC4H4, 4-ClC6H4, 2-thienyl, etc.; R4 = CO2H, CONR5R6; R5 = H; R5R6 = piperidino, etc.) were prepared by cyclocondensation of benzoylpropionic acid derivs. with H2NNHCH2CO2Et and sequential hydrolysis or aminolysis. I (R1R2 = C4H4, R3 = 1H-imidazol-2-yl, R4 = CO2Et) and I (R1R2 = C4H4, R3 = 4-BrC6H4, R4 = CO2Na) had weakly anticonvulsant activity in mice.

Other
I had sedative activity.

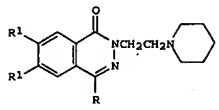
IT 132544-92-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 132544-92-4 CAPLUS
CN Piperidine, 1-[(1-oxo-4-phenyl-2(1H)-phthalazinyl)acetyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 43 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1990:178837 CAPLUS
DOCUMENT NUMBER: 112:178837
TITLE: Bromoalkylphthalazinones and isomeric oxazolinium salts as intermediates and synthons
AUTHOR(S): Csampai, A.; Kormendy, K.; Sohar, P.; Ruff, F.
CORPORATE SOURCE: Res. Group Pept. Chem., Hung. Acad. Sci., Budapest, H-1445, Hung.
SOURCE: Tetrahedron (1989), 45(17), 5539-48
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:178837
GI

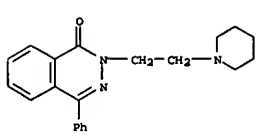


I

AB 2-(ω-Piperidinoalkyl)phthalazin-1(2H)-ones I (R = H, Me, Ph, OH, NH2, Cl; R1 = H, MeO) can be prepared from the 2-hydroxyalkyl compds. both via the open-chain 2-bromoalkyl derivs. and via their cyclic isomers. Substitution reactions of bromoalkyl compds. may be assisted by polar solvents and by neighboring group effect of hydrazinocarbonyl moiety. Nucleophiles attack the condensed oxazolinium ring in tricyclic intermediates at the saturated carbon bonded to the oxygen with ring opening. Addition of pipiperidine to unsatd. iminohydrin-carbon was only found with a tetracyclic bis cation having both oxazolinium and strong electron-withdrawing amidinium parts.

IT 126278-55-5P 126278-61-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

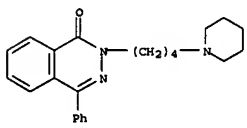
RN 126278-55-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-phenyl-2-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



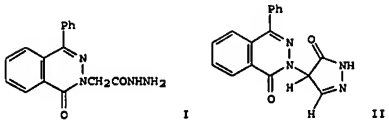
RN 126278-61-3 CAPLUS

L4 ANSWER 43 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CN 1(2H)-Phthalazinone, 4-phenyl-2-[4-(1-piperidinyl)butyl]- (9CI) (CA INDEX NAME)

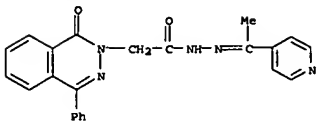


L4 ANSWER 44 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1990:158176 CAPLUS
DOCUMENT NUMBER: 112:158176
TITLE: Synthesis and reactions of phthalazine derivatives. Part I. Acylation and condensation of 1(2H)-oxo-4-phenylphthalazine-2-acetic acid hydrazide: synthesis of heterobicyclics and heterobicyclylmethanes
AUTHOR(S): El-Gendy, Z.; Abdel-Rahman, R. M.
CORPORATE SOURCE: Fac. Educ., Ain-Shams Univ., Cairo, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1989), 28B(8), 647-53
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:158176
GI

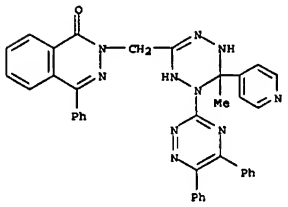


AB Acylation and condensation of 1(2H)-oxo-4-phenylphthalazine-2-acetic acid hydrazide (I) with esters, acid halides, substituted isothiocyanates, acrylonitrile, aldehydes, oxo acids, ketones, and 1,2- and 1,3-bicarbonyl compds. have been carried out to get heterobicyclic compds. and substituted heterobicyclylmethanes. E.g., reaction of I with HC(OEt)3 at reflux 4 h gave 70% phthalazinylpyrazolone II.
IT 126081-24-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)
RN 126081-24-1 CAPLUS
CN 2(1H)-Phthalazineacetic acid, 1-oxo-4-phenyl-, [1-(4-pyridinyl)ethylidene]hydrazide (9CI) (CA INDEX NAME)

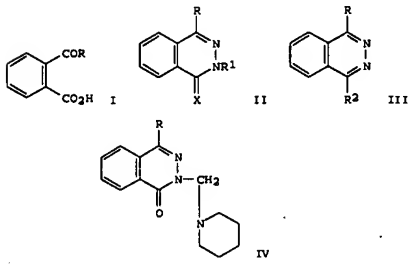
L4 ANSWER 44 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



IT 126081-31-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 126081-31-0 CAPLUS
CN 1(2H)-Phthalazinone, 2-[[1-(5,6-diphenyl-1,2,4-triazin-3-yl)-1,2,5,6-tetrahydro-6-methyl-6-(4-pyridinyl)-1,2,4,5-tetrazin-3-yl]methyl]-4-phenyl- (9CI) (CA INDEX NAME)

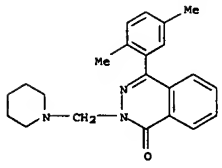


L4 ANSWER 45 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1987:156382 CAPLUS
DOCUMENT NUMBER: 106:156382
TITLE: Synthesis and reactions of phthalazine derivatives
AUTHOR(S): Afify, A. A.; Sayed, M. A.; El-Farargy, A.; Tamam, G. H.
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ. Abbassia, Cairo, Egypt
SOURCE: Journal of the Chemical Society of Pakistan (1986), 8(1), 53-7
CODEN: JCSPDF; ISSN: 0253-5106
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:156382
GI

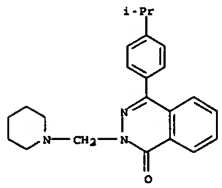


AB Aroylbenzoic acids I (R = 4-Me2CHC6H4, 2,5-Me2C6H3) on treatment with hydrazines give the corresponding phthalazinones II (R1 = e.g. H, PH; X = O). II (R1 = H; X = O) reacts with POCl3 to yield chlorophthalazine III (R2 = Cl) which reacts with N2H4 to give III (R2 = NHNH2). Phthalazinone II (R1 = H; X = O) undergoes alkylation to give alkylarylphthalazine III (R2 = Et, CH2CO2Et) and also reacts with P2S5 to give the corresponding thione II (R1 = H; X = S). Phthalazinones II (R1 = H; X = O) undergo Mannich reaction to give piperidinomethylphthalazinones IV.
IT 106536-72-5P 106536-73-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 106536-72-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-(2,5-dimethylphenyl)-2-(1-piperidinylmethyl)- (9CI)
(CA INDEX NAME)

L4 ANSWER 45 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



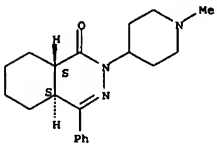
RN 106536-73-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-[4-(1-methylethyl)phenyl]-2-(1-piperidinylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 46 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1960:118213 CAPLUS
DOCUMENT NUMBER: 54:118213
ORIGINAL REFERENCE NO.: 54:22593b-i
TITLE: Synthetic drugs. V. Preparation of basically substituted 6-pyridazinones
AUTHOR(S): Jucker, E.; Suess, R.
CORPORATE SOURCE: Sandoz, Basel, Switz.
SOURCE: Helvetica Chimica Acta (1959), 42, 2506-14
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: German
AB cf. preceding abstract Basically substituted pyridazinones were prepared by known methods. The already known 2-benzoylcyclohexane-1-carboxylic acid of m.p. 139-40° (Fieser and Novello, CA 36, 3171S) was assigned the cis configuration; the epimeric trans derivative also was prepared Some of the prepared compds. showed analgesic properties. To a cooled solution of KCN in water β-benzoylacrylic acid was added with stirring. The precipitated K salt was filtered off, dissolved in water and treated with 2N HCl to give 62% α-cyano-β-benzoylpropionic acid, separated as an oil, m. 101-3° (Et2O). trans-2-Benzoylcyclohexane-1-carboxylic acid (I) was prepared in 92% yield, m. 151-2° (Et2O) as described by F. and N. (loc. cit.) for the cis epimer (II). I also was obtained from II by heating a solution in 2N NaOH for 16 hrs. at 100°. II was refluxed with N-methyl-4-piperidylhydrazine in EtOH for 24 hrs. to precipitate 74% cis-1-(1-methyl-4-piperidyl)-3-phenyl-4,5-tetramethylene-4,5-dihydro-6-pyridazinone (III), m. 146° (EtOH); the trans epimer (IV) was prepared in an analogous way in 78% yield, m. 155° (EtOH). To a solution of IV in AcOH a solution of Br in AcOH was added dropwise. The mixture was heated 2 hrs. to 120°, AcOH removed by distillation and the residue recrystd. from H2O and EtOH to give 62% 1-(1-methyl-4-piperidyl)-3-phenyl-4,5-tetramethylene-6-pyridazinone-HBr (V), m. 220-2°; the free base m. 179-80° (acetone). V was obtained from III in 69% yield. The following 1-(1-methyl-4piperidyl)-6-pyridazinone derivs. were prepared in this way: 3-methyl, m. 87-9° (after sublimation at 80° in high vacuo); 3-phenyl, m. 122-5° (acetone) [HBr salt decomposing 310° (H2O)]; 3,5-dimethyl (HCl salt), m. 252-4° (EtOH); 3-methyl-5-phenyl, m. 140° (Et2O-petr. ether); 3-phenyl-5-methyl (HCl salt hydrate), m. 284° (EtOH); 3-phenyl-5-cyano, m. 223° (EtOH) [HCl salt decomposing 280° (H2O)]; 3,5-diphenyl, m. 243° (acetone); 3-phenyl-4,5-diethyl (HCl salt hemihydrate), m. 268-70° (EtOH)]. The monohydrazone from biacetyl and N-methyl-4-piperidylhydrazine, Et cyanoacetate, AcOH, and NH4OAc were refluxed 15 hrs. in benzene while water was removed continuously. The benzene was distilled and the residue taken up in CHCl3. The solution was cooled and shaken with 20% KOH, 18% HCl, and 2N HCl, resp., made alkaline with 18% KOH and again extracted with CHCl3. After removing the CHCl3, the residue was taken up in Et2O, petr. ether added, and the solution filtered through an

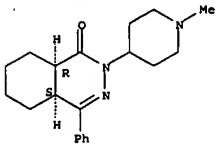
L4 ANSWER 46 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Al2O3-column. The filtrate was treated with a 30% HBr-AcOH soln. to give 46.0 g. 1-(1-methyl-4-piperidyl)-3,4-dimethyl-5-cyano-6-pyridazinone-HBr from 65.6 g. of the monohydrazone, decompg. 238-40° (MeOH-Et2O); free base m. 103-5° (Et2O). The following compds. were prepd. in this way: 1-(1-methyl-4-piperidyl)-3,4-tetramethylene-5-cyano-6-pyridazinone-HCl, decompg. 247-50° (EtOH-Et2O); 1-(1-isopropyl-4-piperidyl)3,4-dimethyl-5-cyano-6-pyridazinone-HCl, decompg. 276-8° (EtOH-Et2O), and 1-(1-methyl-2-dimethylaminoethyl)3,4-dimethyl-5-cyano-6-pyridazinone-HBr, m. 209-11° (EtOH).
IT 879006-23-2, 1(2H)-Phthalazinone, 4a,5,6,7,8,8a-hexahydro-2-(1-methyl-4-piperidyl)-4-phenyl-, trans- 879006-25-4, 1(2H)-Phthalazinone, 4a,5,6,7,8,8a-hexahydro-2-(1-methyl-4-piperidyl)-4-phenyl-, cis-
(preparation of)
RN 879006-23-2 CAPLUS
CN 1(2H)-Phthalazinone, 4a,5,6,7,8,8a-hexahydro-2-(1-methyl-4-piperidyl)-4-phenyl-, trans- (6CI) (CA INDEX NAME)

Relative stereochemistry.



RN 879006-25-4 CAPLUS
CN 1(2H)-Phthalazinone, 4a,5,6,7,8,8a-hexahydro-2-(1-methyl-4-piperidyl)-4-phenyl-, cis- (6CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 47 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1957:71500 CAPLUS
DOCUMENT NUMBER: 51:71500
ORIGINAL REFERENCE NO.: 51:12923i,12924a-e
TITLE: The relation between chemical constitution and sweet taste. Derivatives of p-methoxybenzoylbenzoic acid
AUTHOR(S): Runti, Carlo; Galimberti, Sergio
CORPORATE SOURCE: Univ. Trieste, Italy
SOURCE: Annali di Chimica (Rome, Italy) (1957), 47, 250-9
CODEN: ANCRAI; ISSN: 0003-4592
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB The sweet taste of 2-(4-MeOC6H4CO)C6H4CO2H (I) (Mohler, C.A. 44, 8558d); Orndorff and Kelley, C.A. 16, 2858) is not shared by its cyclic Me ester (II) or by phthalazones prepared from it. I reacts with derivs. of PhNHNH2 to give 2-substituted 4-(4-methoxyphenyl) phthalazones, and with several carbohydrazides and semicarbazides to give the parent 4-(4-methoxyphenyl)phthalazone (III). I is esterified either by refluxing 2.56 g. I in 50 cc. dry MeOH and 3 cc. concentrated H2SO4 4 hrs., or by shaking 1.2 g. I in 20 cc. 2N NaOH with 10 cc. Me2SO4 20 min., or with CH2N2; in each case the only product was II, m. 83-4° (from MeOH), assigned the structure o-C6H4.CO.O.C(OMe)C6H4OMe-p because it is unaffected by NH3 or N2H4. No isomeric ester, m. 63° (Meyer and Turnau, C.A. 4, 441), could be found. I (2 g.) in the min. volume of EtOH shaken and refluxed a few min. with 1.9 g. p-BrC6H4NHNH2 and a little NaOAc in 20 cc. H2O then chilled for several hrs. ppts. 2.6 g. 2-(p-bromophenyl)-4-(p-methoxyphenyl)-1-phthalazone, m. 179-80°. Similarly, 2 g. I refluxed 5 hrs. in 10 cc. 50% AcOH with 40 cc. H2O and 1.6 g. p-O2NC6H4NHNH2 gives 2.7 g. 2-(p-nitrophenyl)-4-(p-methoxyphenyl)-1-phthalazone, m. 220°; 2 g. I in EtOH with 5 cc. PhNHNH2 in 5 cc. 50% AcOH gives 2.1 g. 2-phenyl-4-(p-methoxyphenyl)-1-phthalazone, m. 127-8°; 1 g. I fused at 150-60° with 0.7 g. 2,4-dinitrophenylhydrazine then extracted with boiling EtOH gives 2-(2,4-dinitrophenyl)-4-(p-methoxyphenyl)-1-phthalazone, m. 95-105° (softening 80°). I (2.56 g.) fused at 150-70° 10 min. with 1.5 g. isonicotinoyl hydrazide, cooled, and extracted with boiling EtOH gives 2-isonicotinoyl-4-(p-methoxyphenyl)-1-phthalazone, m. 238° (depressed by III), which is hydrolyzed to III by refluxing 15 min. with N NaOH. Similar fusion of I with (CH2CONHNH2)2, CO(NHNH2)2, PhNHCONHNH2, PhNHCSNHNH2, or p-EtOC6H4NHCONHNH2, gives only III. An alc. solution of 1 g. I, 0.4 g. NH2OH.HCl, and 0.3 g. Na2CO3 refluxed 90 min. then chilled several hrs. ppts. a cyclic oxime, m. 145°, probably o-C6H4.CO.O.N:CC6H4OMe-p.
IT 102467-13-0, 1(2H)-Phthalazinone, 2-isonicotinoyl-4-(p-methoxyphenyl)-
(preperation of)
RN 102467-13-0 CAPLUS
CN 1(2H)-Phthalazinone, 2-isonicotinoyl-4-(p-methoxyphenyl)- (6CI) (CA INDEX NAME)

L4 ANSWER 47 OF 47 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)